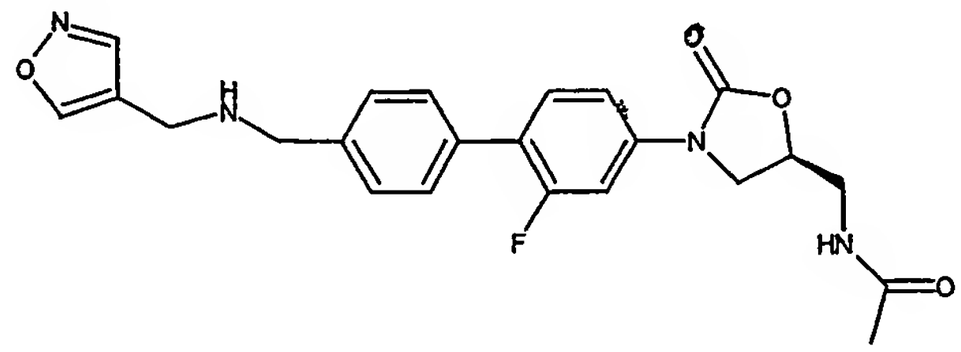
4038	
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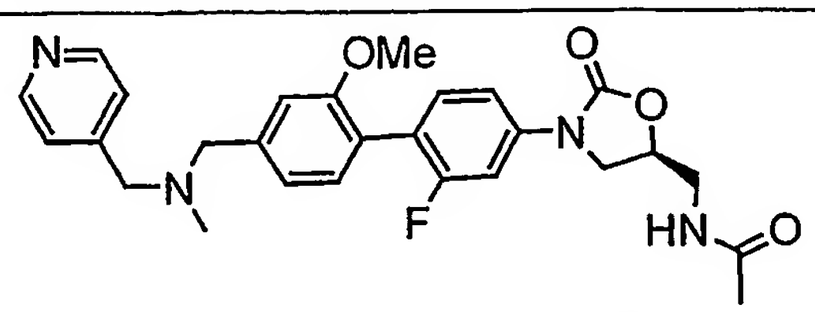
4039	
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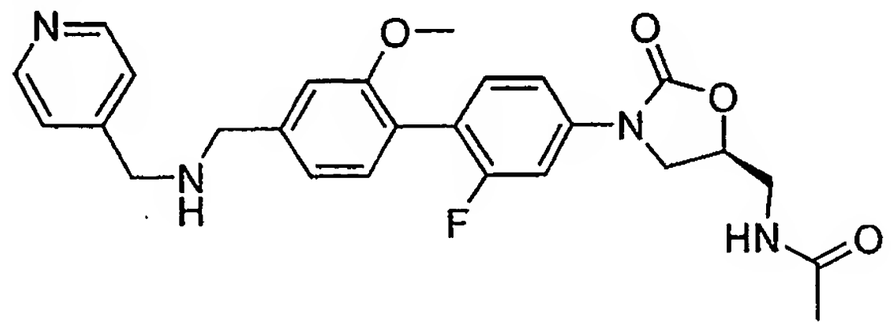
4040	
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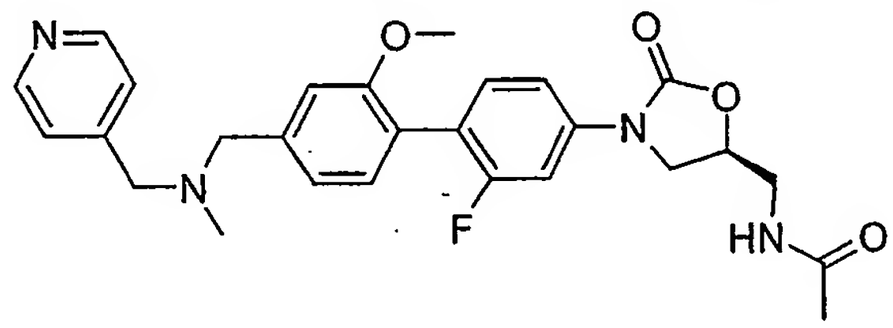
4041	
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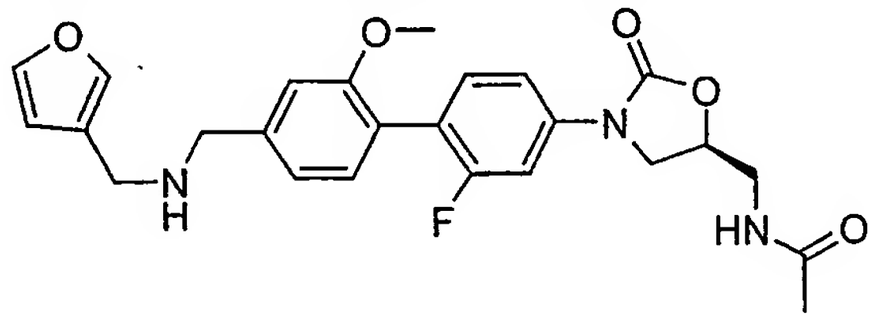
4042	
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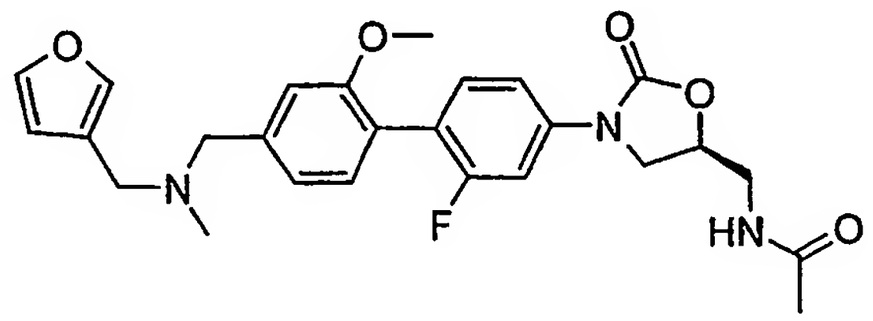
4043	
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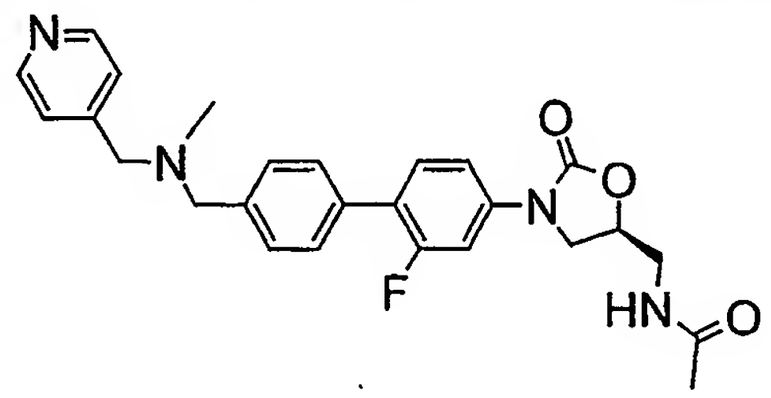
4044	
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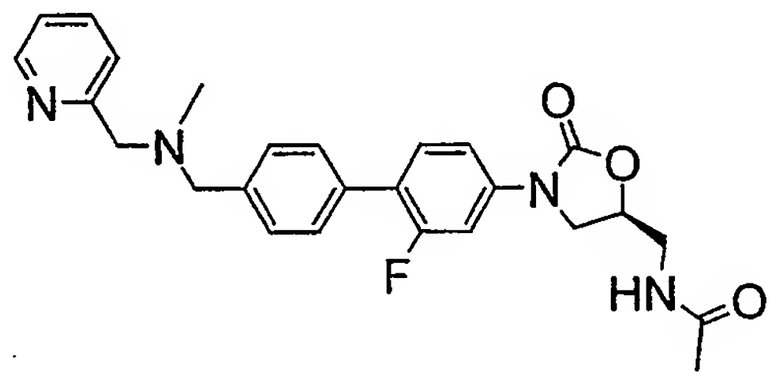
4045	
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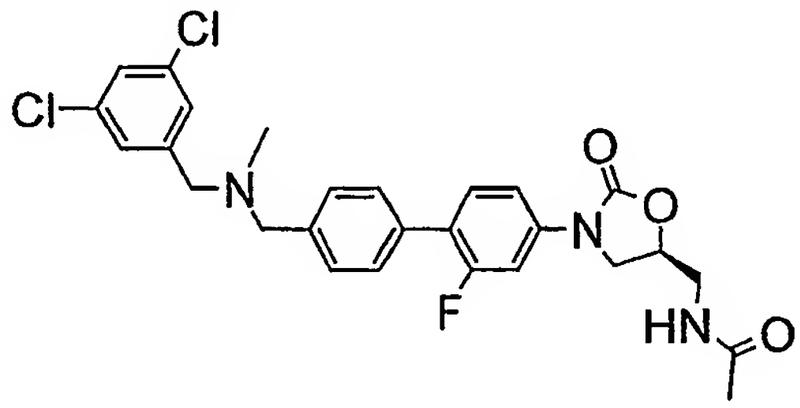
4046	
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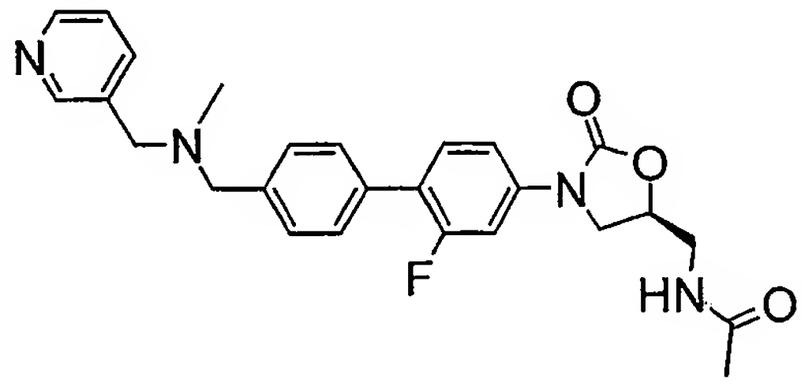
4047	

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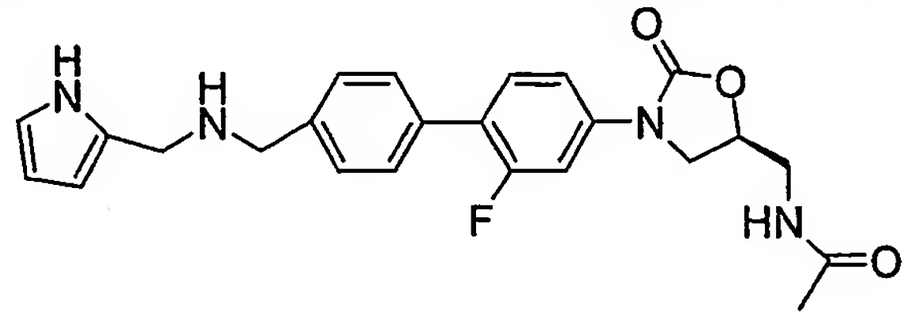
4048	
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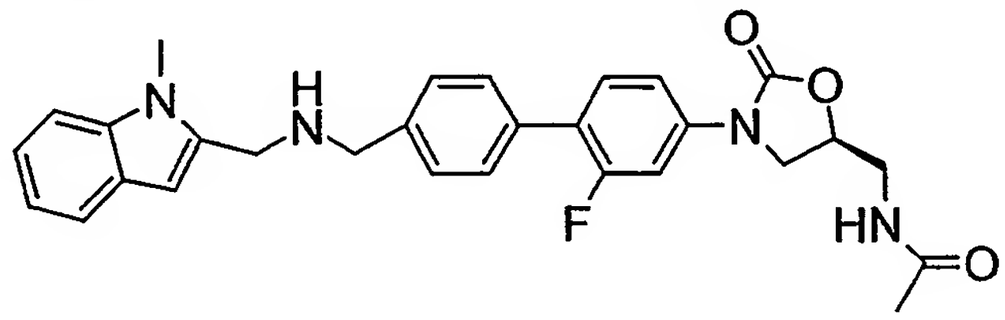
4049	
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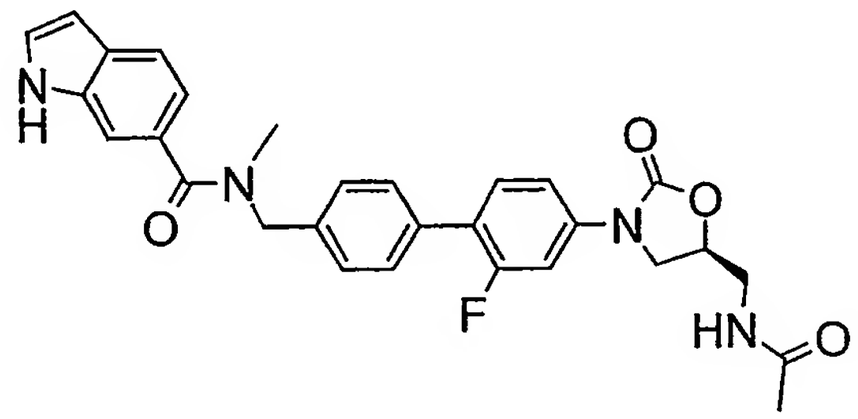
4050	
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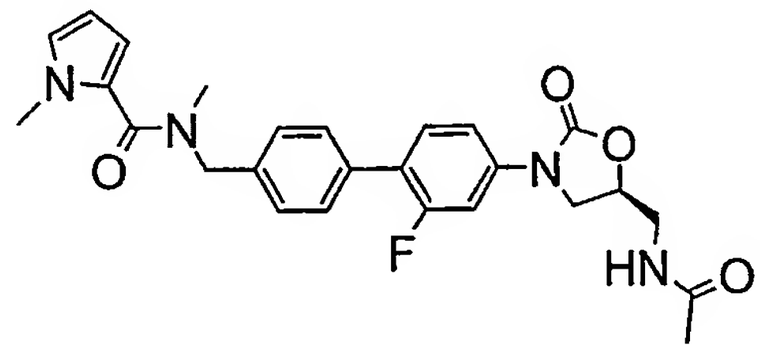
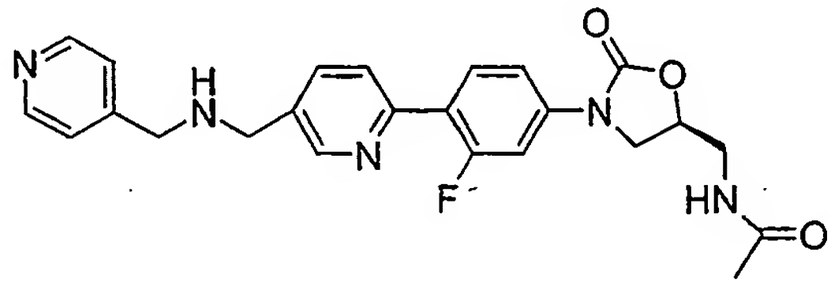
4051	
	1-Methyl-1H-pyrrole-2-carboxylic acid {4'-[5-(S)-(acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2'-fluoro-biphenyl-4-ylmethyl}-methyl-amide
4052	

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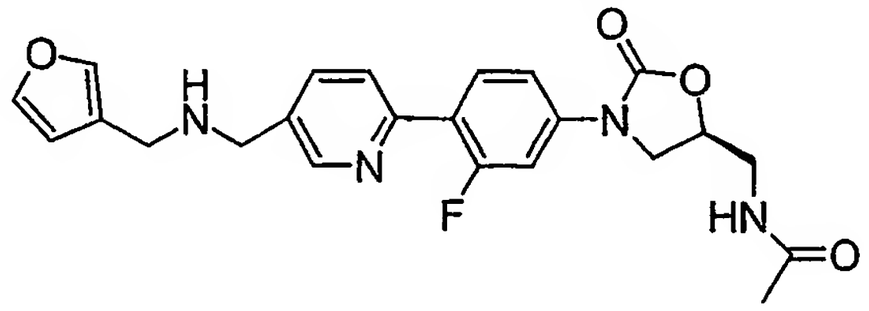
4053	
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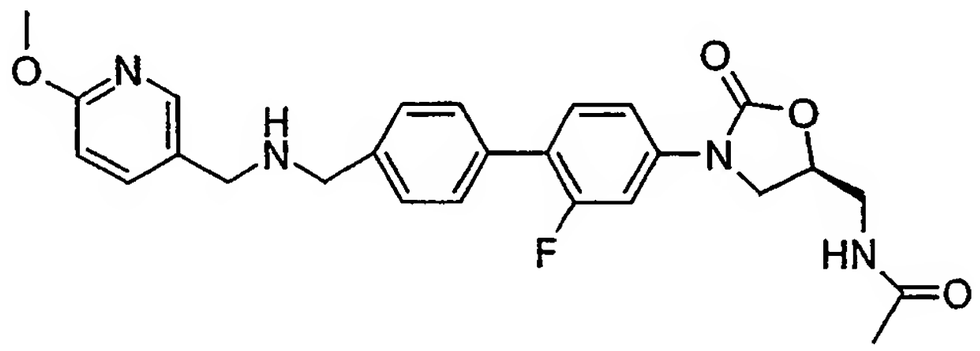
4054	
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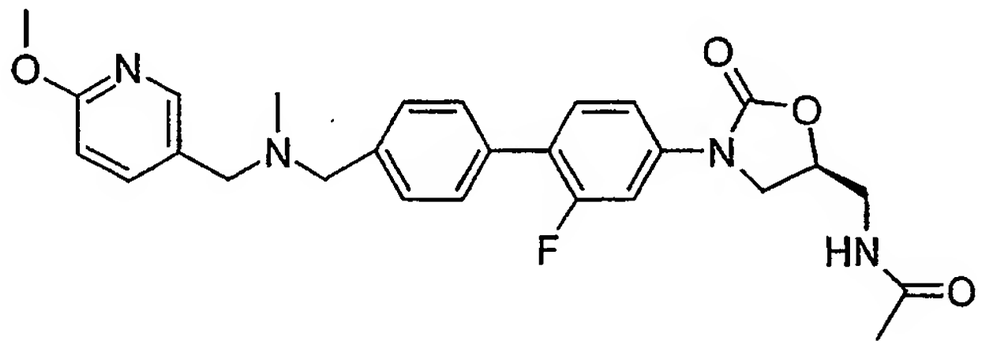
4055	
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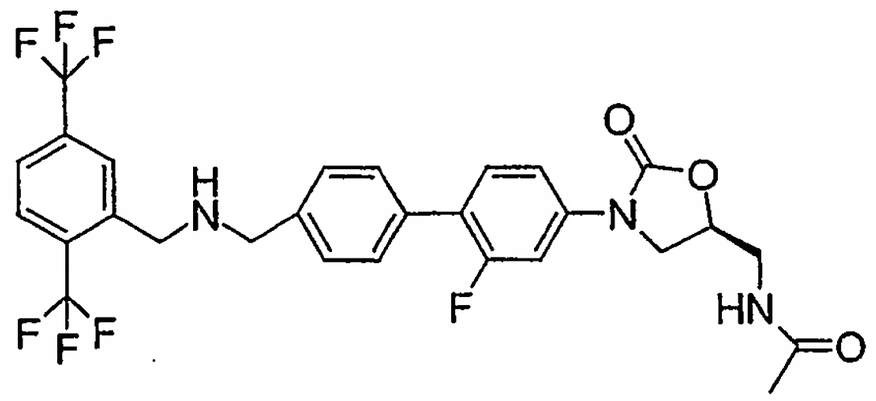
4056	
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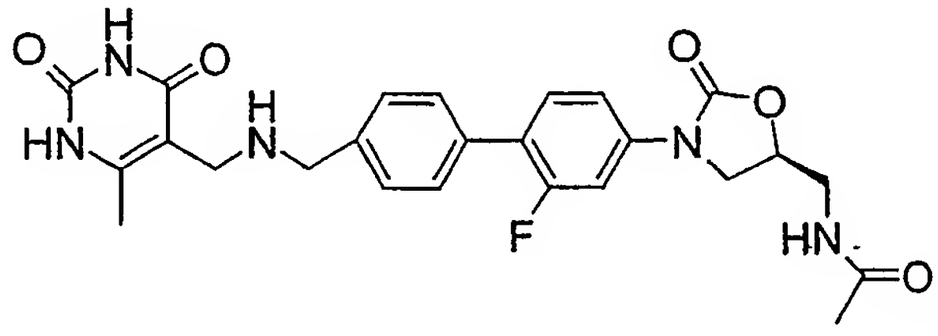
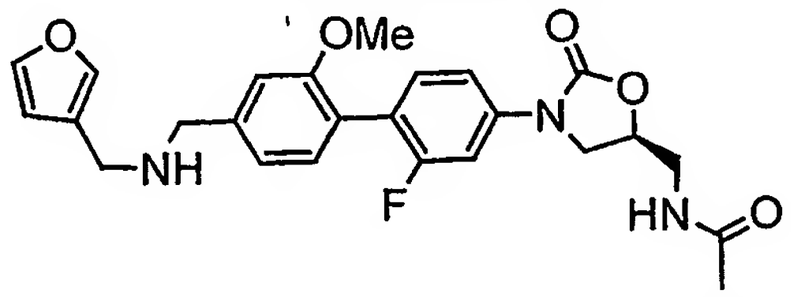
4057	

	N-[3-(2-Fluoro-4'-{[(6-methyl-2,4-dioxo-1,2,3,4-tetrahydro-pyrimidin-5-ylmethyl)-amino]-methyl}-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide
4058	
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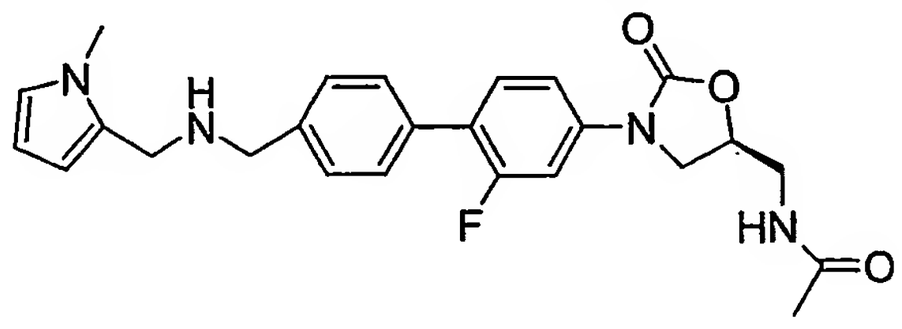
4059	
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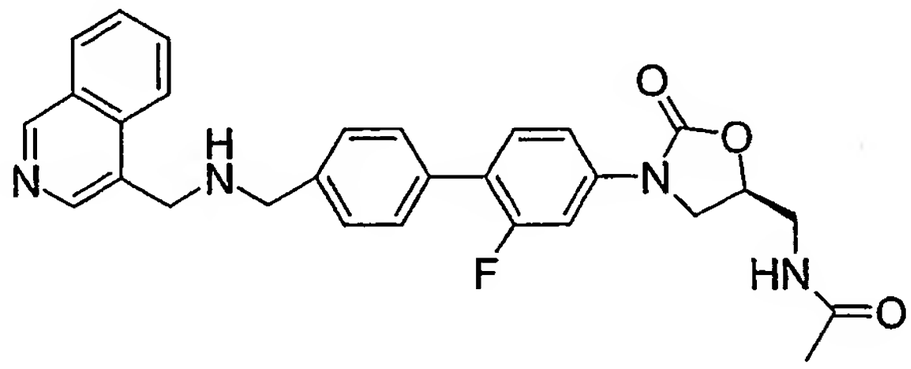
4060	
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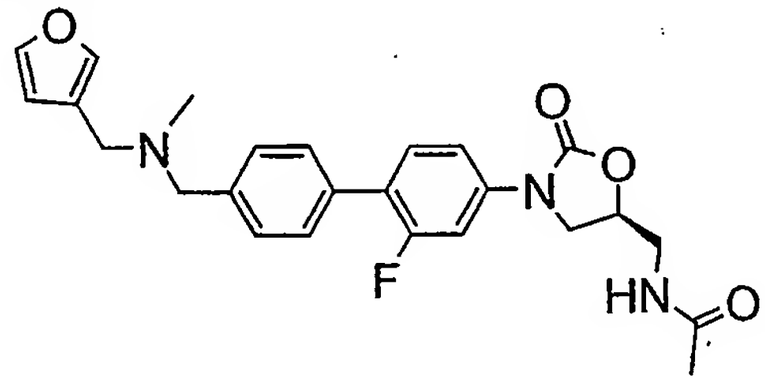
4061	
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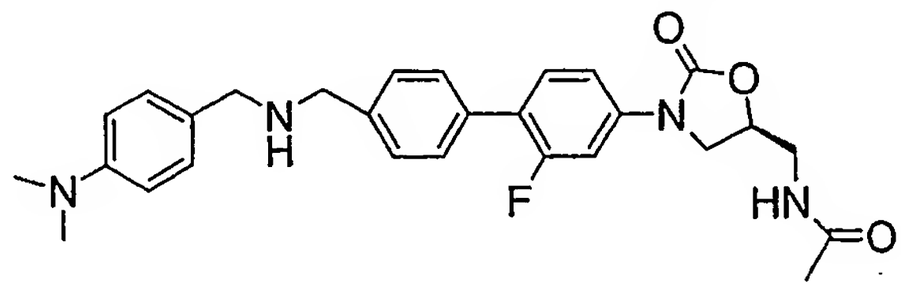
4062	
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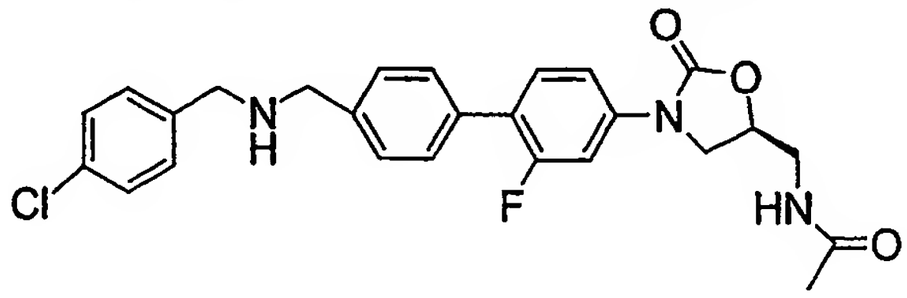
4063	
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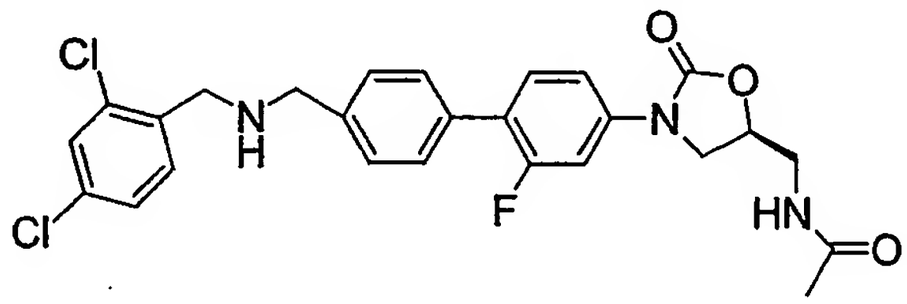
4064	
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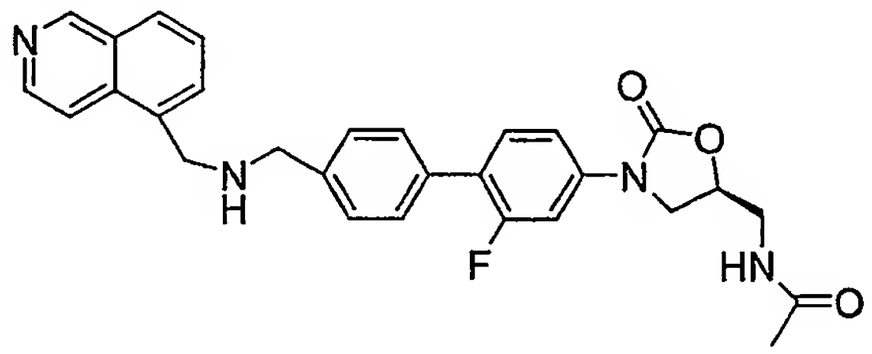
4065	
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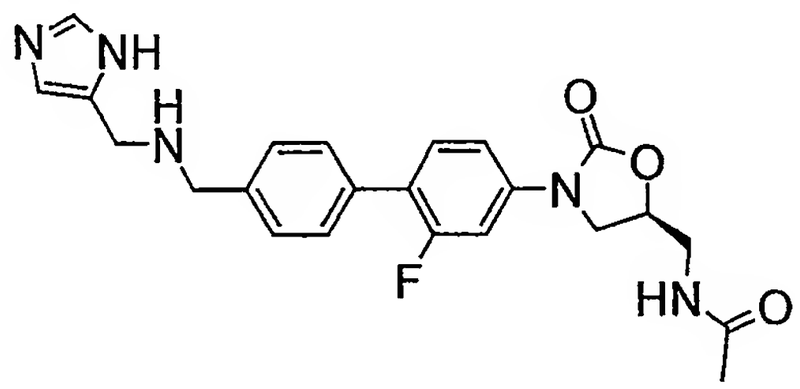
4066	
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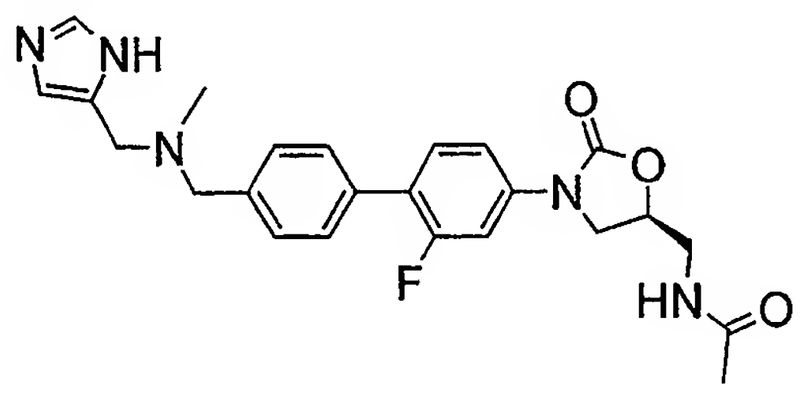
4067	
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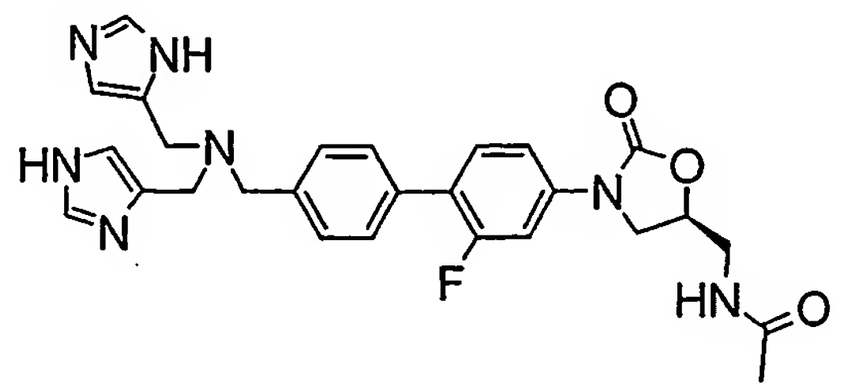
4068	
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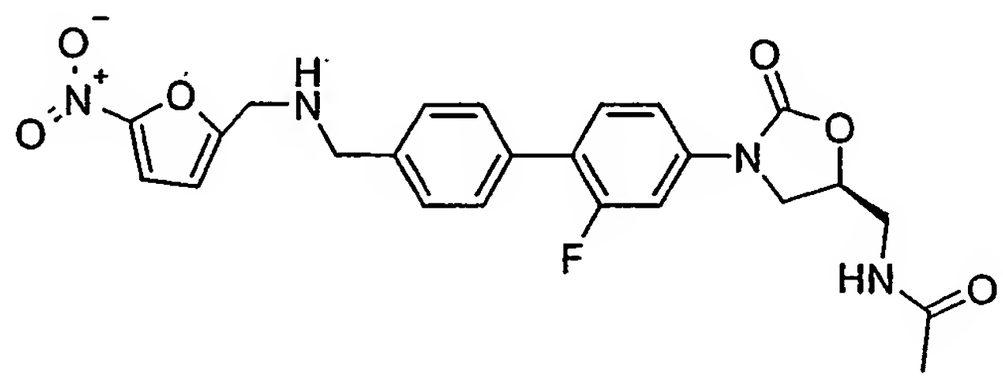
4069	
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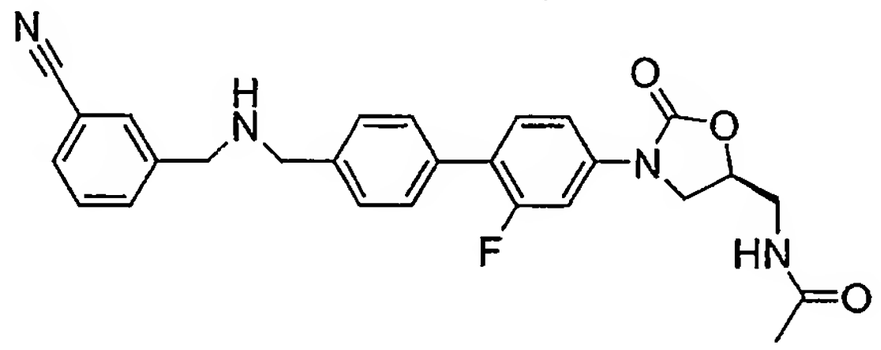
4070	
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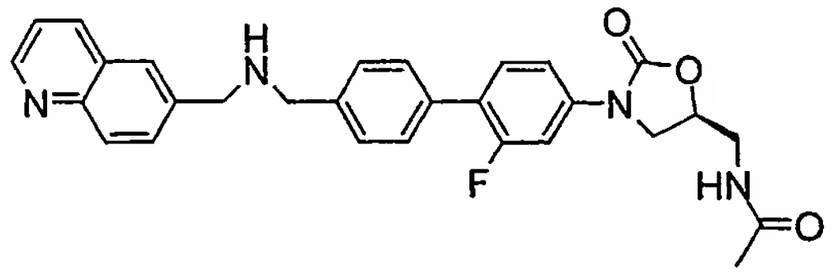
4071	
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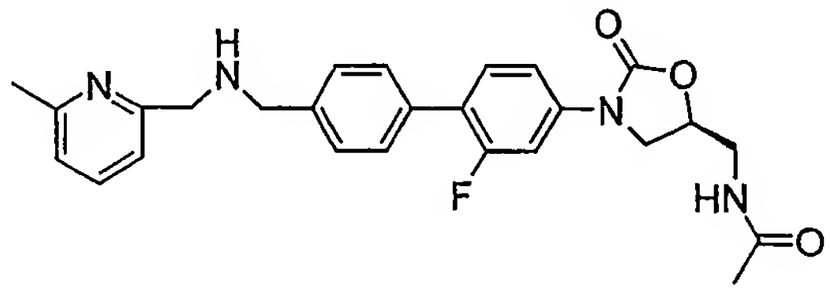
4072	
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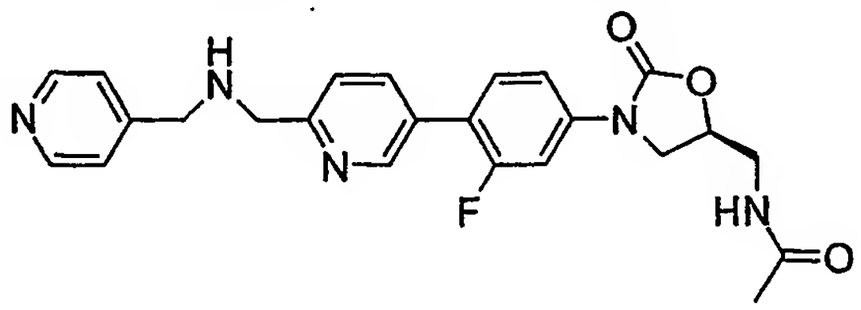
4073	
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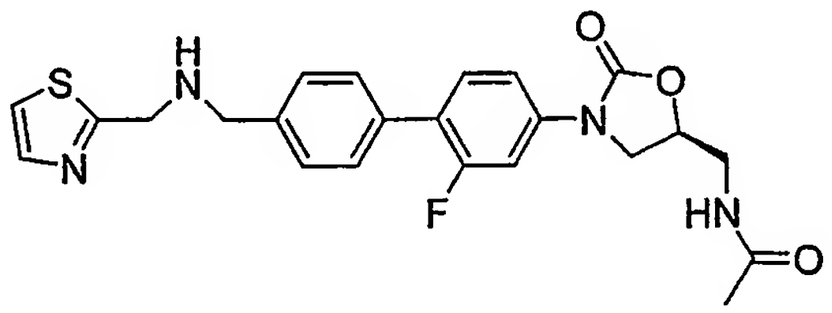
4074	
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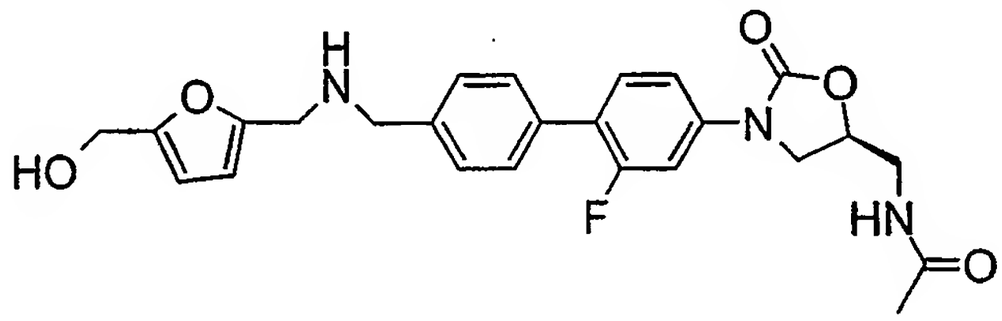
4075	
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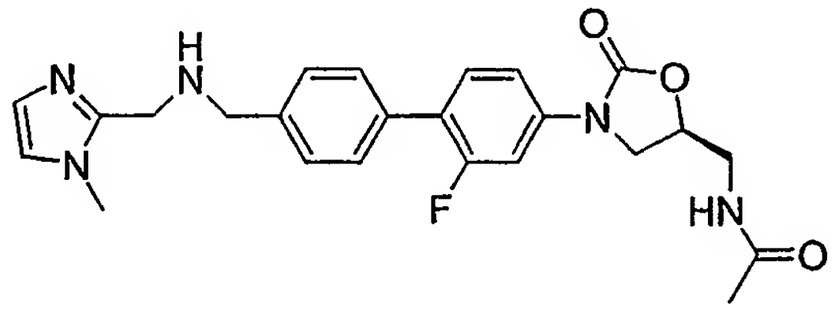
4076	
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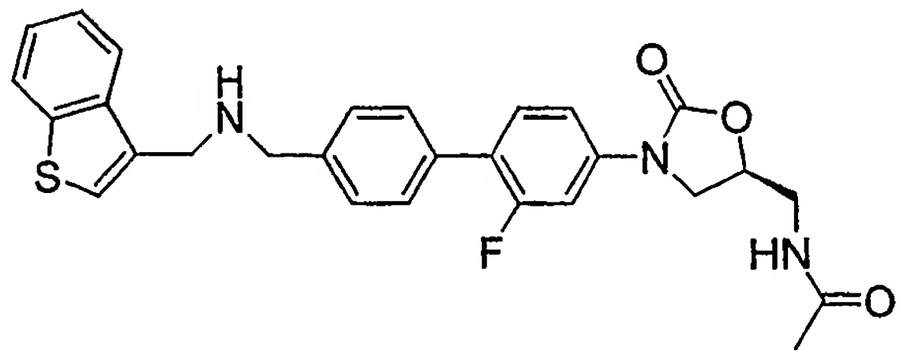
4077	
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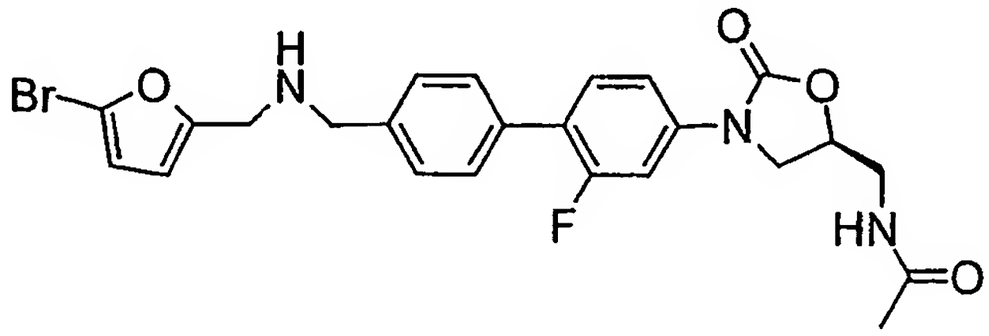
4078	
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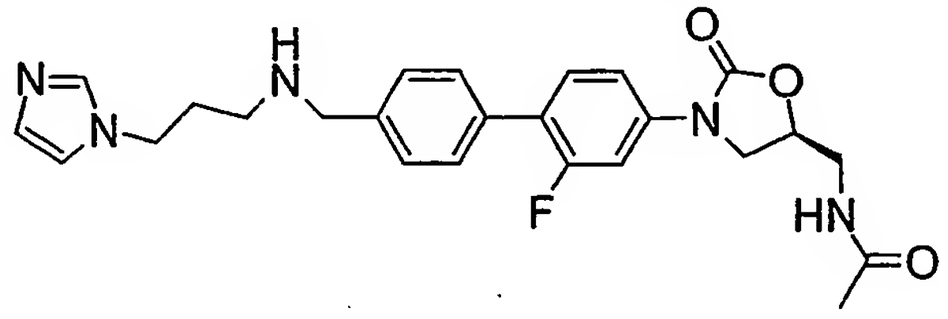
4079	
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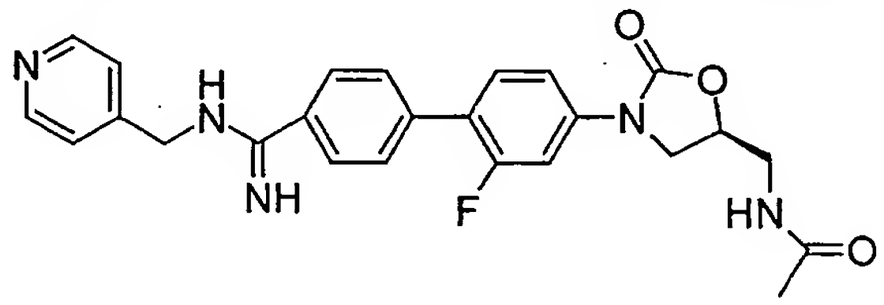
4080	
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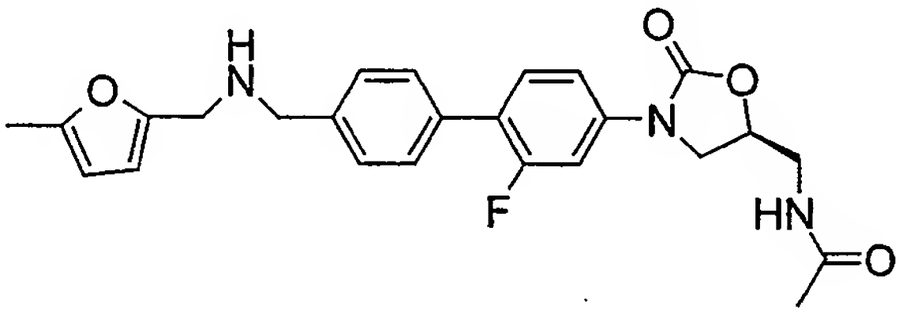
4081	
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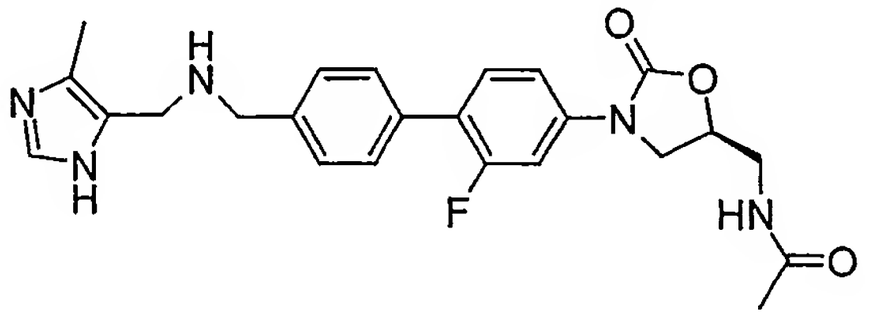
4082	
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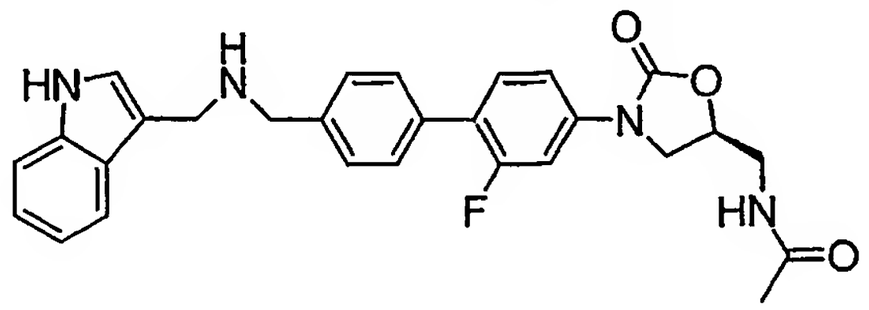
4083	
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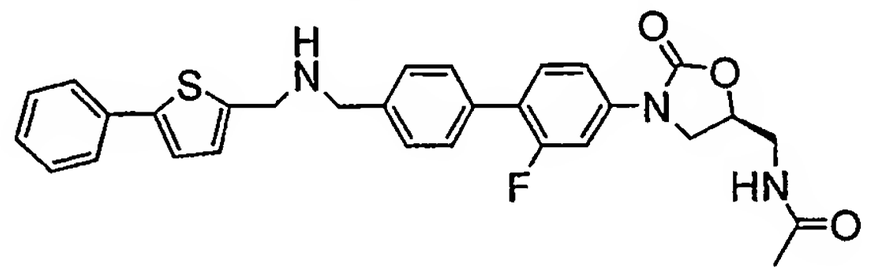
4084	
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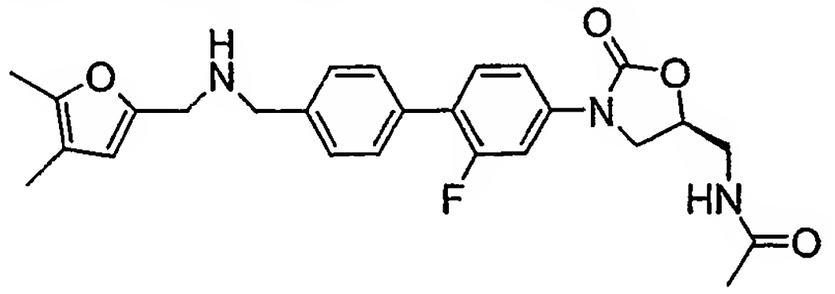
4085	
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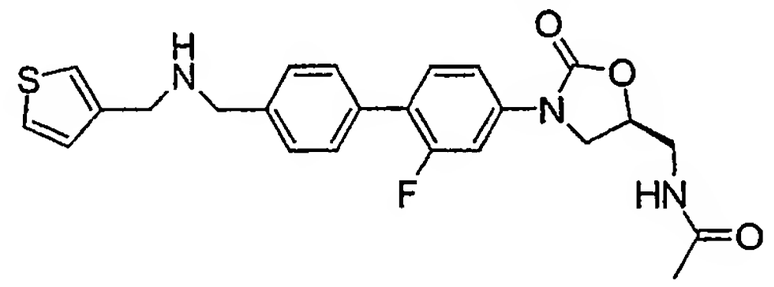
4086	
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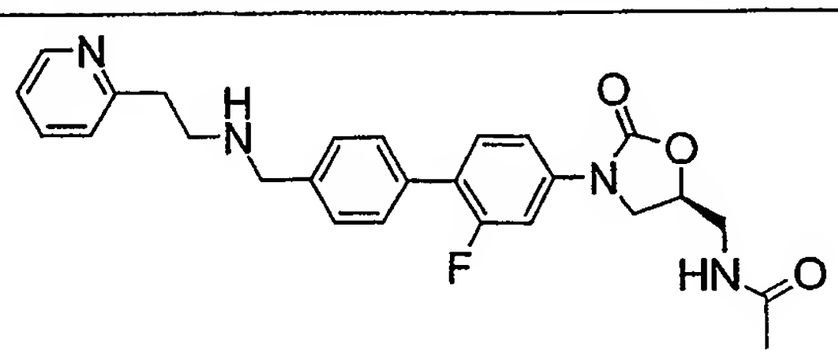
4087	
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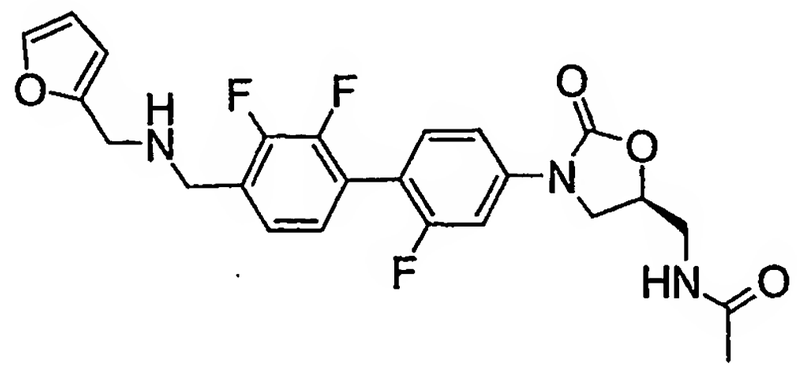
4088	
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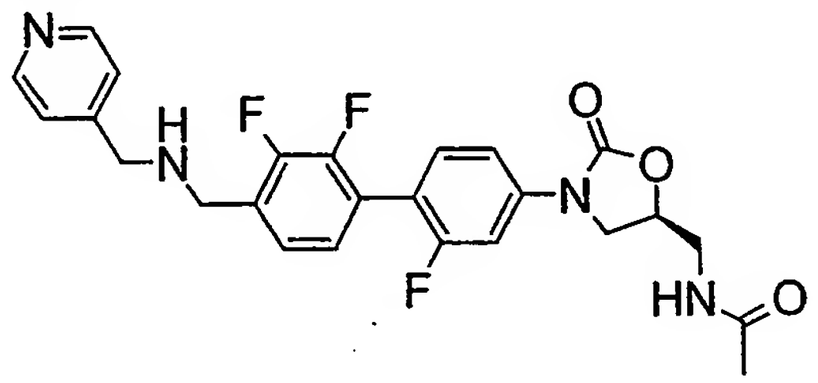
4089	
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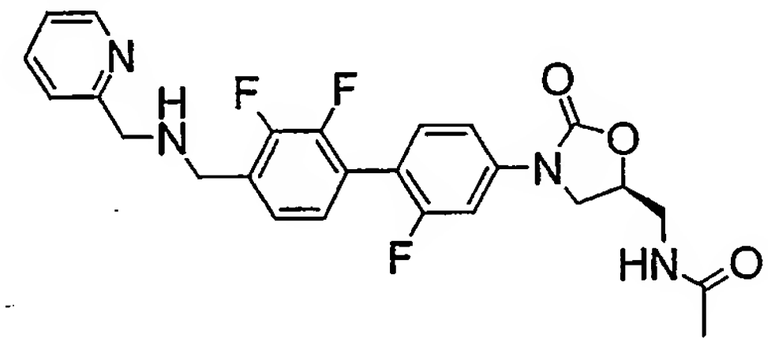
4090	
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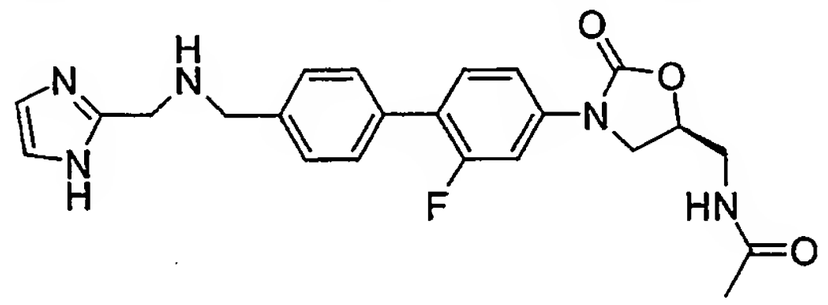
4091	
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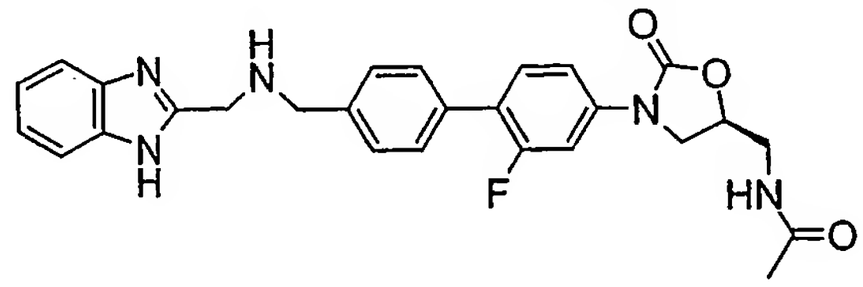
4092	
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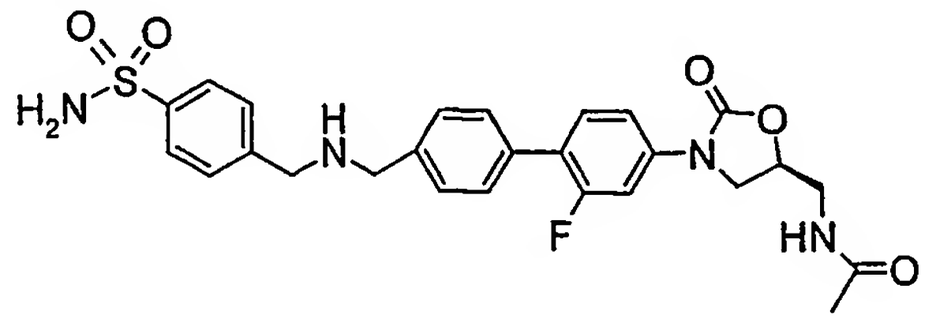
4093	
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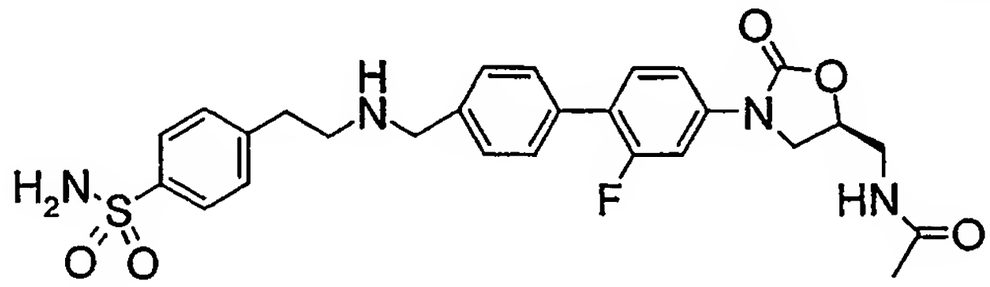
4094	
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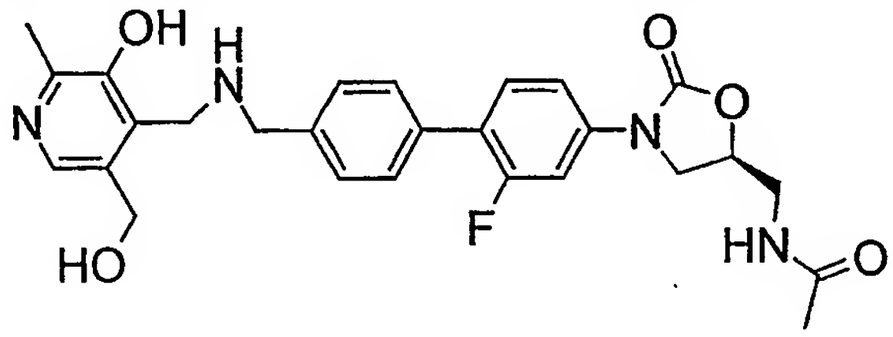
4095	
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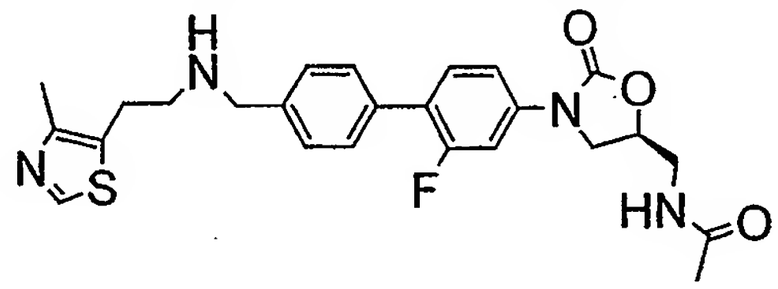
4096	
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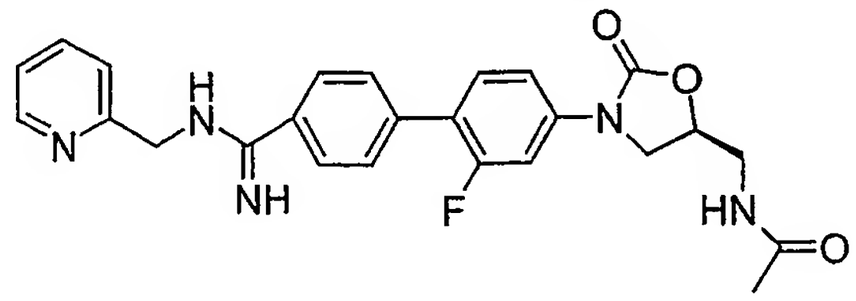
4097	
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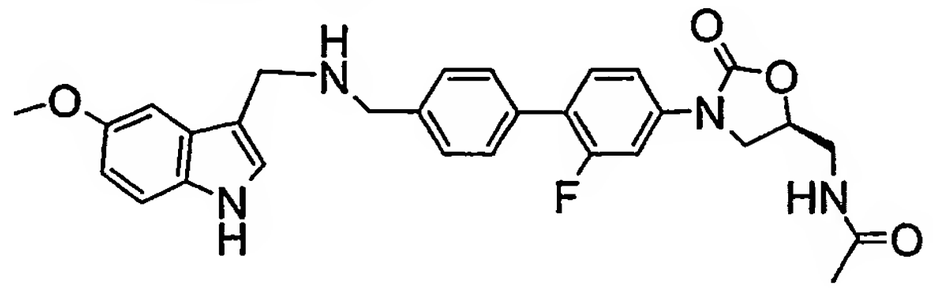
4098	
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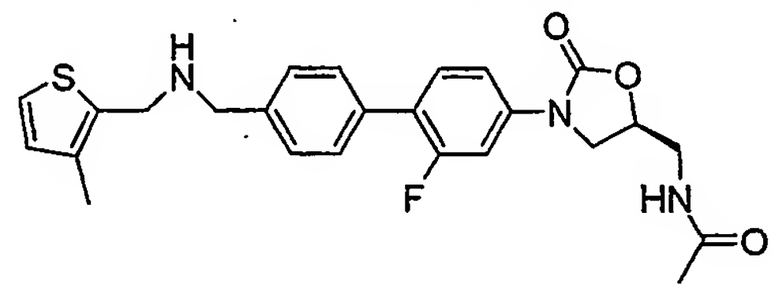
4099	
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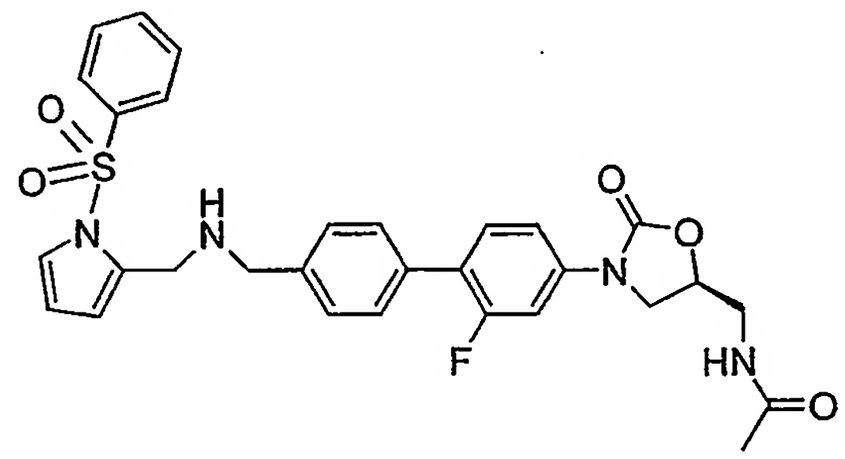
4100	
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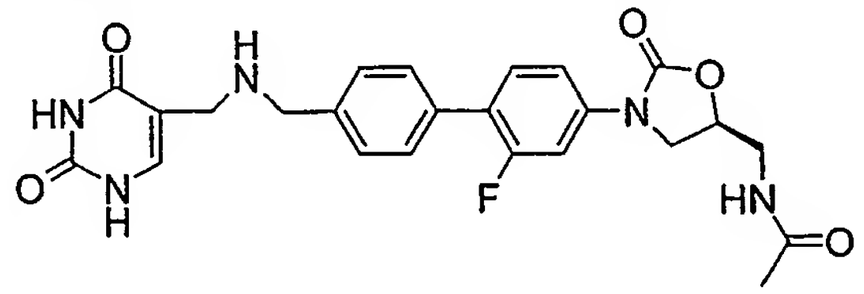
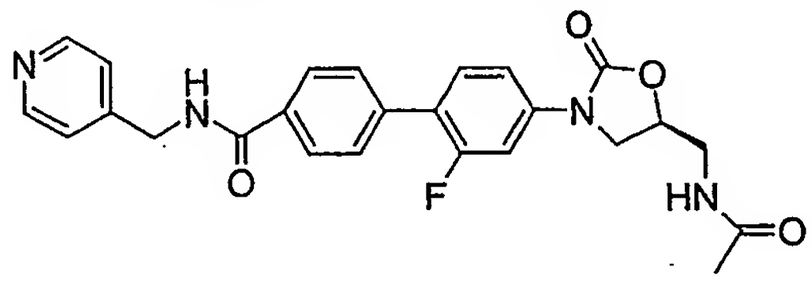
4101	
	N-[3-(4'-{[(2,4-Dioxo-1,2,3,4-tetrahydro-pyrimidin-5-ylmethyl)-amino]-methyl}-2-fluoro-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide
4102	
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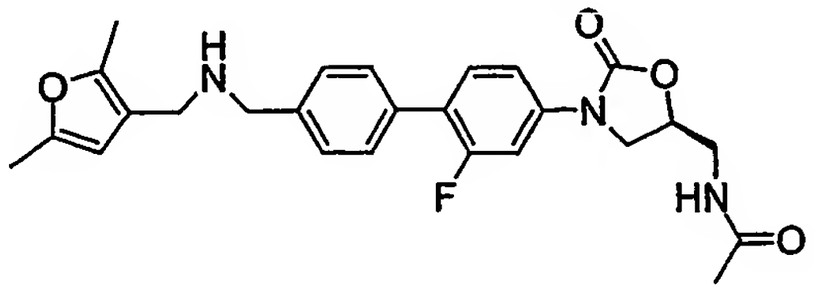
4103	
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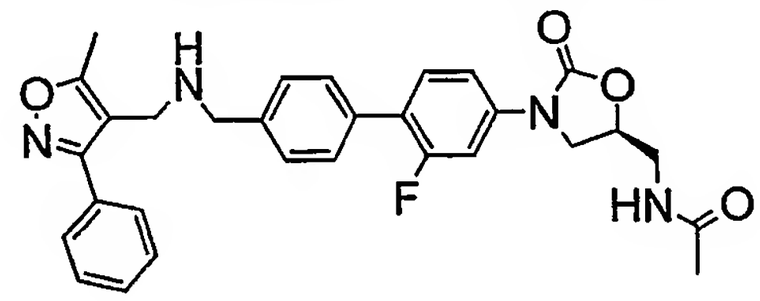
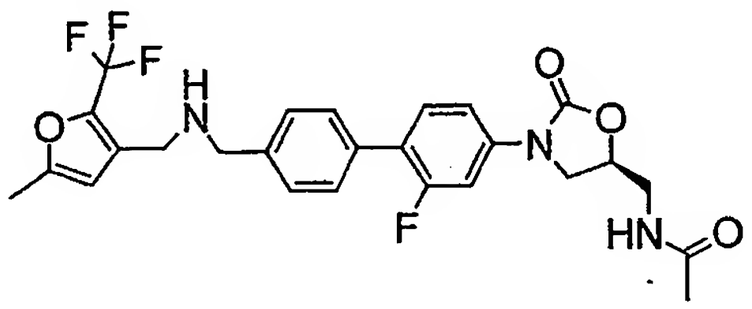
4104	
	N-[3-(2-Fluoro-4'-{[(5-methyl-3-phenyl-isoxazol-4-ylmethyl)-amino]-methyl}-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide
4105	
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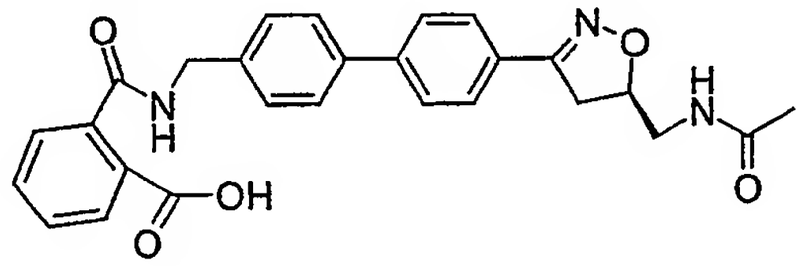
4106	
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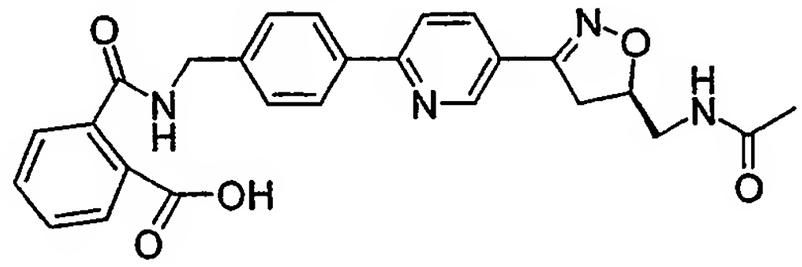
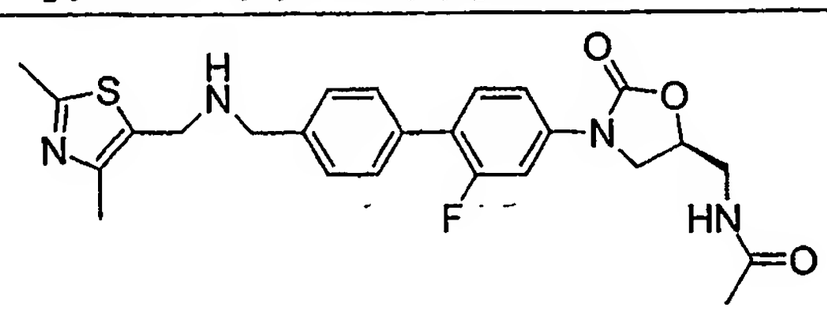
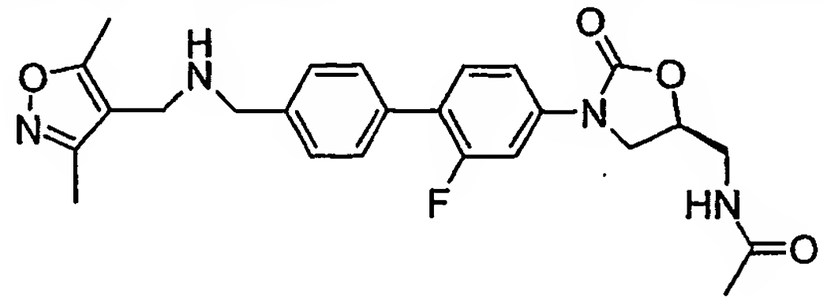
4107	
	N-(4-{5-[5-(R)-(Acetylamino-methyl)-4,5-(S)-dihydro-isoxazol-3-yl]-pyridin-2-yl}-benzyl)-phthalamic acid
4108	

	N-[3-(4'-{[(2,4-Dimethyl-thiazol-5-ylmethyl)-amino]-methyl}-2-fluoro-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide
4109	
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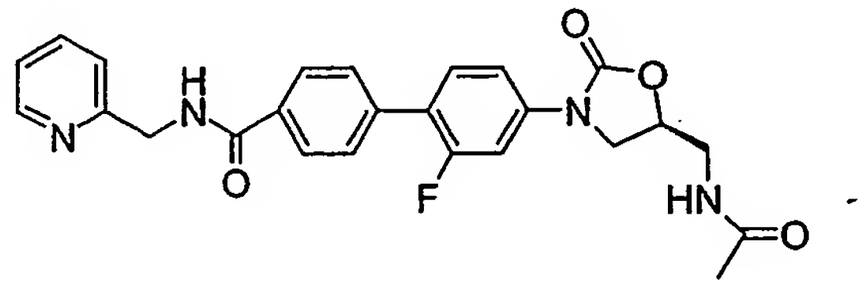
4110	
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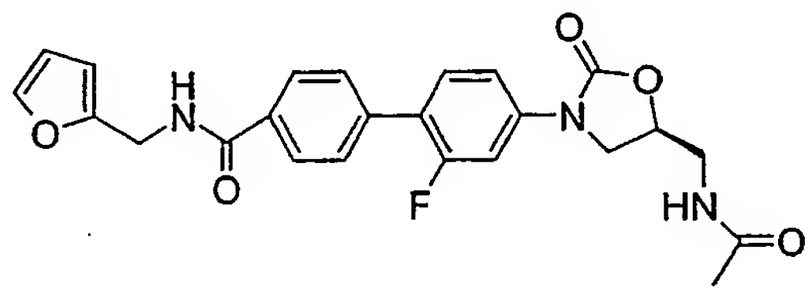
4111	
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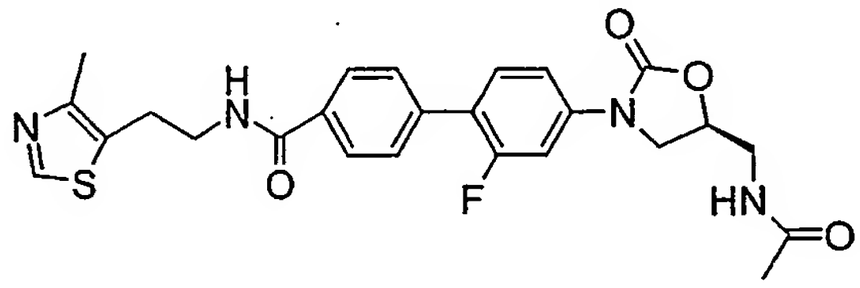
4112	
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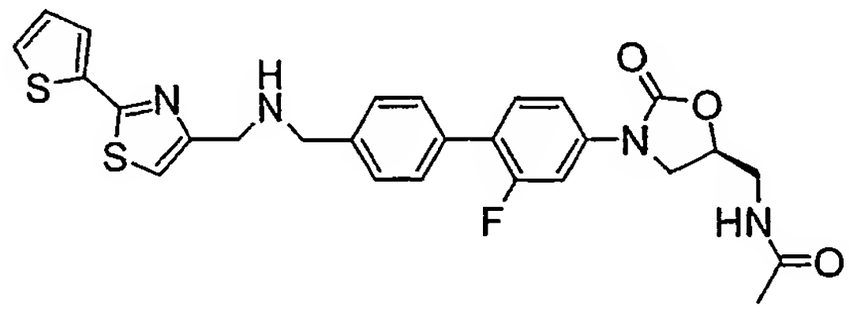
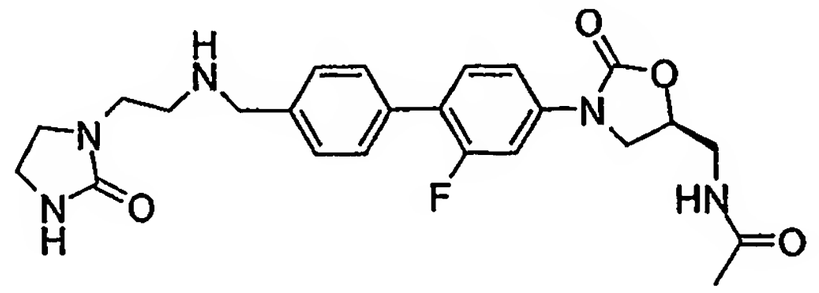
4113	
	N-[3-(2-Fluoro-4'-{[(2-thiophen-2-yl-thiazol-4-ylmethyl)-amino]-methyl}-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide

4114	
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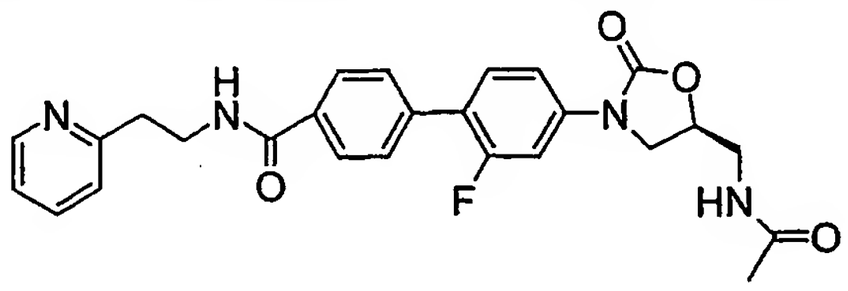
4115	
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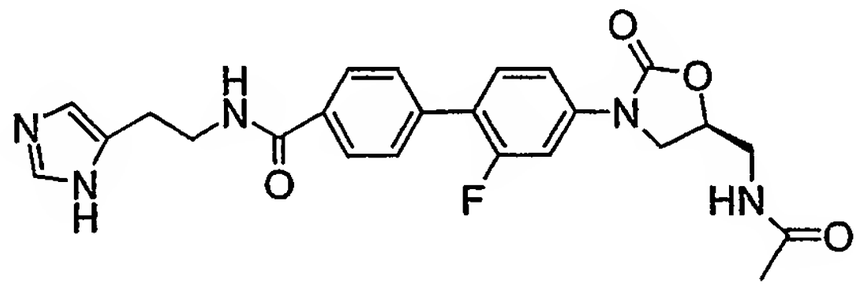
4116	
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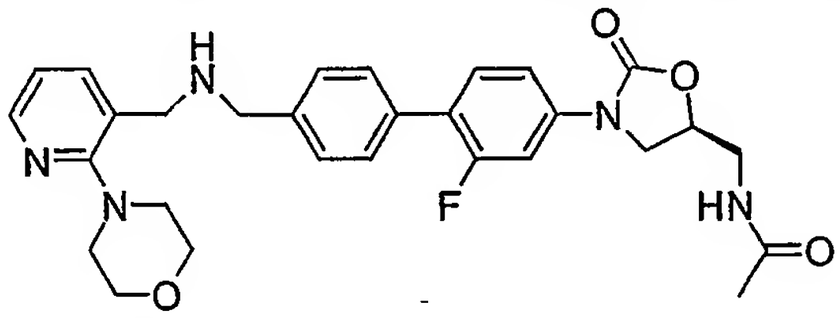
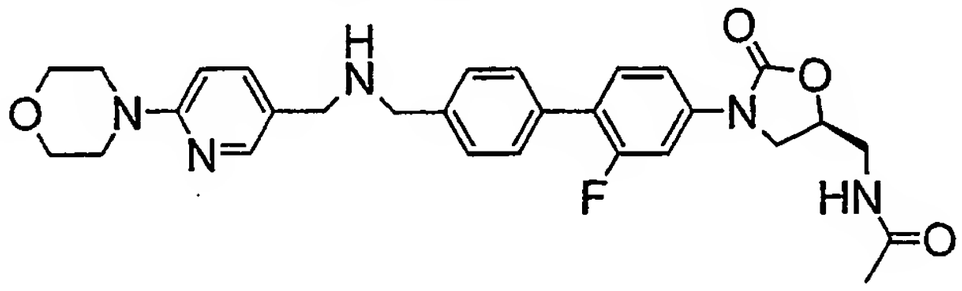
4117	
	N-[3-(2-Fluoro-4'-{[(2-morpholin-4-yl-pyridin-3-ylmethyl)-amino]-methyl}-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide
4118	
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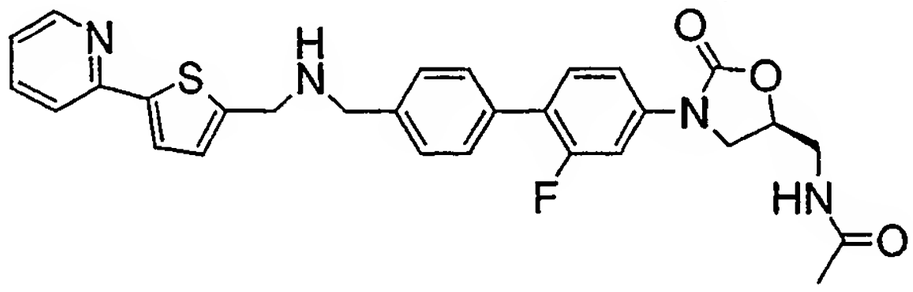
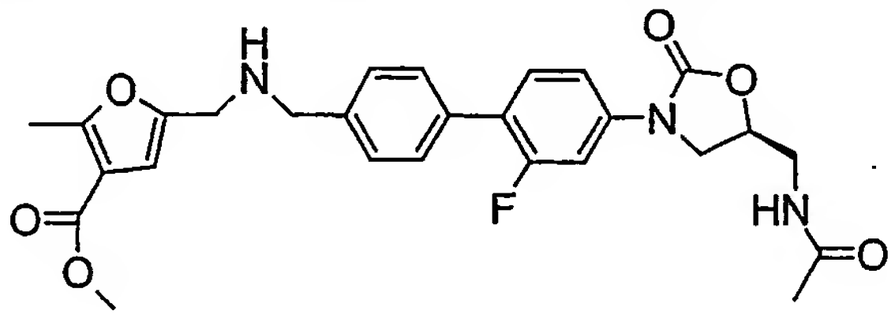
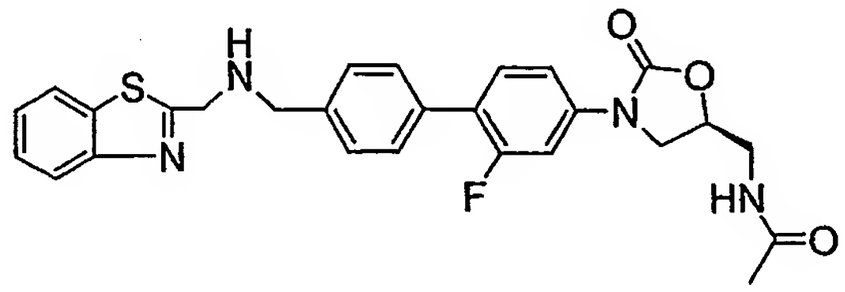
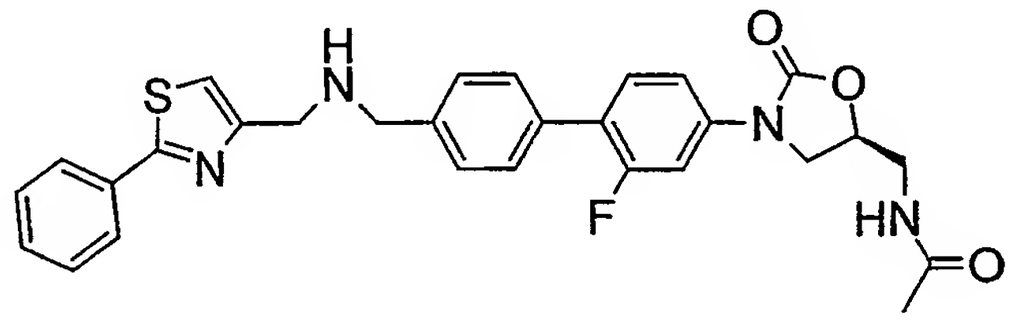
4119	

	N-[3-(2-Fluoro-4'-{[(5-pyridin-2-yl-thiophen-2-ylmethyl)-amino]-methyl}-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide
4120	
	5-[({4'-[5-(S)-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2'-fluoro-biphenyl-4-ylmethyl}-amino)-methyl]-2-methyl-furan-3-carboxylic acid methyl ester
4121	
	N-[3-(4'-{[(Benzothiazol-2-ylmethyl)-amino]-methyl}-2-fluoro-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide
4122	
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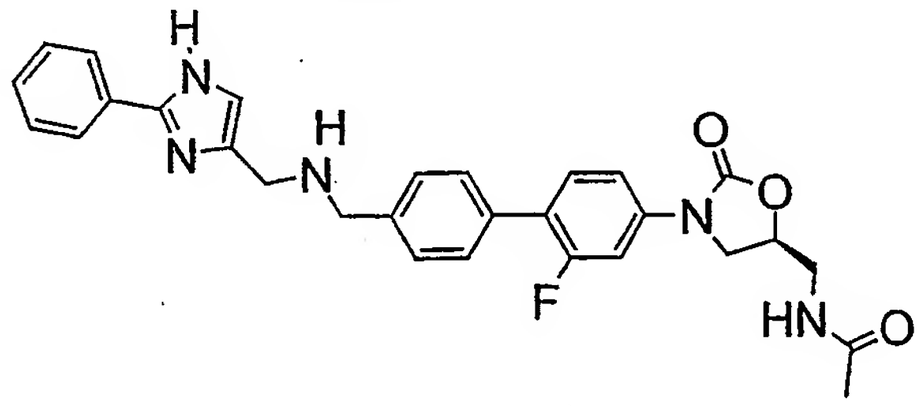
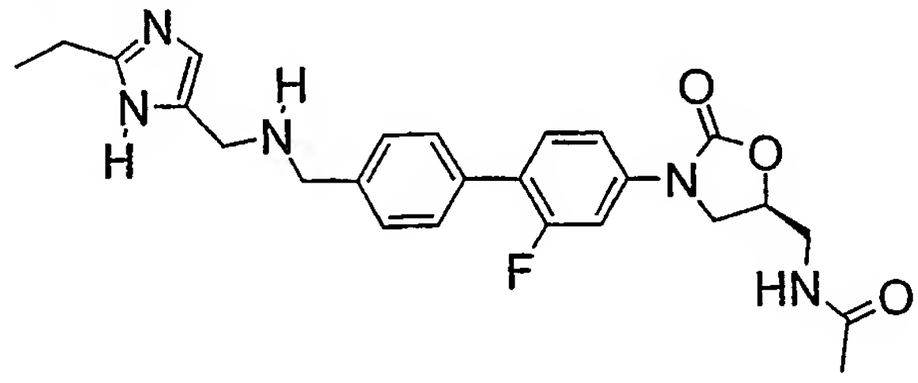
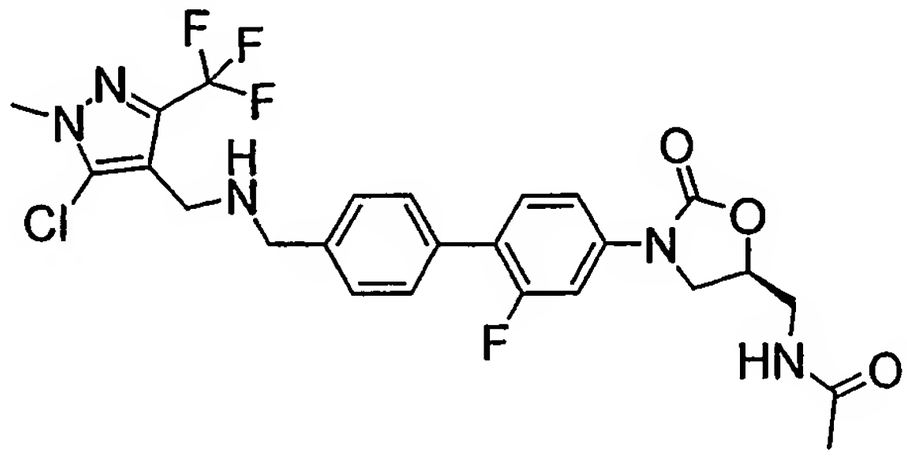
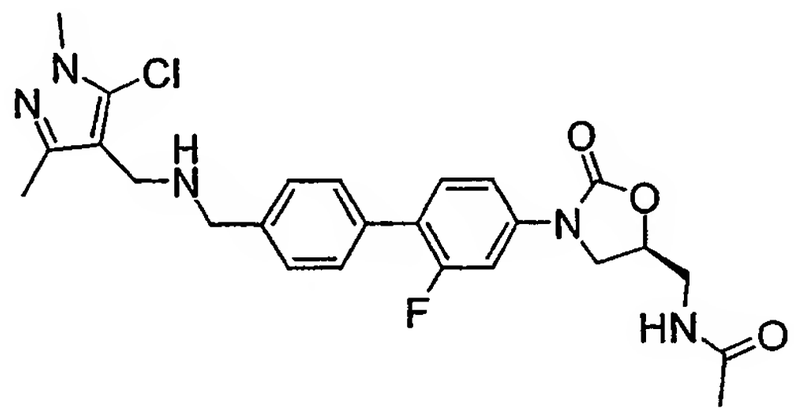
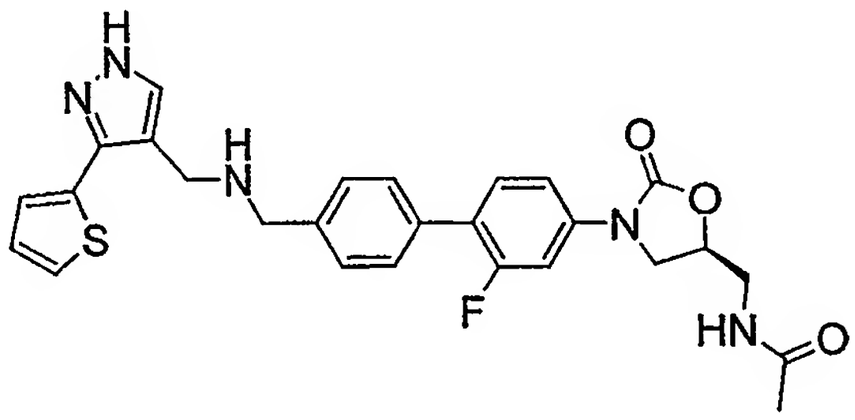
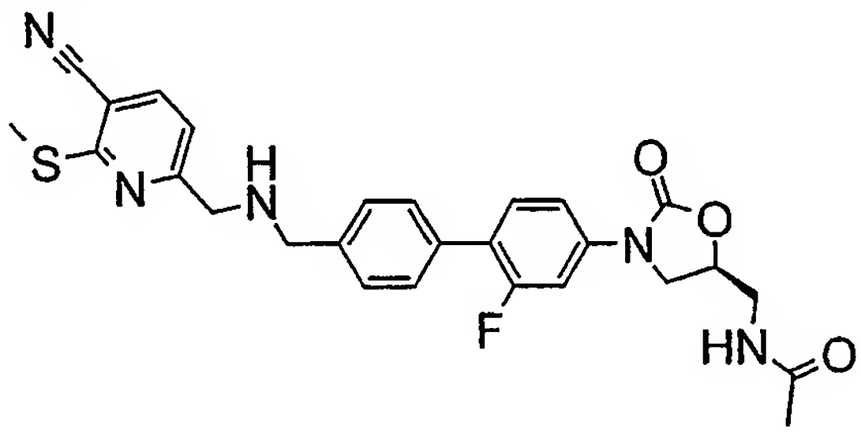
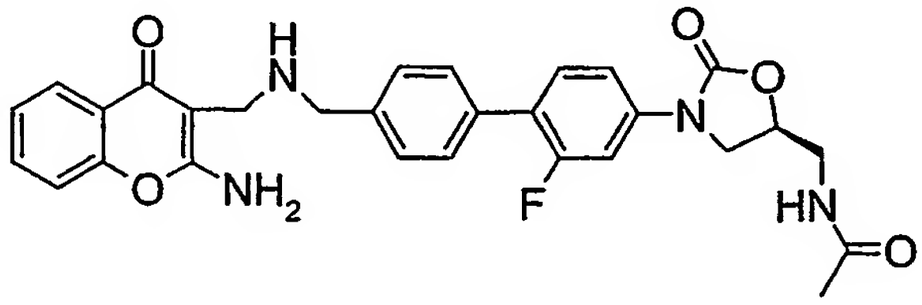
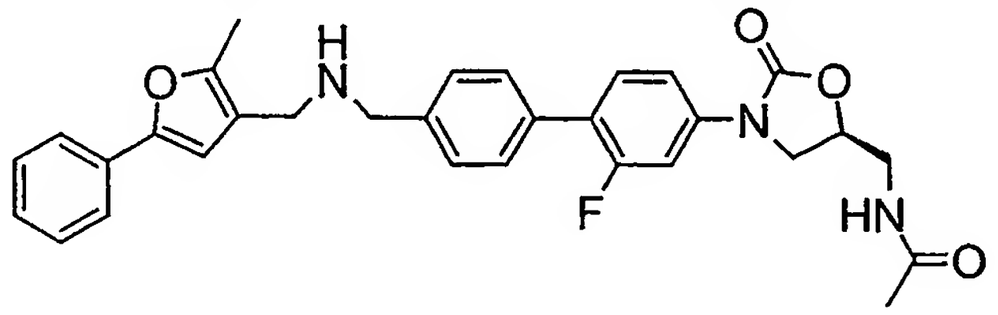
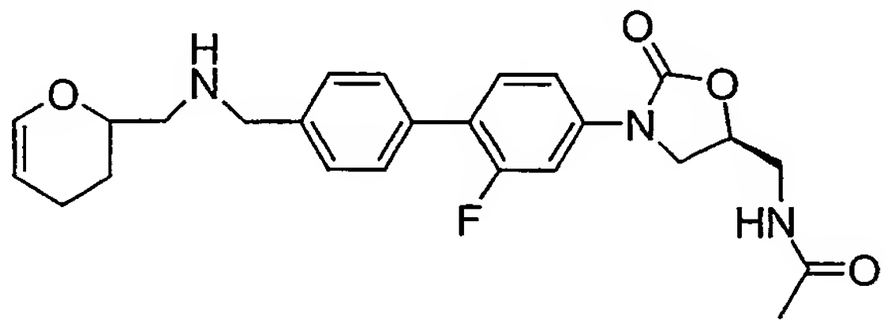
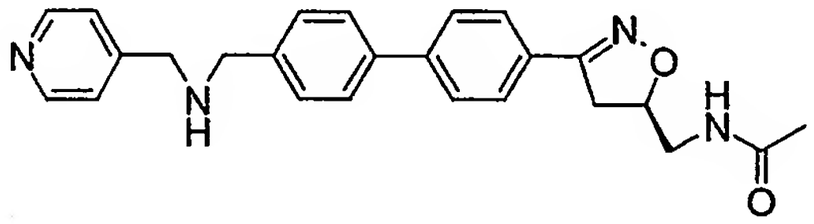
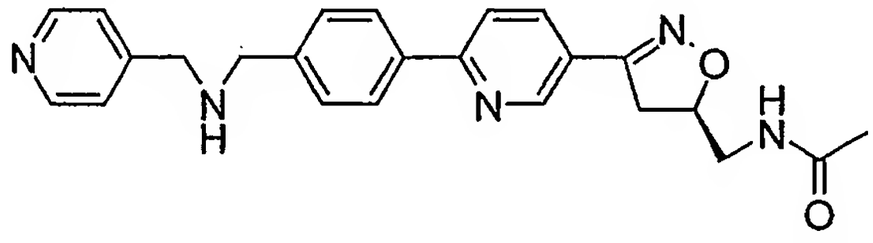
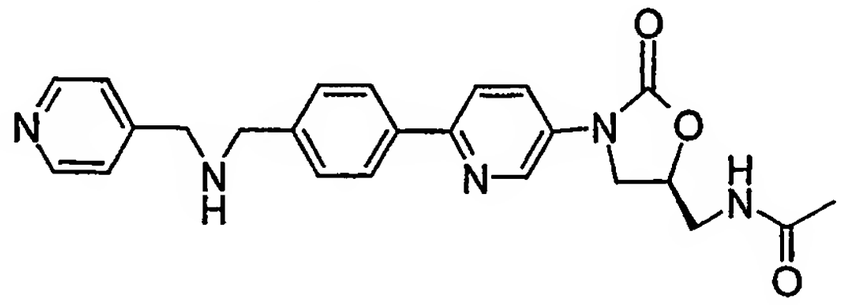
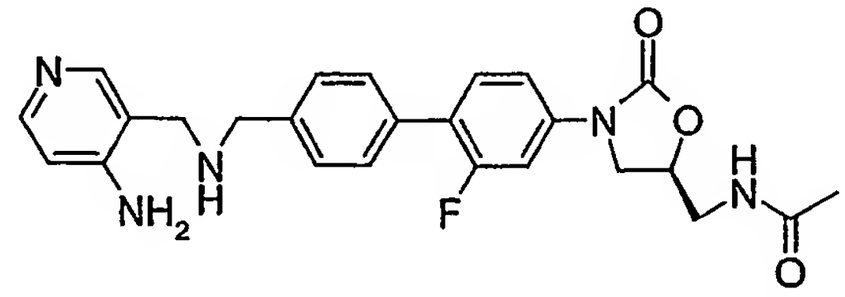
4123	
	N-[3-(2-Fluoro-4'-{[(2-phenyl-1H-imidazol-4-ylmethyl)-amino]-methyl}-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide
4124	

	N-[3-(4'-{[(2-Ethyl-3H-imidazol-4-ylmethyl)-amino]-methyl}-2-fluoro-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide
4125	
	N-[3-(4'-{[(5-Chloro-1-methyl-3-trifluoromethyl-1H-pyrazol-4-ylmethyl)-amino]-methyl}-2-fluoro-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide
4126	
	N-[3-(4'-{[(5-Chloro-1,3-dimethyl-1H-pyrazol-4-ylmethyl)-amino]-methyl}-2-fluoro-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide
4127	
	N-[3-(2-Fluoro-4'-{[(3-thiophen-2-yl-1H-pyrazol-4-ylmethyl)-amino]-methyl}-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide
4128	

	N-[3-(4'-{[(5-Cyano-6-methylsulfanyl-pyridin-2-ylmethyl)-amino]-methyl}-2-fluoro-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide
4129	
	N-[3-(4'-{[(2-Amino-4-oxo-4H-chromen-3-ylmethyl)-amino]-methyl}-2-fluoro-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide
4130	
	N-[3-(2-Fluoro-4'-{[(2-methyl-5-phenyl-furan-3-ylmethyl)-amino]-methyl}-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide
4131	
	N-[3-(4'-{[(3,4-Dihydro-2H-pyran-2-ylmethyl)-amino]-methyl}-2-fluoro-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide
4132	
	N-[3-(4'-{[(Pyridin-4-ylmethyl)-amino]-methyl}-biphenyl-4-yl)-4,5-dihydro-isoxazol-5-(R)-ylmethyl]-acetamide
4133	
	N-{3-[6-(4-{[(Pyridin-4-ylmethyl)-amino]-methyl}-phenyl)-pyridin-3-yl]-4,5-dihydro-isoxazol-5-(R)-ylmethyl}-acetamide

4134	
	N-{2-Oxo-3-[6-(4-{[(pyridin-4-ylmethyl)-amino]-methyl}-phenyl)-pyridin-3-yl]-oxazolidin-5-(S)-ylmethyl}-acetamide
4135	
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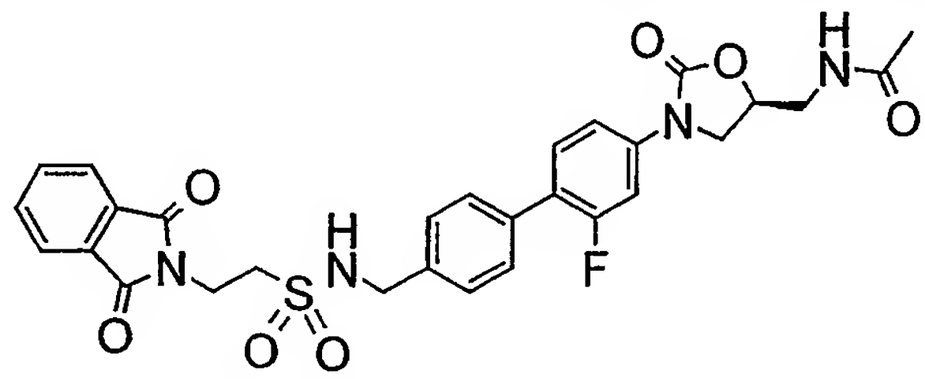
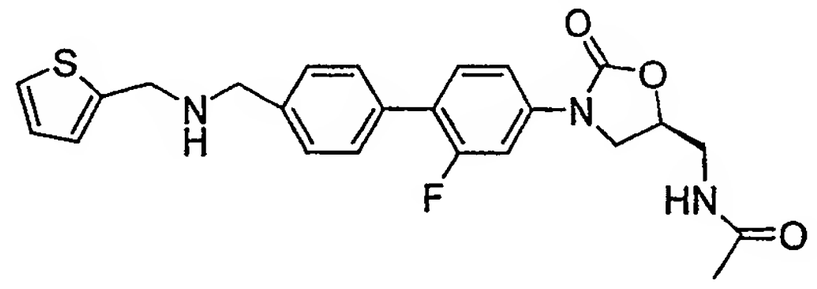
4136	
	N-[3-(4'-{[2-(1,3-Dioxo-1,3-dihydro-isoindol-2-yl)-ethanesulfonylamino]-methyl}-2-fluoro-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide
4137	
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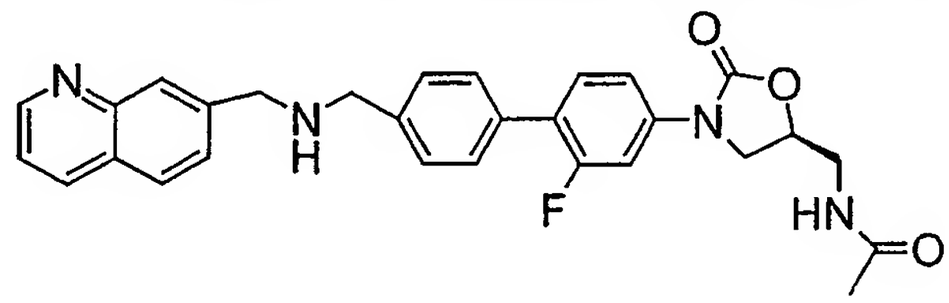
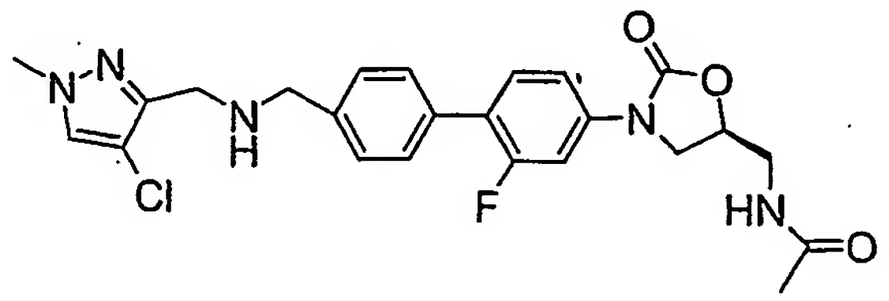
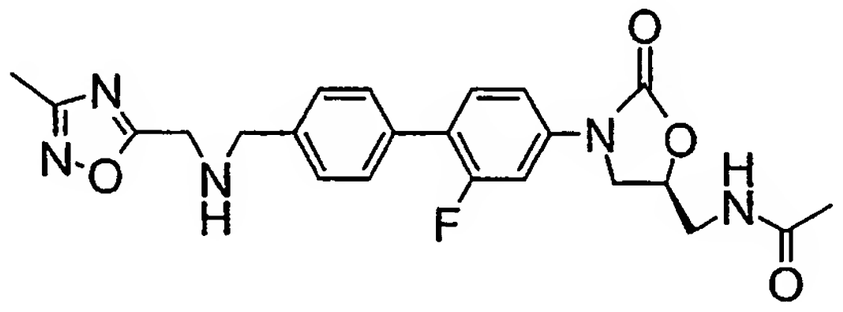
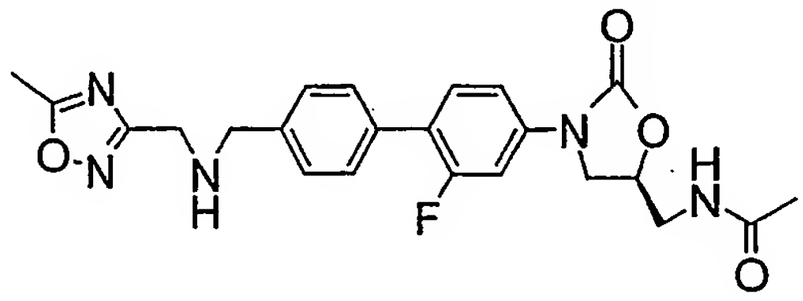
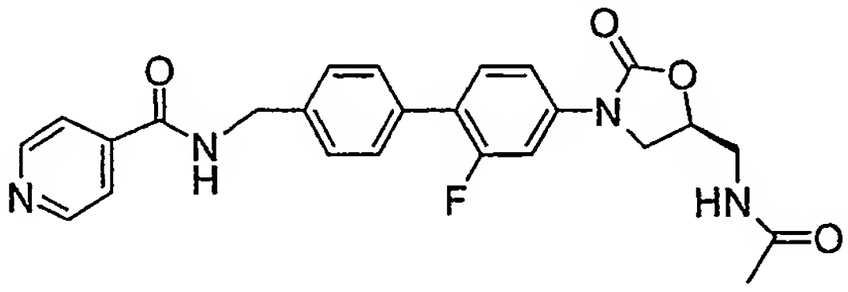
4138	
	N-[3-(2-Fluoro-4'-{[(quinolin-7-ylmethyl)-amino]-methyl}-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide

4139	
	N-[3-(4'-{[(4-Chloro-1-methyl-1H-pyrazol-3-ylmethyl)-amino]-methyl}-2-fluoro-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide
4140	
	N-[3-(2-Fluoro-4'-{[(3-methyl-[1,2,4]oxadiazol-5-ylmethyl)-amino]-methyl}-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide
4141	
	N-[3-(2-Fluoro-4'-{[(5-methyl-[1,2,4]oxadiazol-3-ylmethyl)-amino]-methyl}-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide
4142	
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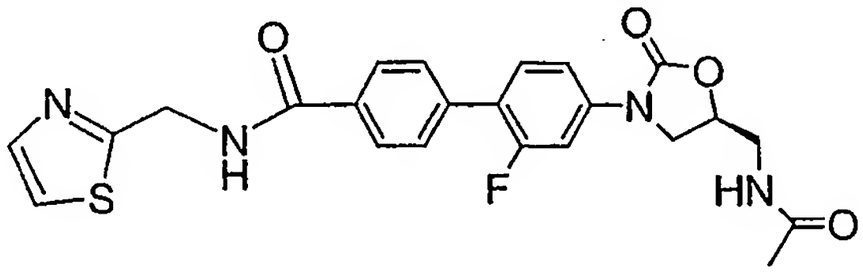
4143	
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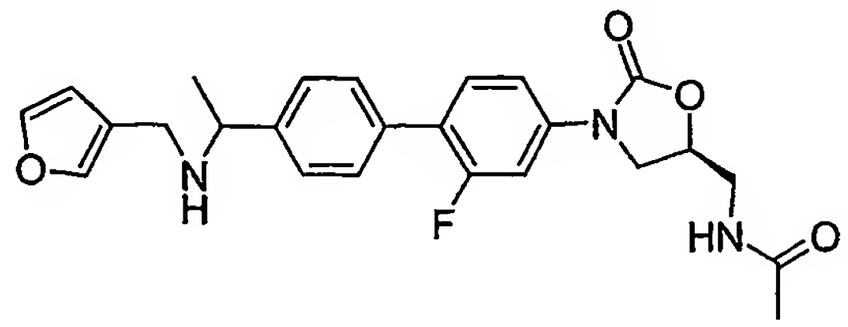
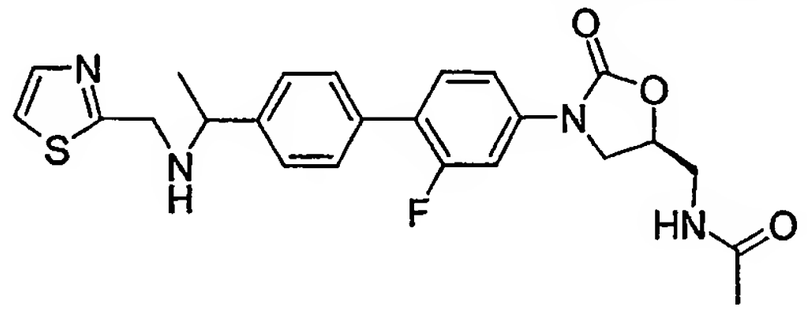
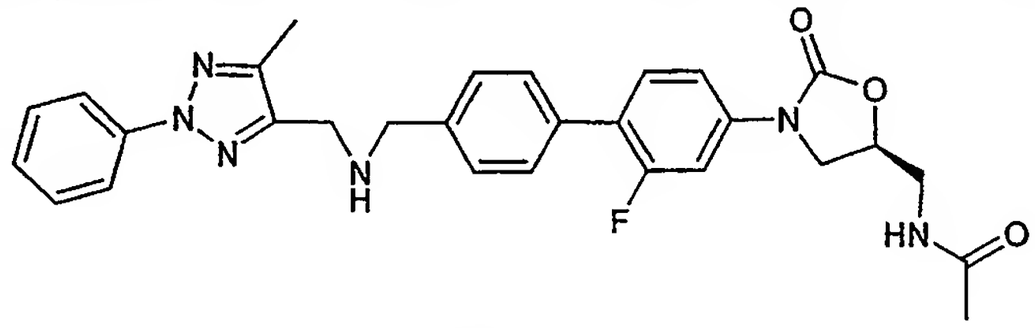
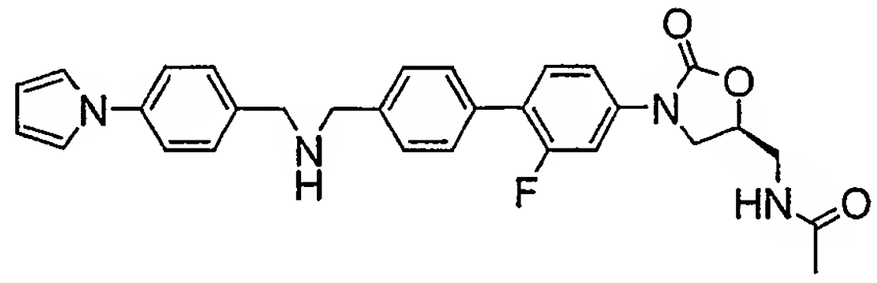
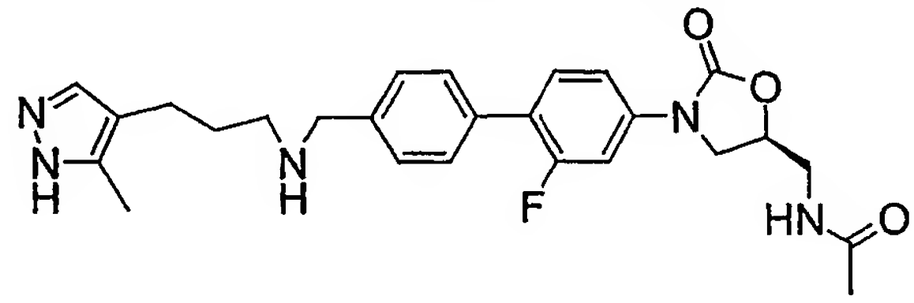
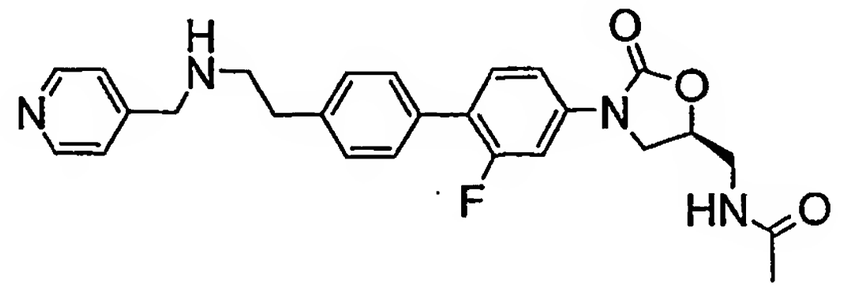
4144	
	N-[3-(2-Fluoro-4'-{1-(R/S)-[(furan-3-ylmethyl)-amino]-ethyl}-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide
4145	
	N-[3-(2-Fluoro-4'-{1-(R/S)-[(thiazol-2-ylmethyl)-amino]-ethyl}-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide
4146	
	N-[3-(2-Fluoro-4'-{[(5-methyl-2-phenyl-2H-[1,2,3]triazol-4-ylmethyl)-amino]-methyl}-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide
4147	
	N-(3-{2-Fluoro-4'-[(4-pyrrol-1-yl-benzylamino)-methyl]-biphenyl-4-yl}-2-oxo-oxazolidin-5-(S)-ylmethyl)-acetamide
4148	
	N-[3-(2-Fluoro-4'-{[3-(5-methyl-1H-pyrazol-4-yl)-propylamino]-methyl}-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide

4149	
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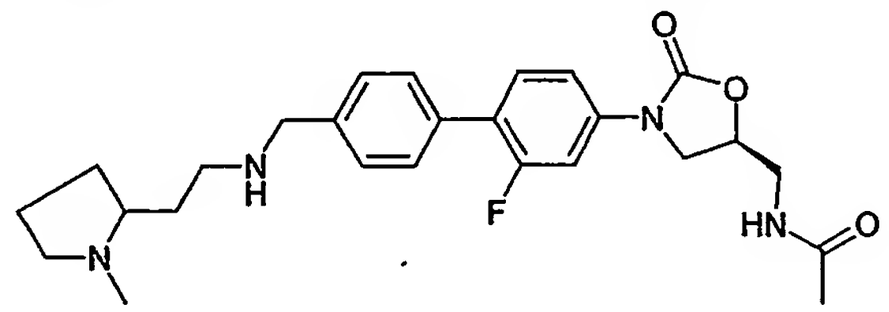
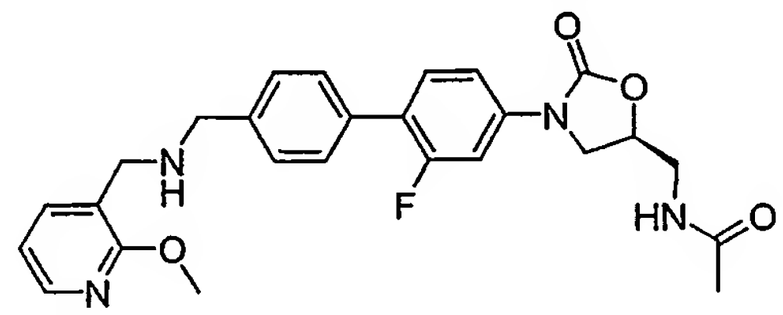
4150	
	N-[3-(2-Fluoro-4'-{[2-(R/S)-(1-methyl-pyrrolidin-2-yl)-ethylamino]-methyl}-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide
4151	
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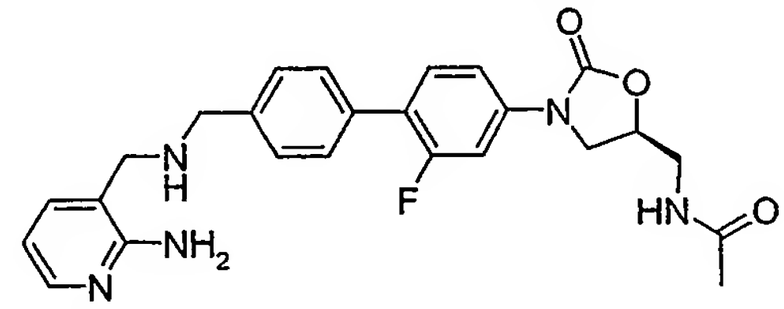
4152	
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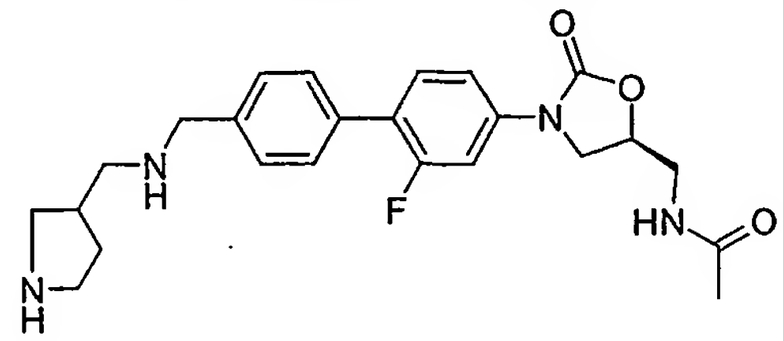
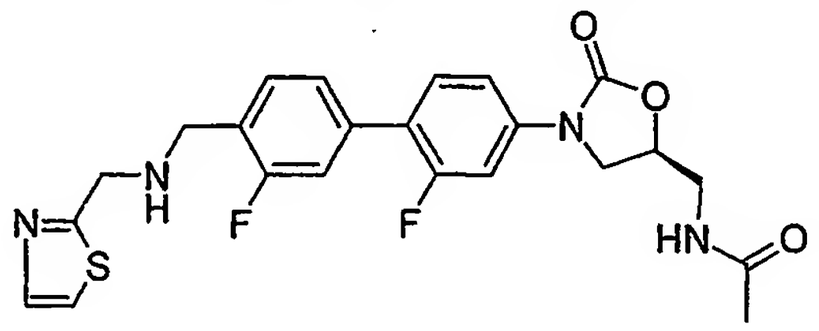
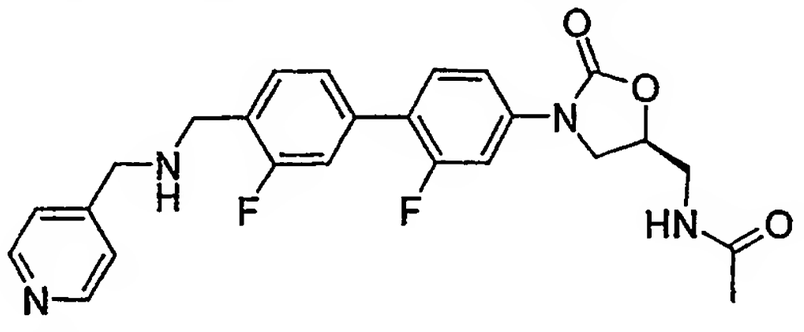
4153	
	N-[3-(2-Fluoro-4'-{[(pyrrolidin-3-(R/S)-ylmethyl)-amino]-methyl}-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide

4154	
	N-[3-(2,3'-Difluoro-4'-{[(thiazol-2-ylmethyl)-amino]-methyl}-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide
4155	
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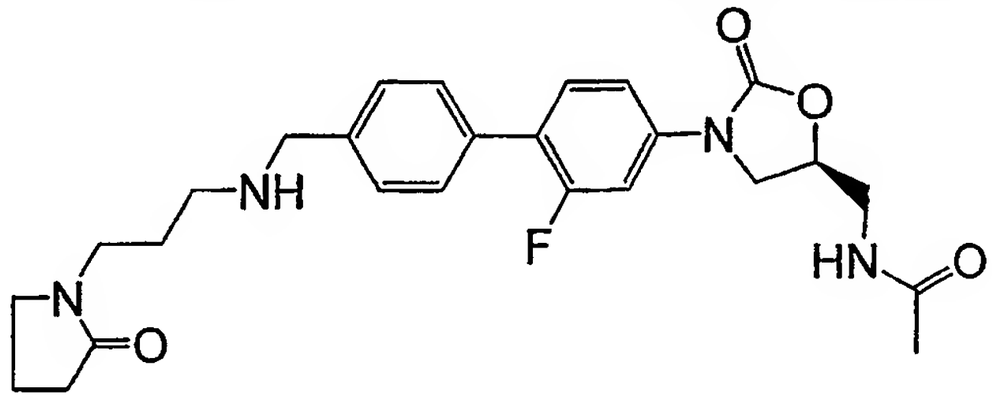
4156	
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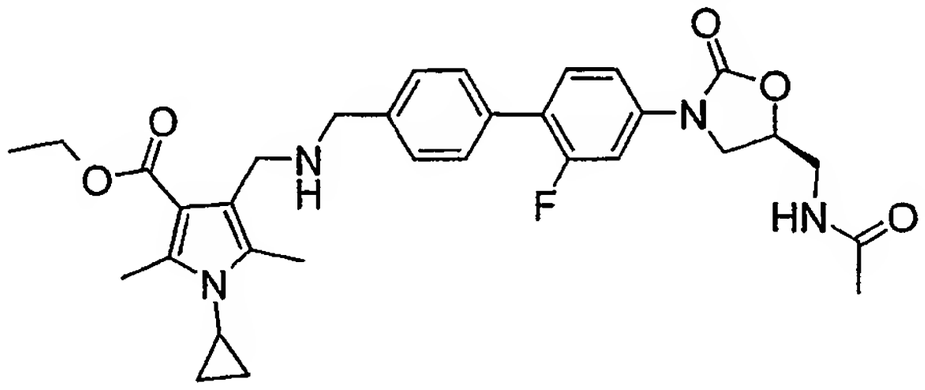
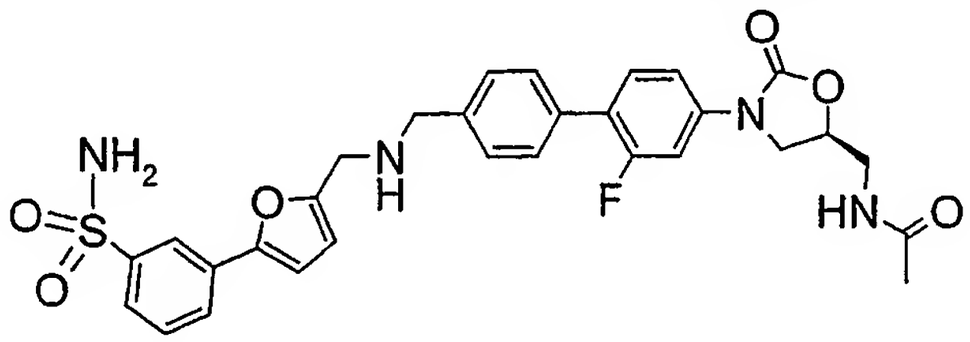
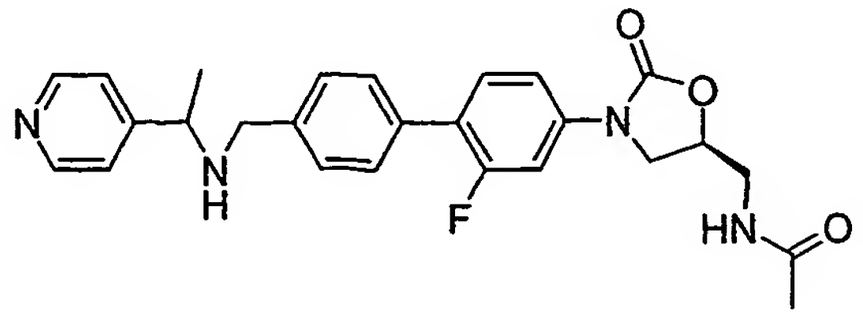
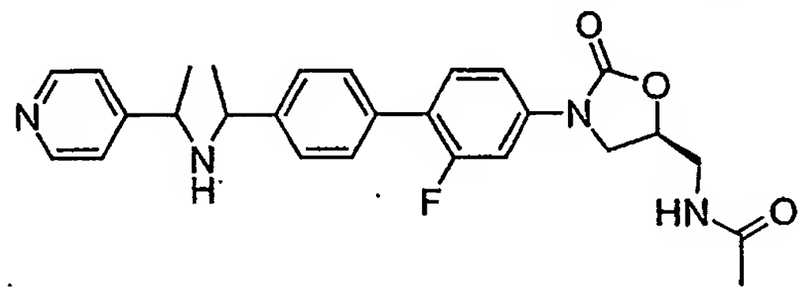
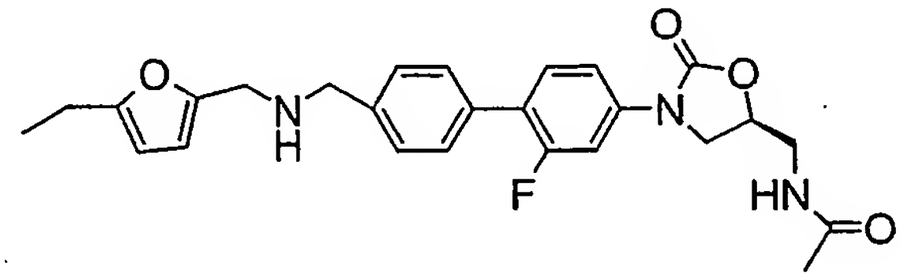
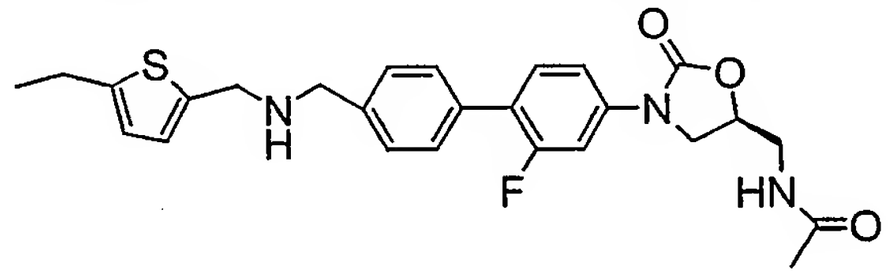
4157	
	4-[({4'-[5-(S)-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2'-fluoro-biphenyl-4-ylmethyl}-amino)-methyl]-1-cyclopropyl-2,5-dimethyl-1H-pyrrole-3-carboxylic acid ethyl ester
4158	

	N-{3-[2-Fluoro-4'-({[5-(3-sulfamoyl-phenyl)-furan-2-ylmethyl]-amino}-methyl)-biphenyl-4-yl]-2-oxo-oxazolidin-5-(S)-ylmethyl}-acetamide
4159	
	N-(3-{2-Fluoro-4'-[(1-pyridin-4-(R/S)-yl-ethylamino)-methyl]-biphenyl-4-yl}-2-oxo-oxazolidin-5-(S)-ylmethyl)-acetamide
4160	
	N-(3-{2-Fluoro-4'-[1-(R/S)-(1-pyridin-4-(R/S)-yl-ethylamino)-ethyl]-biphenyl-4-yl}-2-oxo-oxazolidin-5-(S)-ylmethyl)-acetamide
4161	
	N-[3-(4'-{[(5-Ethyl-furan-2-ylmethyl)-amino]-methyl}-2-fluoro-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide
4162	
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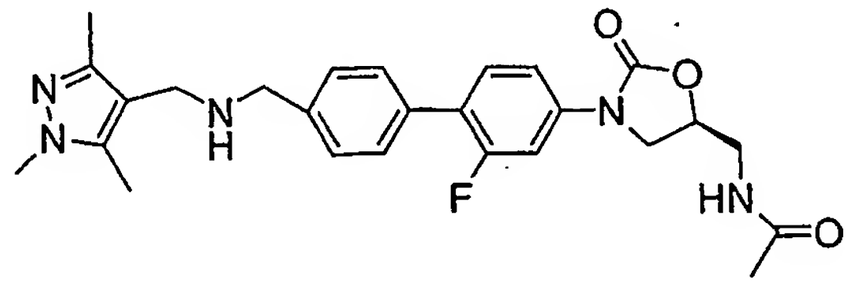
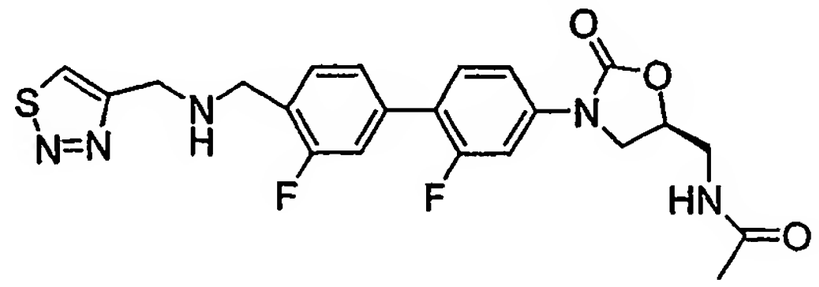
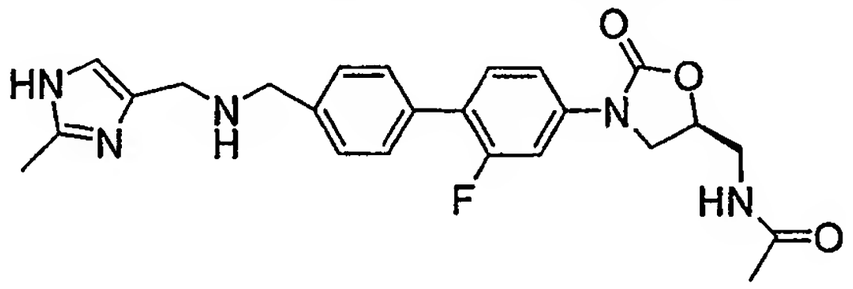
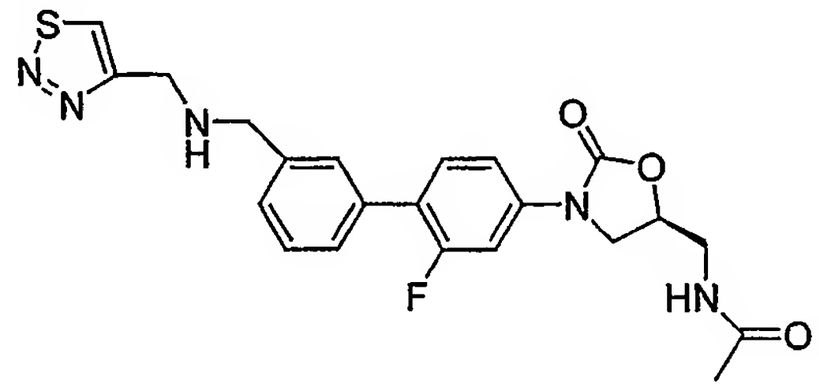
4163	
	N-[3-(2-Fluoro-4'-{[(1,3,5-trimethyl-1H-pyrazol-4-ylmethyl)-amino]-methyl}-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide

4164	
	N-[3-(2,3'-Difluoro-4'-{[([1,2,3]thiadiazol-4-ylmethyl)-amino]-methyl}-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide
4165	
	N-[3-(2-Fluoro-4'-{[(2-methyl-1H-imidazol-4-ylmethyl)-amino]-methyl}-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide
4166	
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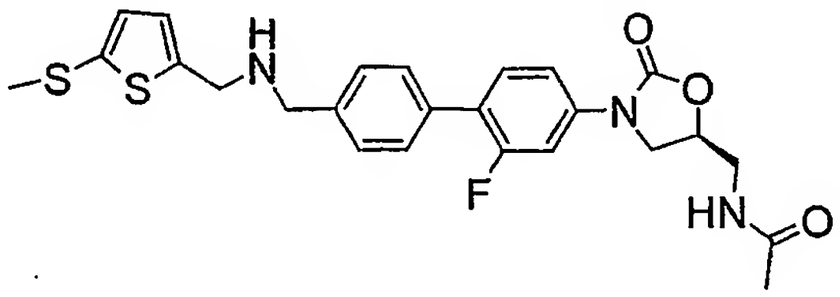
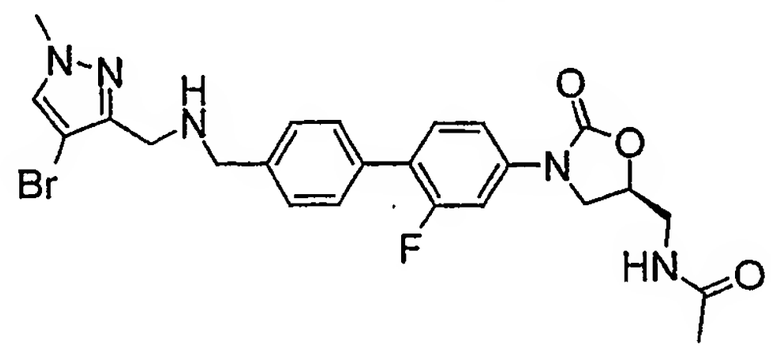
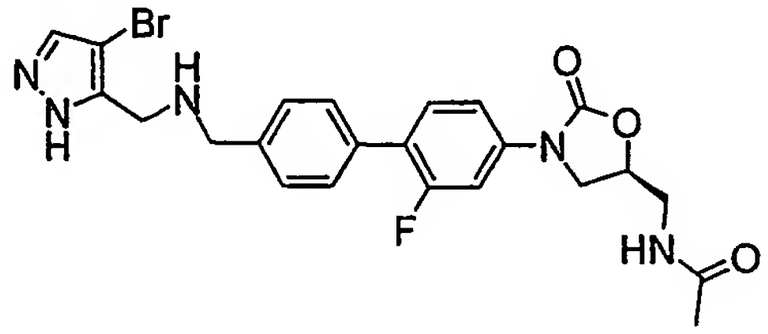
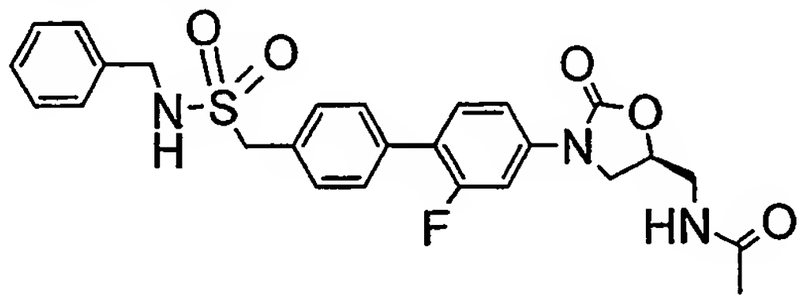
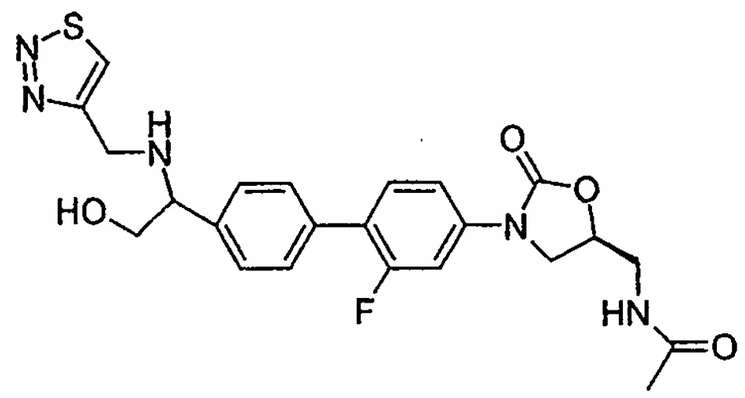
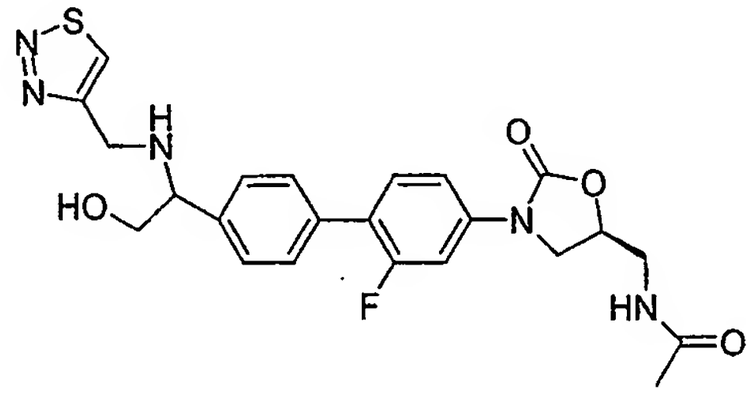
4167	
	N-[3-(2-Fluoro-4'-{[(5-methylsulfanyl-thiophen-2-ylmethyl)-amino]-methyl}-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide
4168	
	N-[3-(4'-{[(4-Bromo-1-methyl-1H-pyrazol-3-ylmethyl)-amino]-methyl}-2-fluoro-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide

4169	
	N-[3-(4'-{[(4-Bromo-2H-pyrazol-3-ylmethyl)-amino]-methyl}-2-fluoro-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide
4170	
	N-{3-[4'-(Benzylsulfamoyl-methyl)-2-fluoro-biphenyl-4-yl]-2-oxo-oxazolidin-5-(S)-ylmethyl}-acetamide
4171	
	N-[3-(2-Fluoro-4'-{2-hydroxy-1-[([1,2,3]thiadiazol-4-(R/S)-ylmethyl)-amino]-ethyl}-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide
4172	
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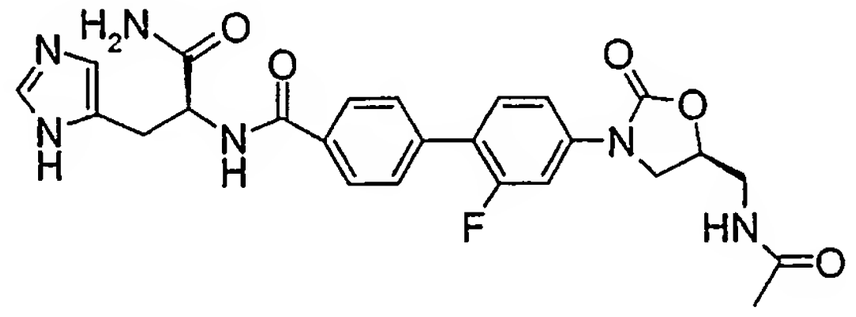
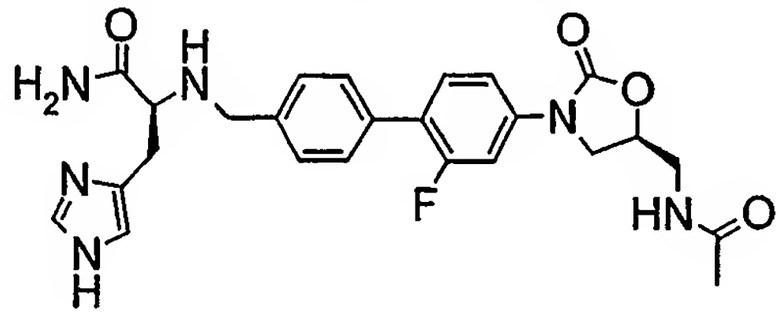
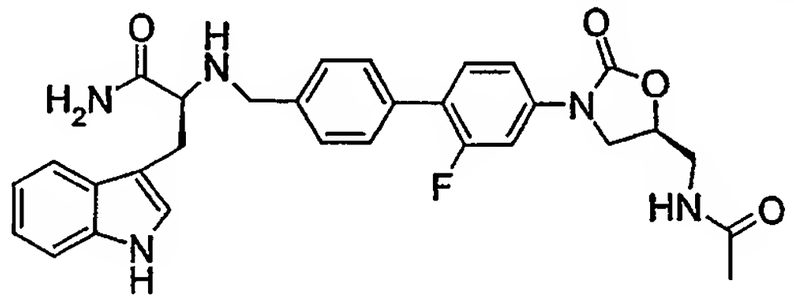
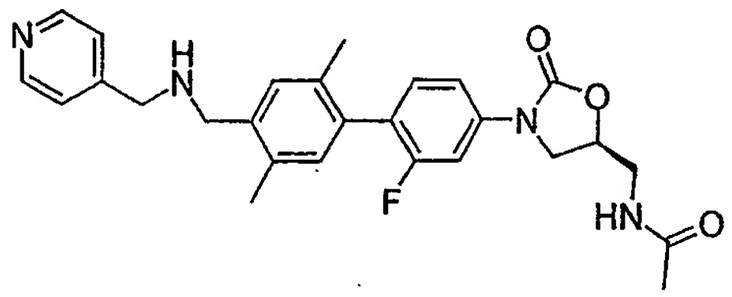
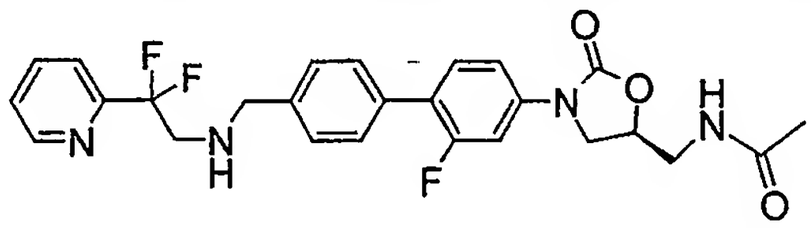
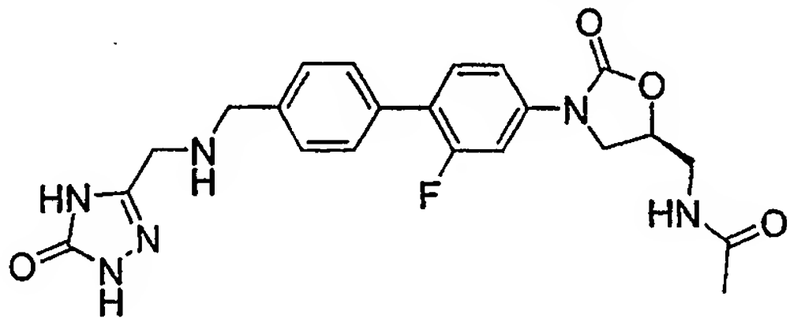
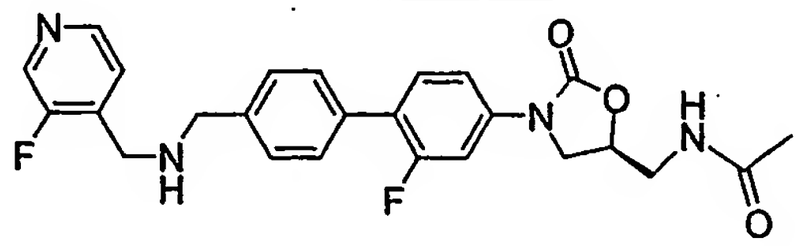
4173	

	4'-[5-(S)-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2'-fluoro-biphenyl-4-carboxylic acid [1-carbamoyl-2-(S)-(3H-imidazol-4-yl)-ethyl]-amide
4174	
	2-({4'-[5-(S)-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2'-fluoro-biphenyl-4-ylmethyl}-amino)-3-(S)-(1H-imidazol-4-yl)-propionamide
4175	
	2-({4'-[5-(S)-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2'-fluoro-biphenyl-4-ylmethyl}-amino)-3-(S)-(1H-indol-3-yl)-propionamide
4176	
	N-[3-(2-Fluoro-2',5'-dimethyl-4'-{[(pyridin-4-ylmethyl)-amino]-methyl}-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide
4177	
	N-(3-{4'-[(2,2-Difluoro-2-pyridin-2-yl-ethylamino)-methyl]-2-fluoro-biphenyl-4-yl}-2-oxo-oxazolidin-5-(S)-ylmethyl)-acetamide
4178	
	N-[3-(2-Fluoro-4'-{[(5-(S)-oxo-4,5-dihydro-1H-[1,2,4]triazol-3-ylmethyl)-amino]-methyl}-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide

4179	
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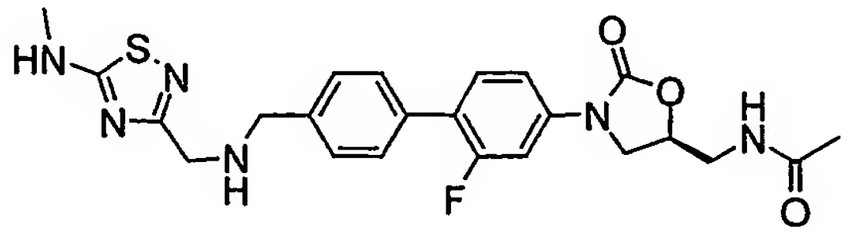
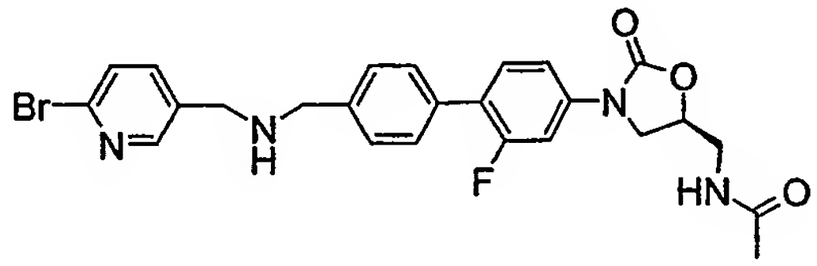
4180	
	N-[3-(2-Fluoro-4'-{[(5-methylamino-[1,2,4]thiadiazol-3-ylmethyl)-amino]-methyl}-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide
4181	
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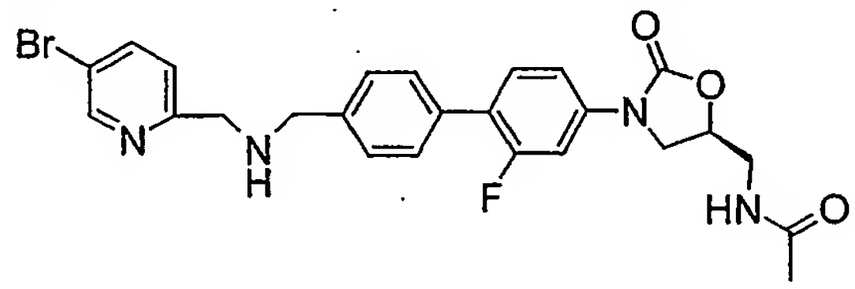
4182	
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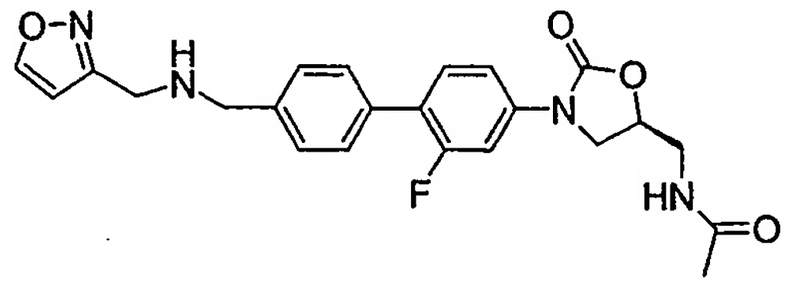
4183	
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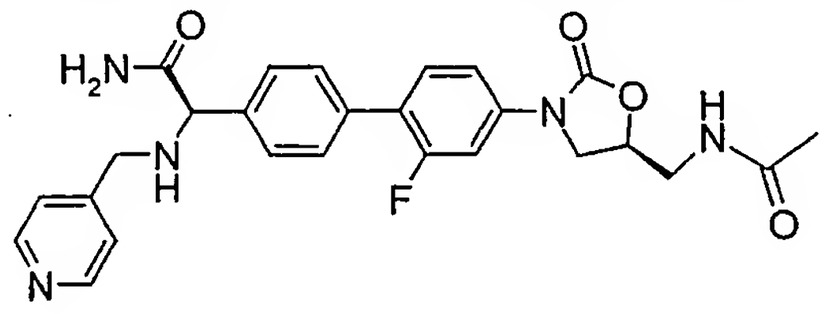
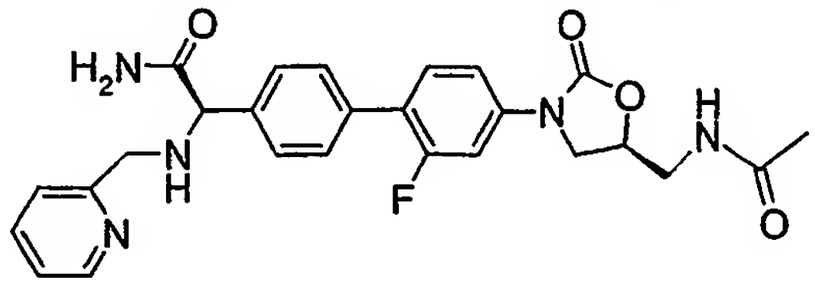
4184	
	2-{4'-[5-(S)-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2'-fluoro-biphenyl-4-yl}-2-(R)-[(pyridin-4-ylmethyl)-amino]-acetamide

4185	
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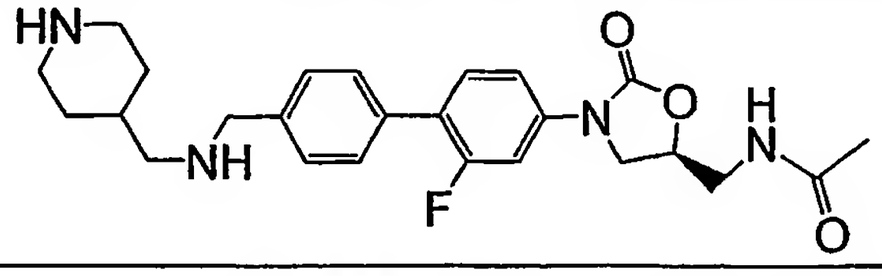
4186	
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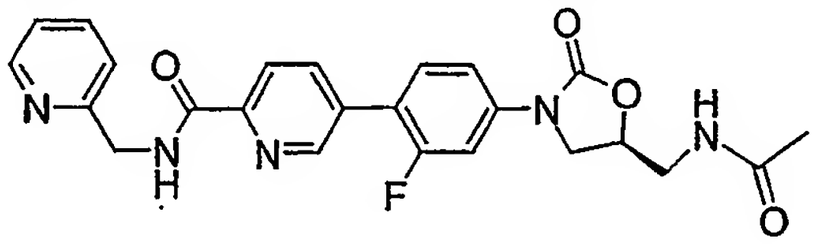
4187	
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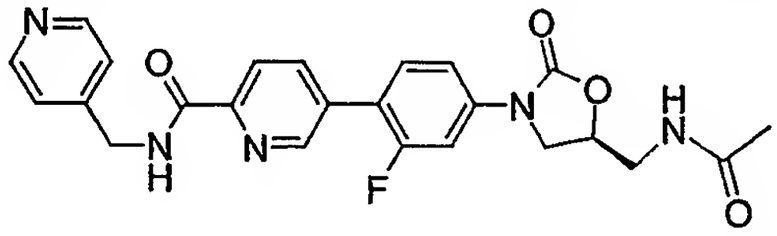
4188	
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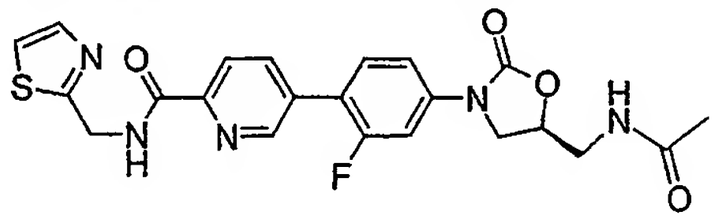
4189	
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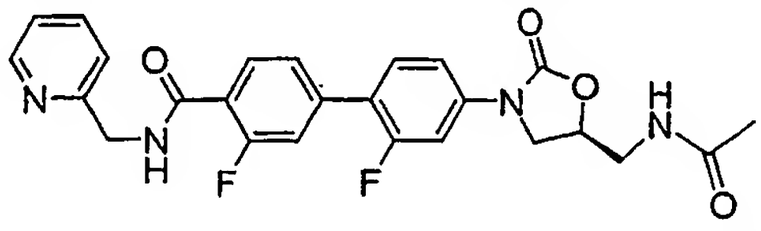
4190	
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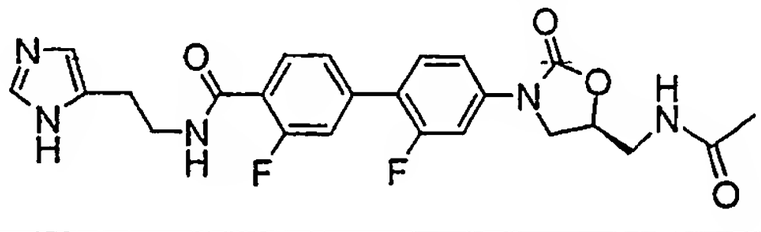
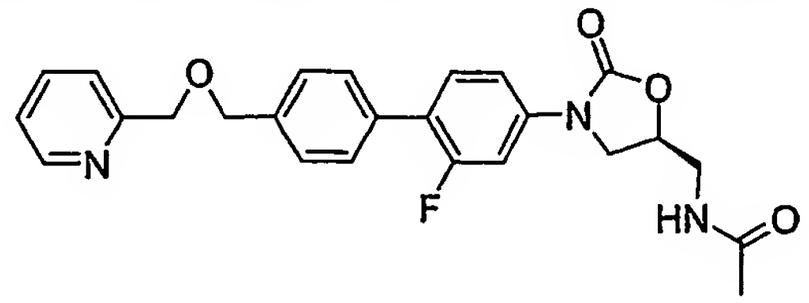
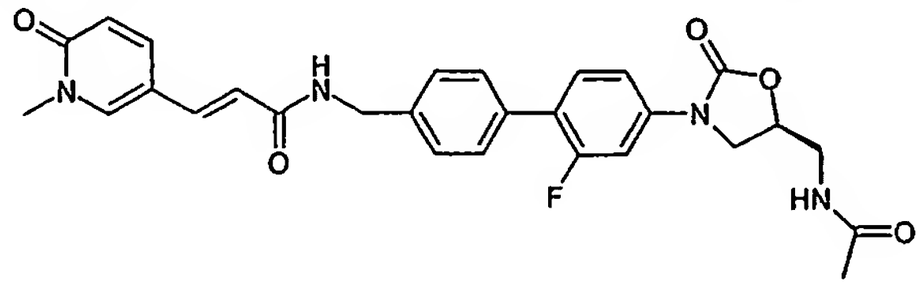
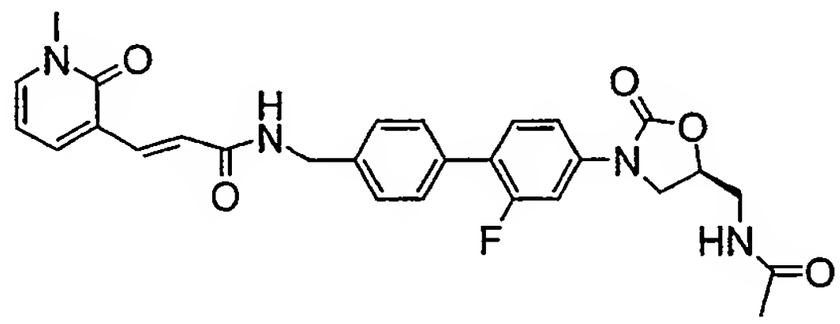
4191	

	4'-[5-(S)-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-3,2'-difluoro-biphenyl-4-carboxylic acid [2-(3H-imidazol-4-yl)-ethyl]-amide
4192	
	N-{3-[2-Fluoro-4'-(pyridin-2-ylmethoxymethyl)-biphenyl-4-yl]-2-oxo-oxazolidin-5-(S)-ylmethyl}-acetamide
4193	
	N-{4'-[5-(S)-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2'-fluoro-biphenyl-4-ylmethyl}-3-(1-methyl-6-oxo-1,6-dihydro-pyridin-3-yl)-acrylamide
4194	
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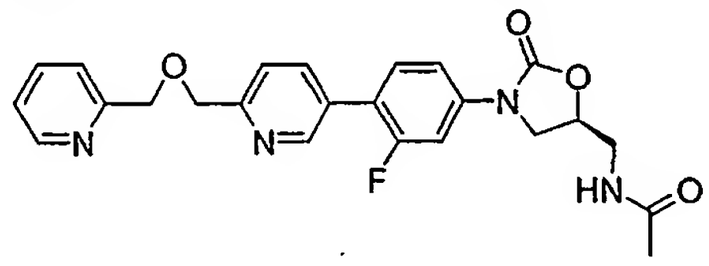
4195	
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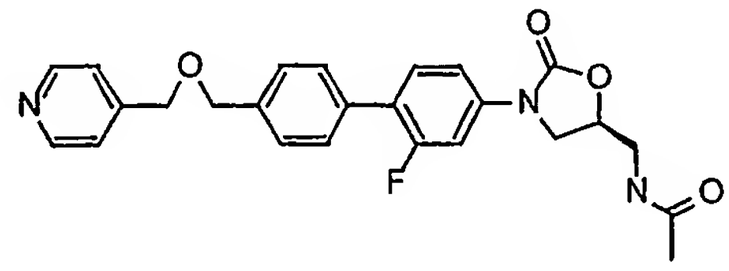
4196	
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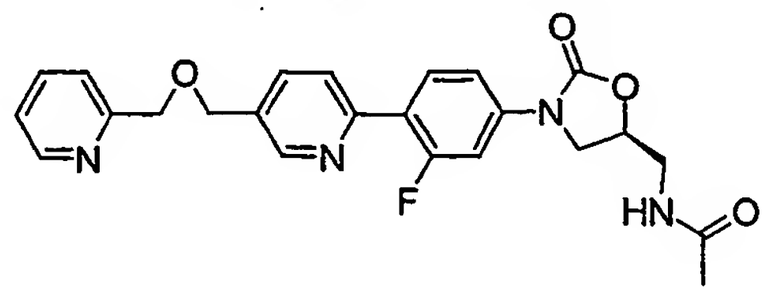
4197	
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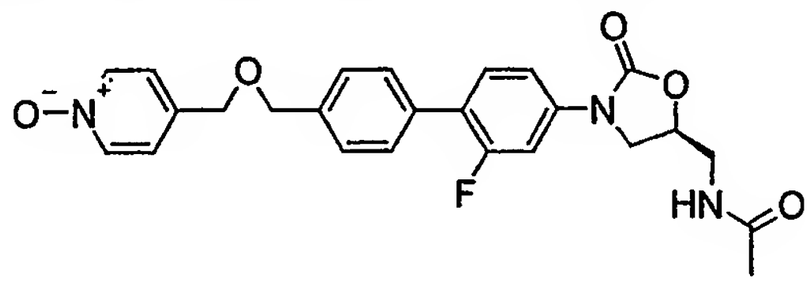
4198	
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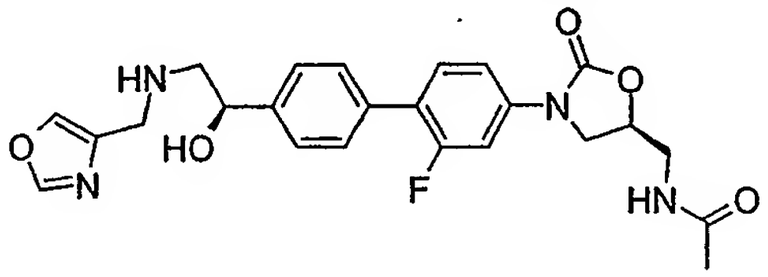
4199	
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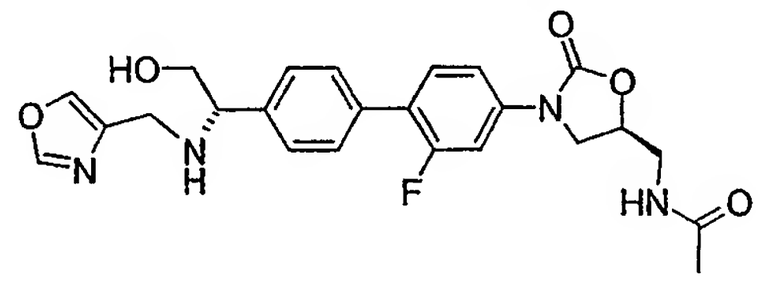
4200	
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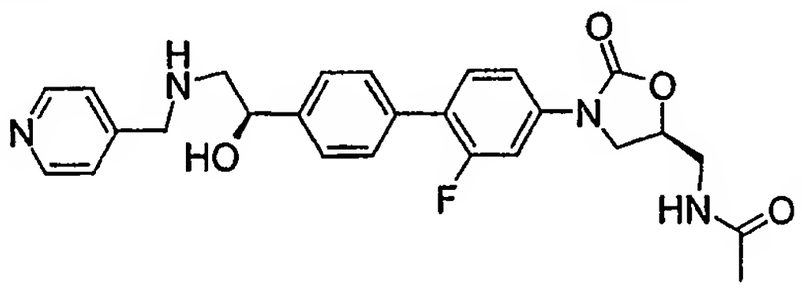
4201	
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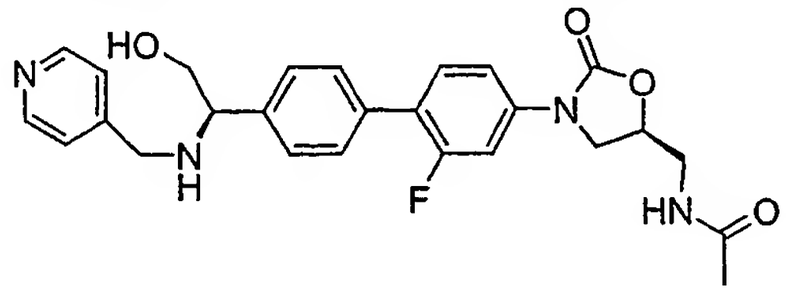
4202	

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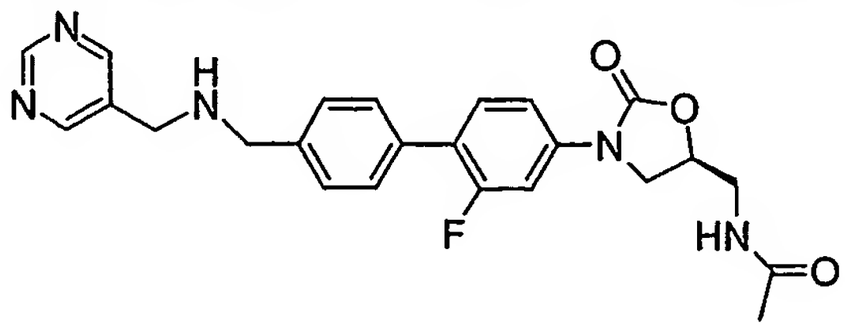
4203	
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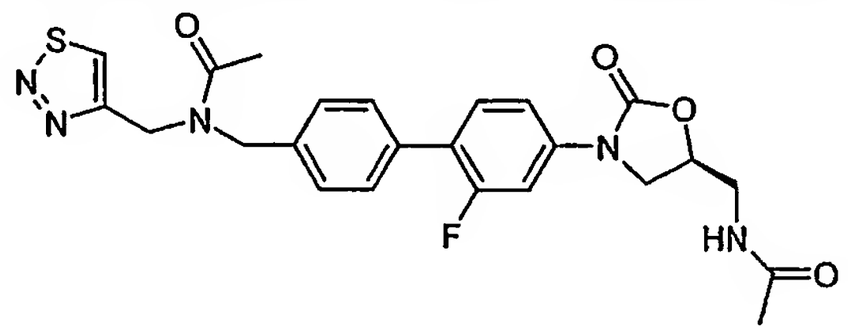
4204	
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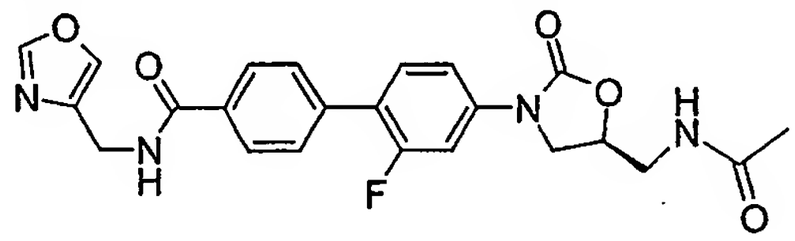
4205	
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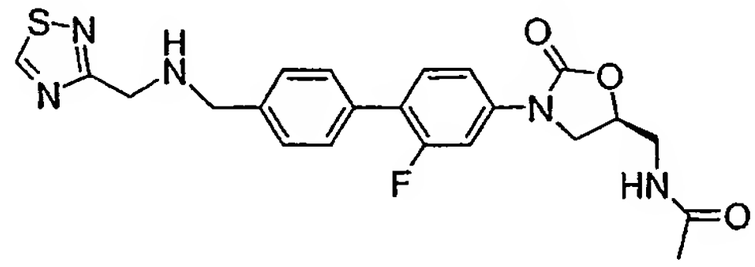
4206	
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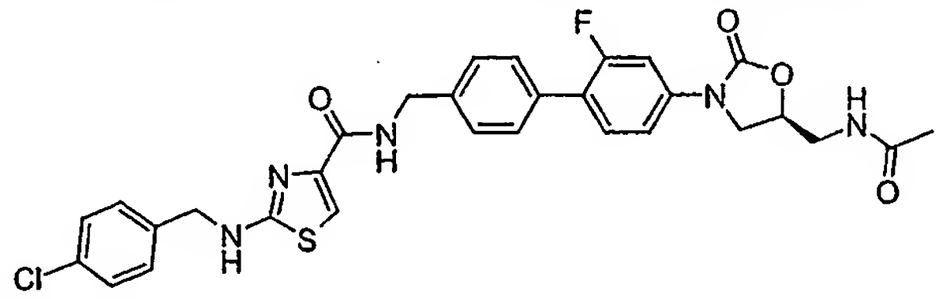
4207	
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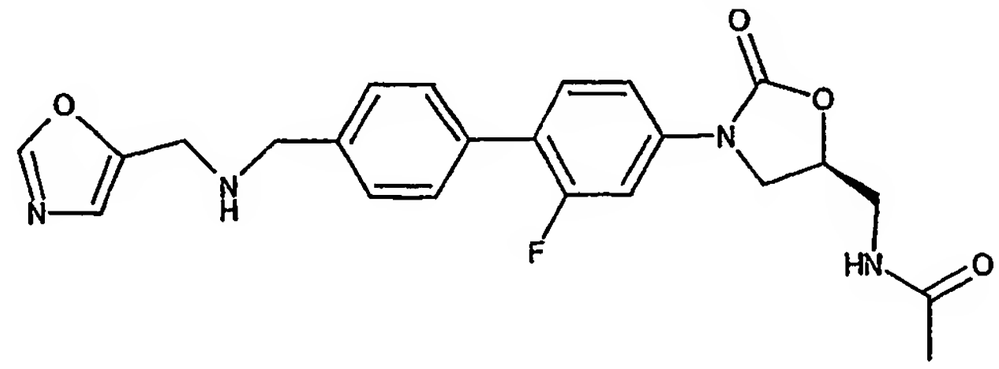
4208	
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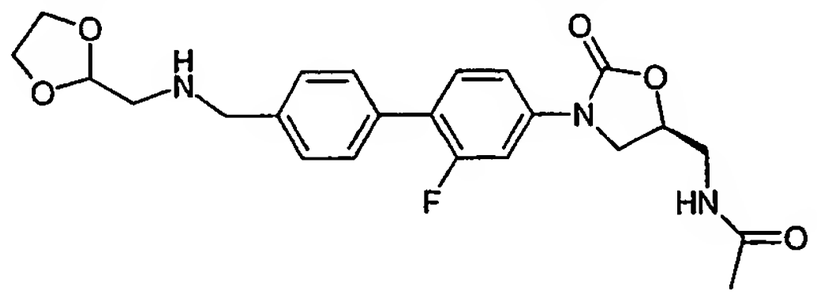
4209	
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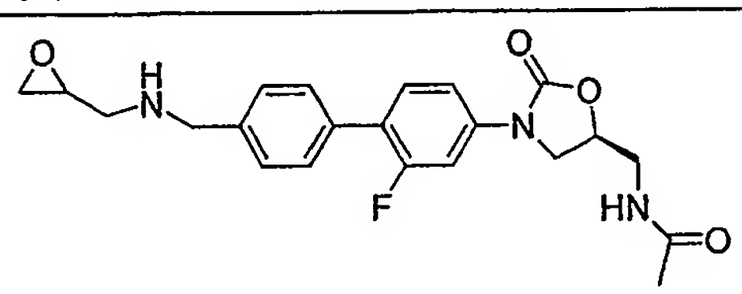
4210	
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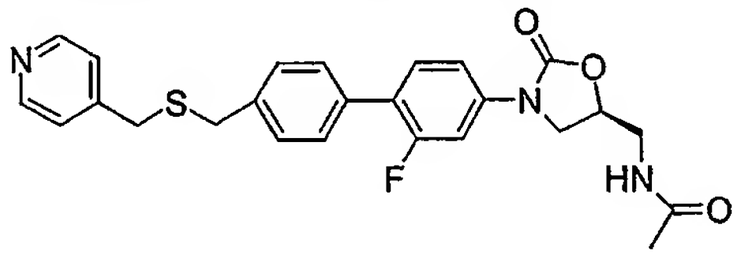
4211	
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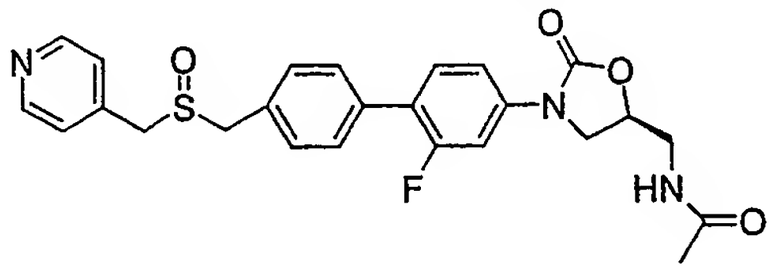
4212	
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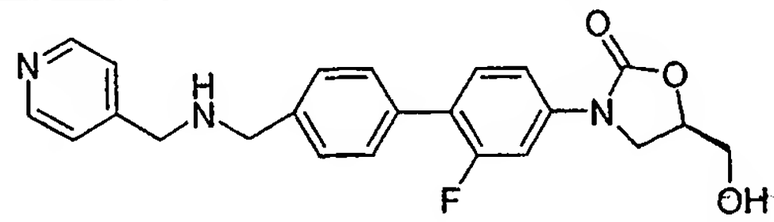
4213	
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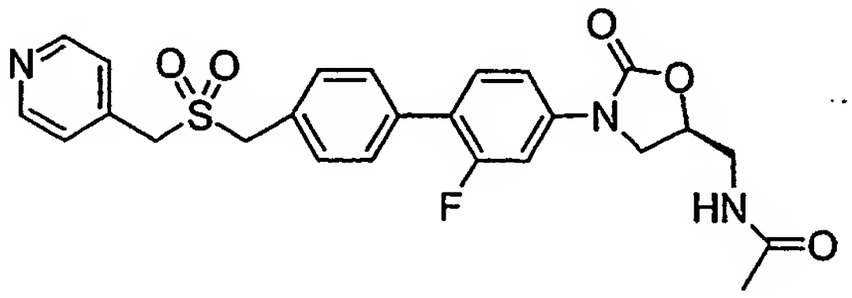
4214	
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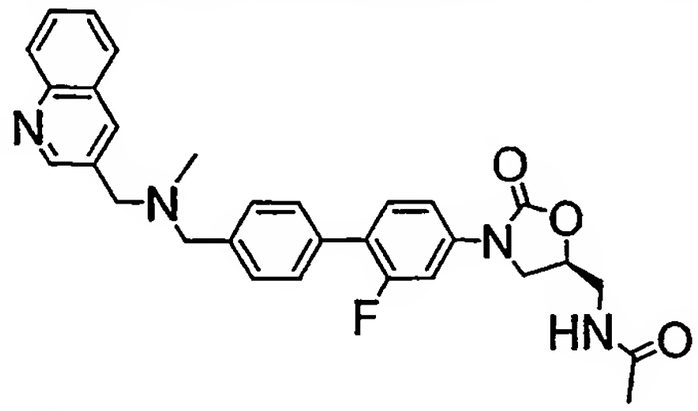
4215	
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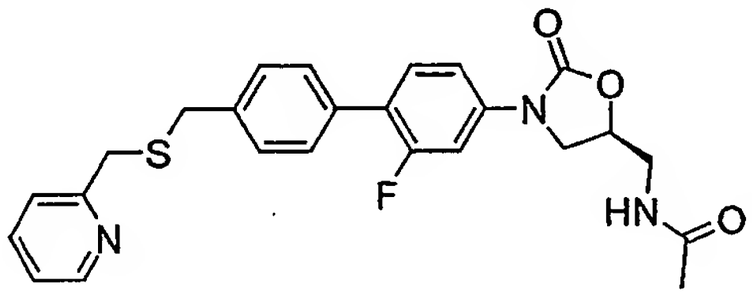
4216	
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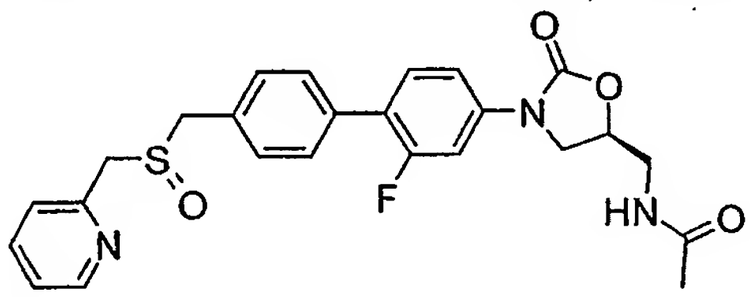
4217	
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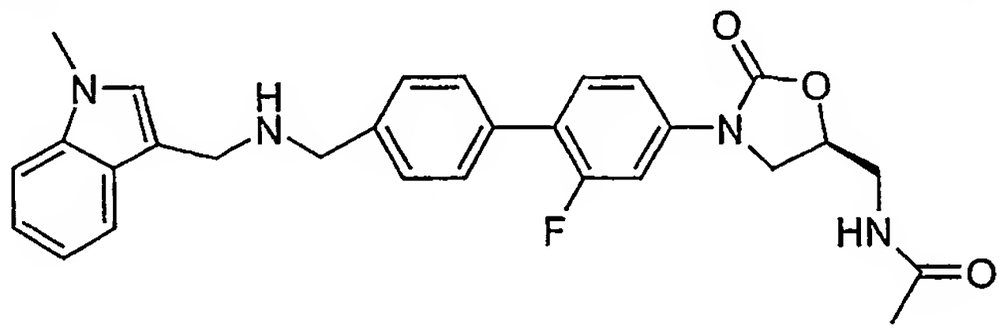
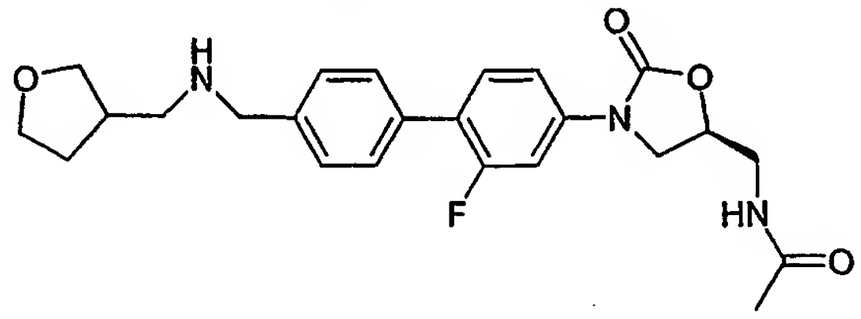
4218	
	N-[3-(2-Fluoro-4'-{[(1-methyl-1H-indol-3-ylmethyl)-amino]-methyl}-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide

4219	
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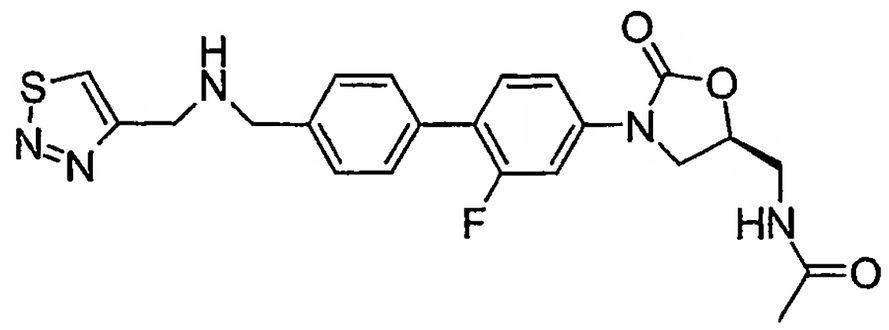
4220	
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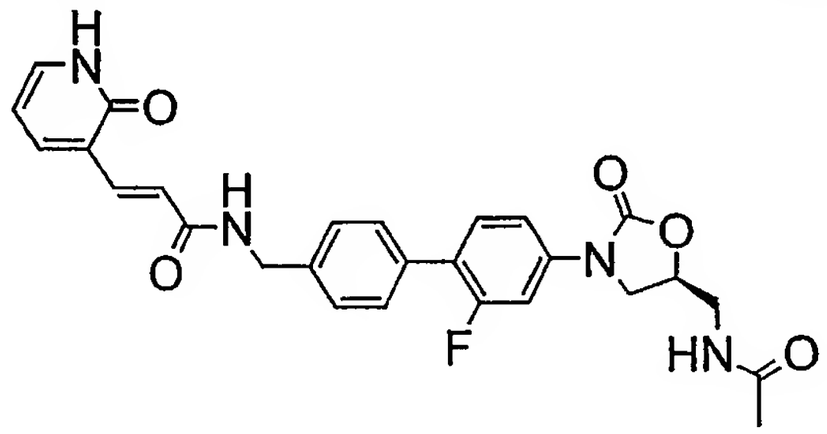
4221	
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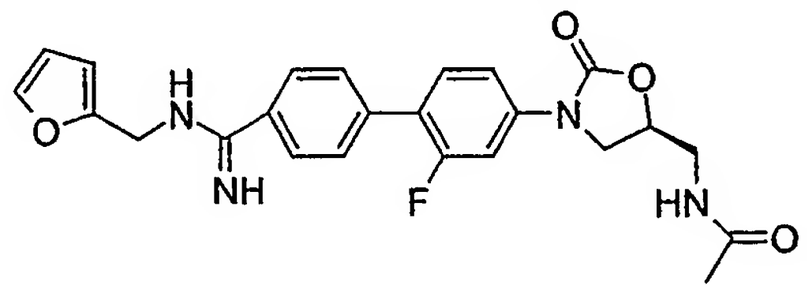
4222	
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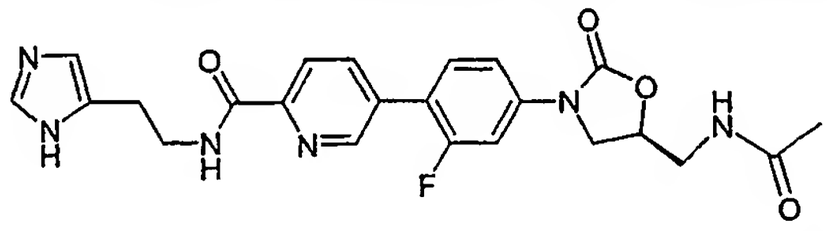
4223	
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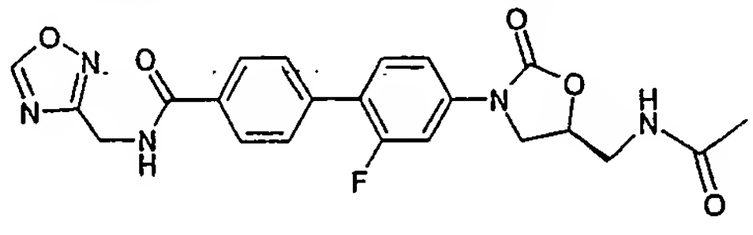
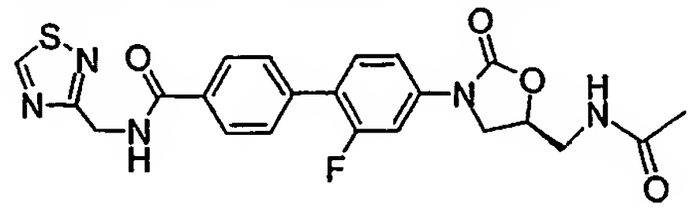
4224	

	4'-[5-(S)-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2'-fluoro-biphenyl-4-carboxylic acid ([1,2,4]oxadiazol-3-ylmethyl)-amide
4225	
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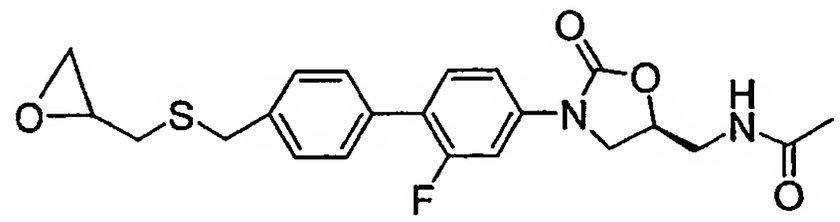
4226	
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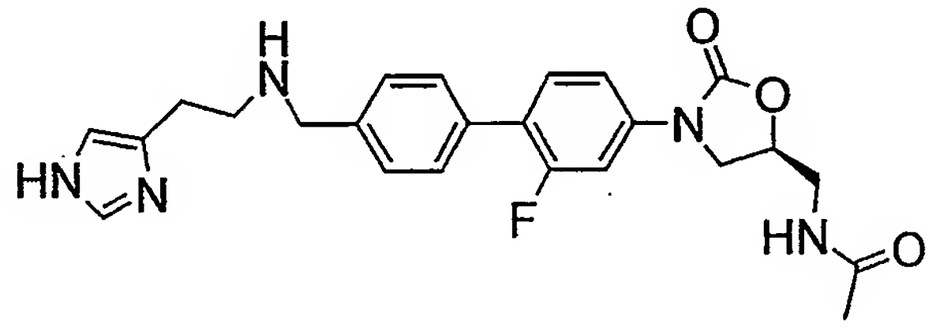
4227	
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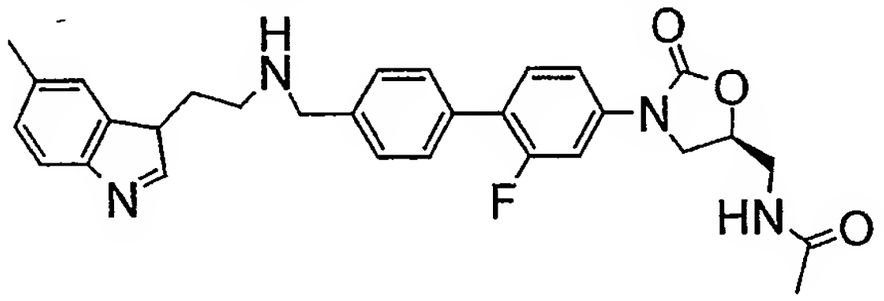
4228	
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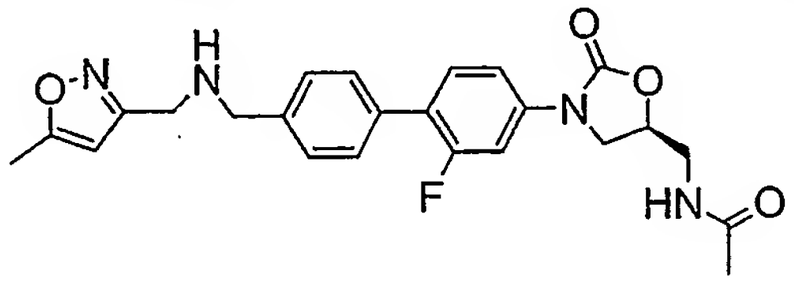
4229	
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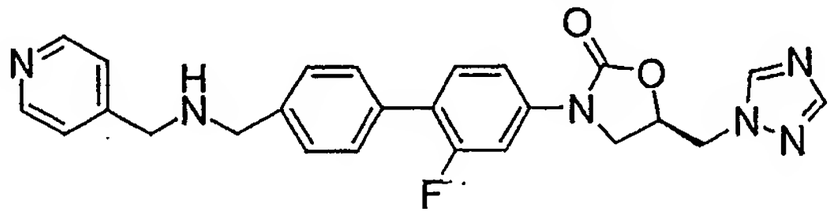
4230	

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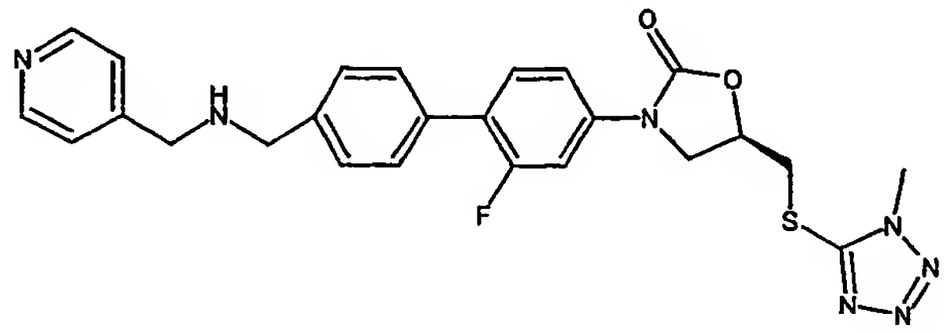
4231	
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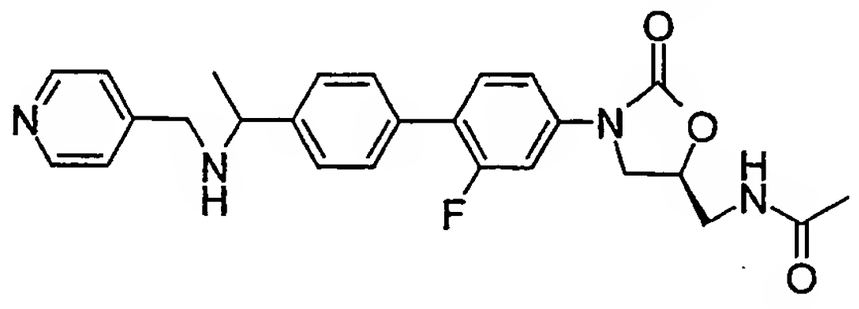
4232	
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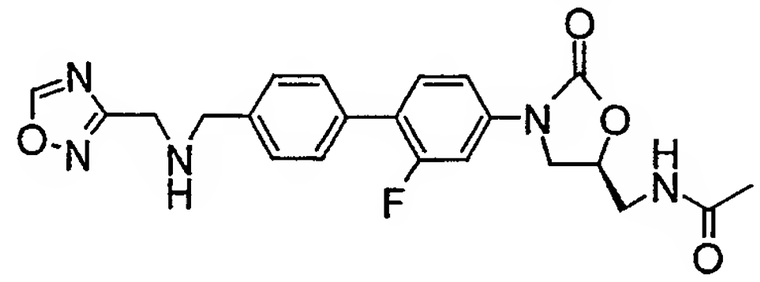
4233	
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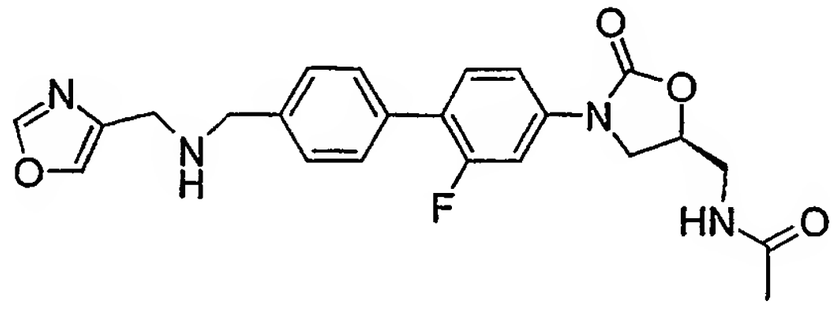
4234	
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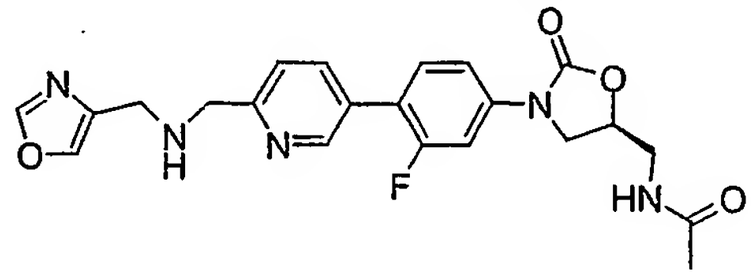
4235	
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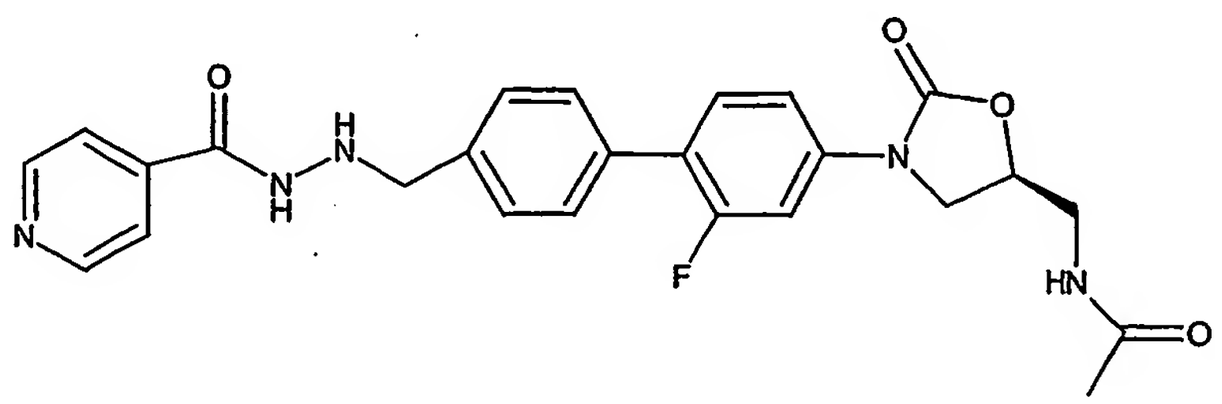
4236	
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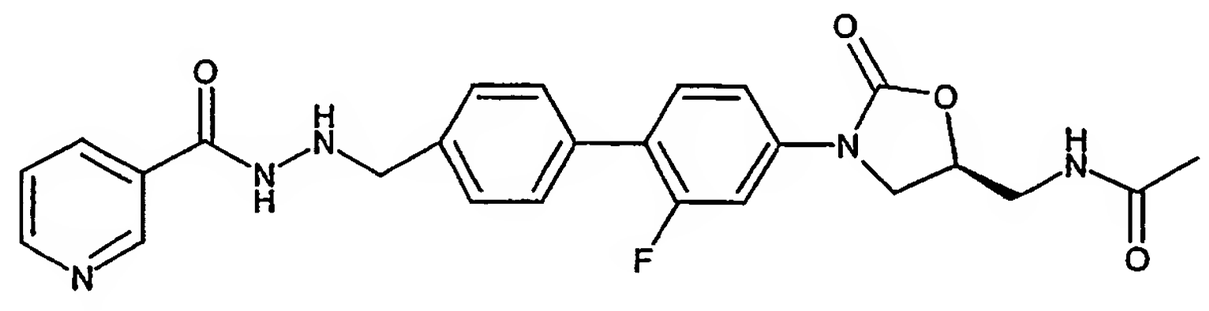
4237	
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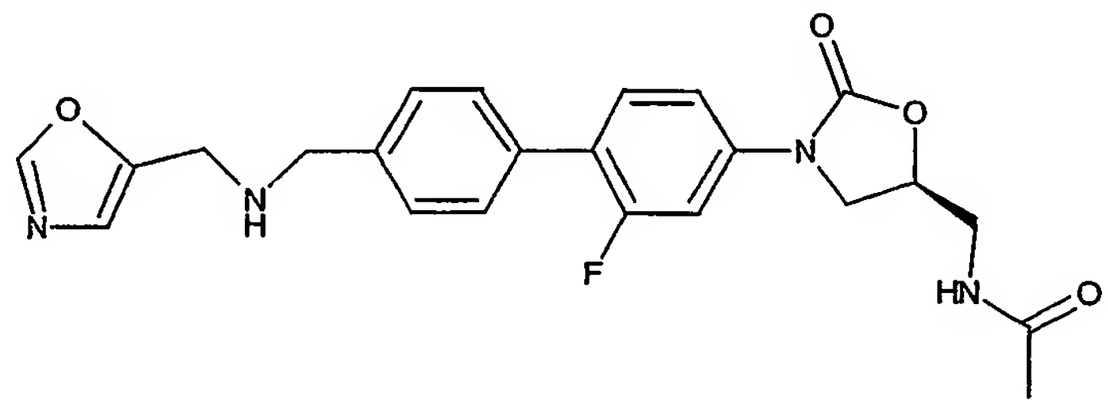
4238	
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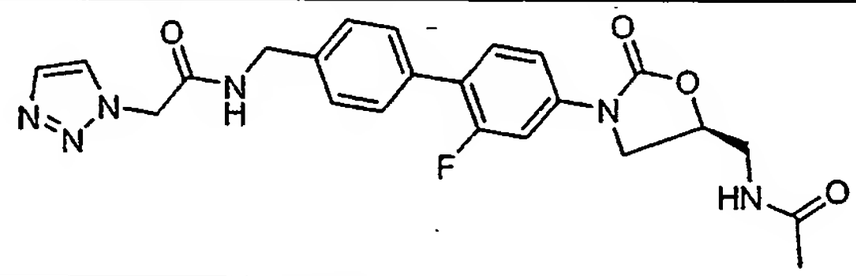
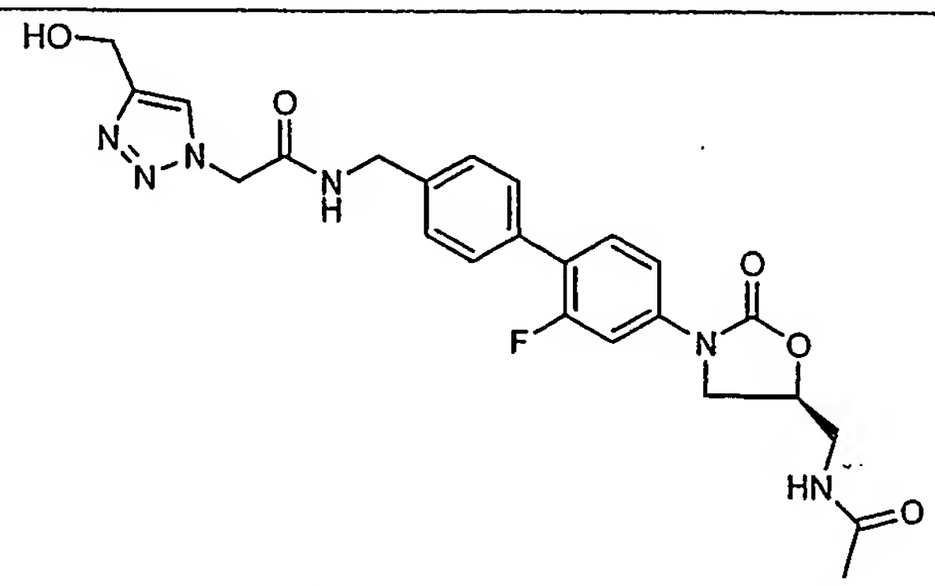
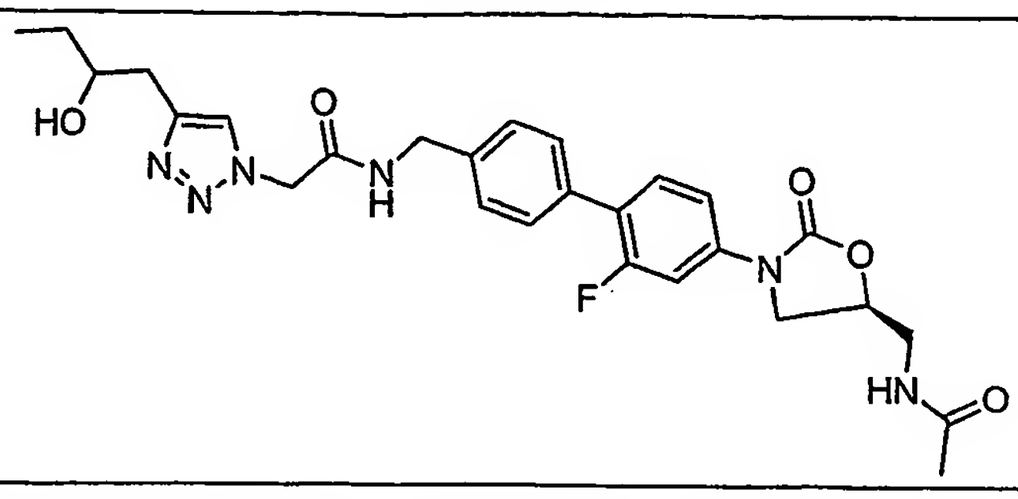
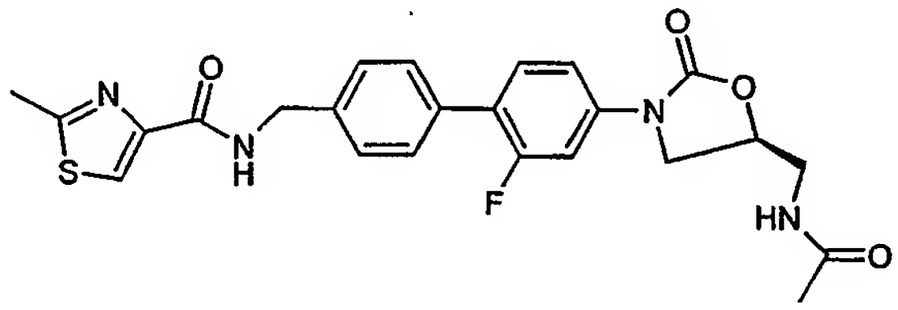
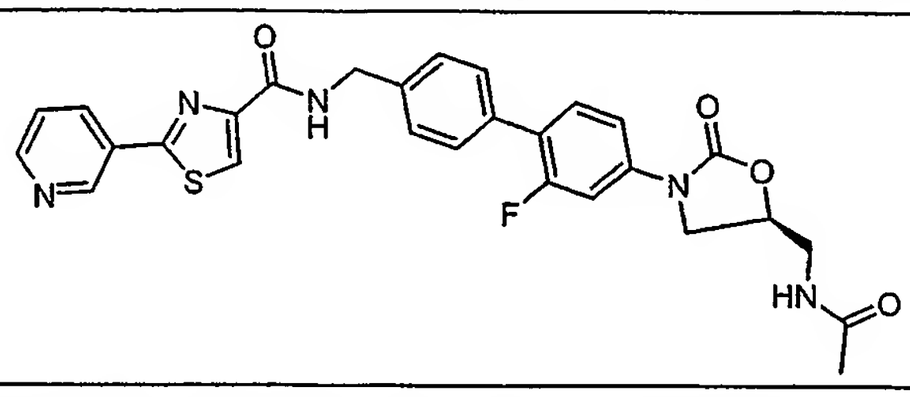
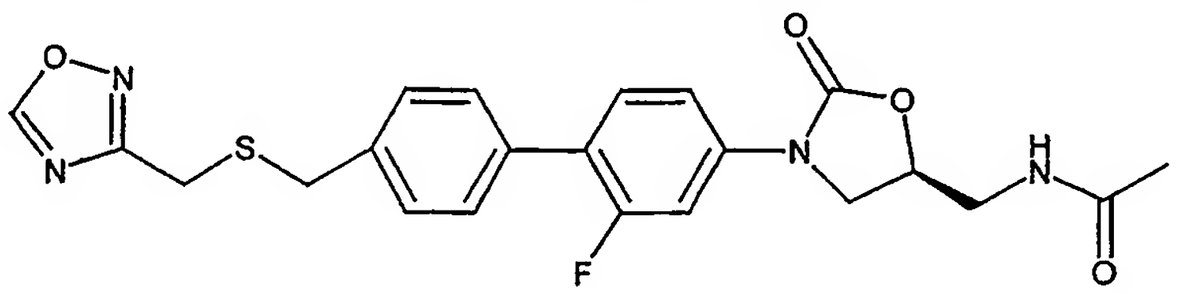
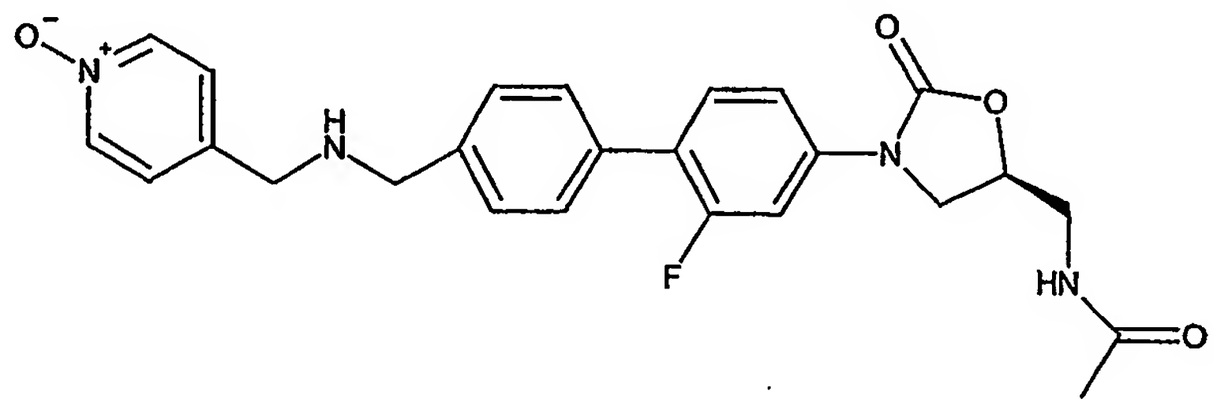
4239	
	N-{4'-[5-(S)-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2'-fluoro-biphenyl-4-ylmethyl}-2-[1,2,3]triazol-1-yl-acetamide
4240	

	N-{4'-[5-(S)-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2'-fluoro-biphenyl-4-ylmethyl}-2-(4-hydroxymethyl-[1,2,3]triazol-1-yl)-acetamide
4241	
	N-{4'-[5-(S)-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2'-fluoro-biphenyl-4-ylmethyl}-2-[4-(2-hydroxy-butyl)-[1,2,3]triazol-1-yl]-acetamide
4242	
	2-Methyl-thiazole-4-carboxylic acid {4'-[5-(S)-(acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2'-fluoro-biphenyl-4-ylmethyl}-amide
4243	
	2-Methyl-thiazole-4-carboxylic acid {4'-[5-(S)-(acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2'-fluoro-biphenyl-4-ylmethyl}-amide
4244	
	N-{3-[2-Fluoro-4'-([1,2,4]oxadiazol-3-ylmethylsulfanylmethyl)-biphenyl-4-yl]-2-oxo-oxazolidin-5-(S)-ylmethyl}-acetamide

4245	
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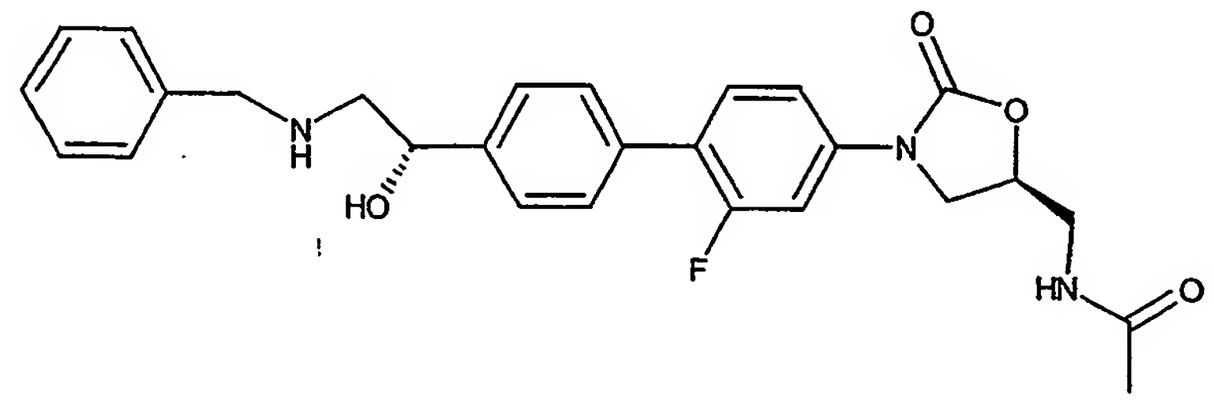
4246	
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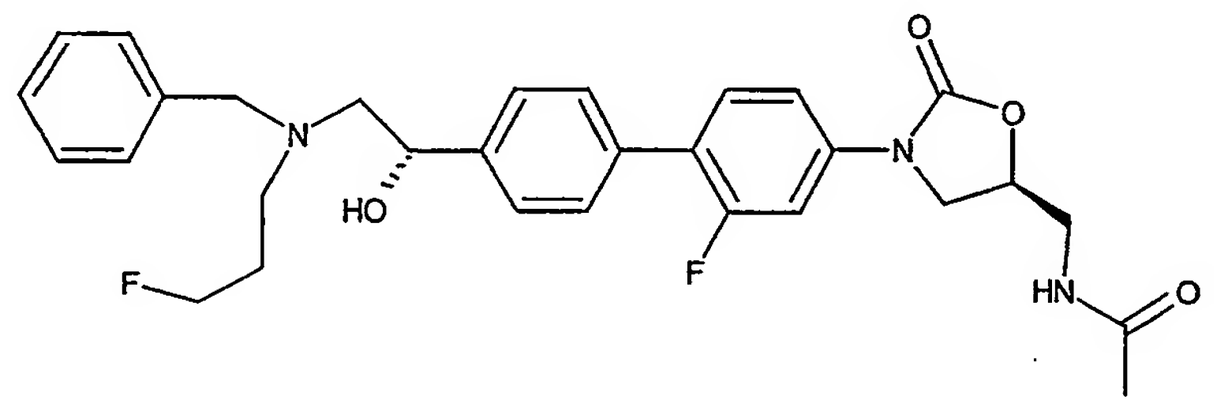
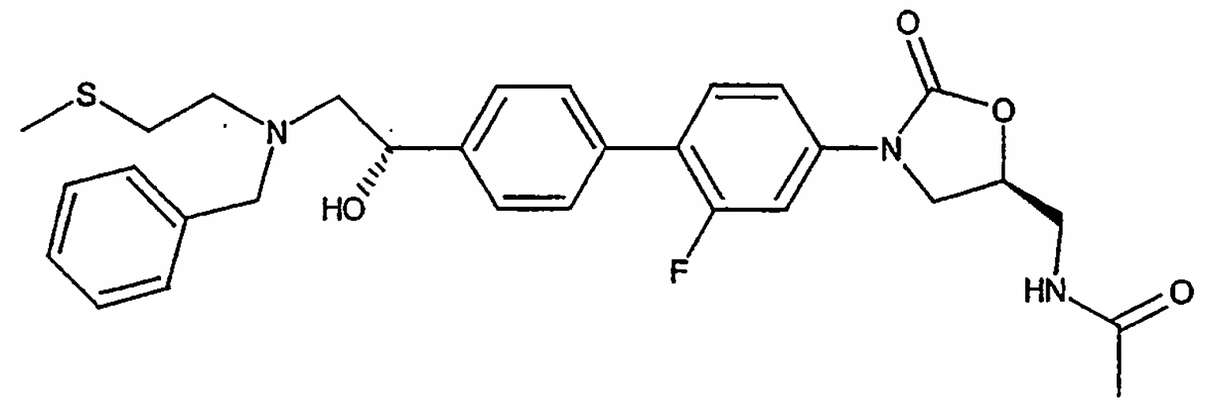
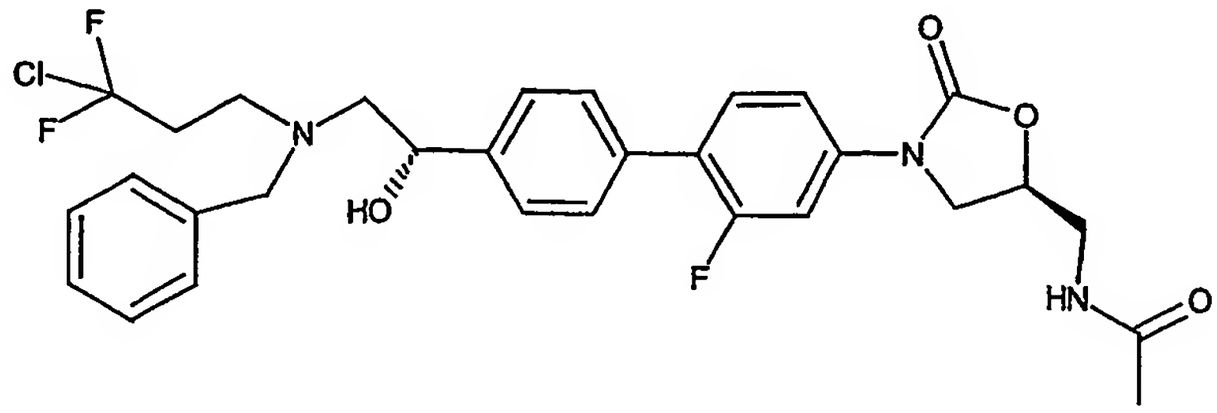
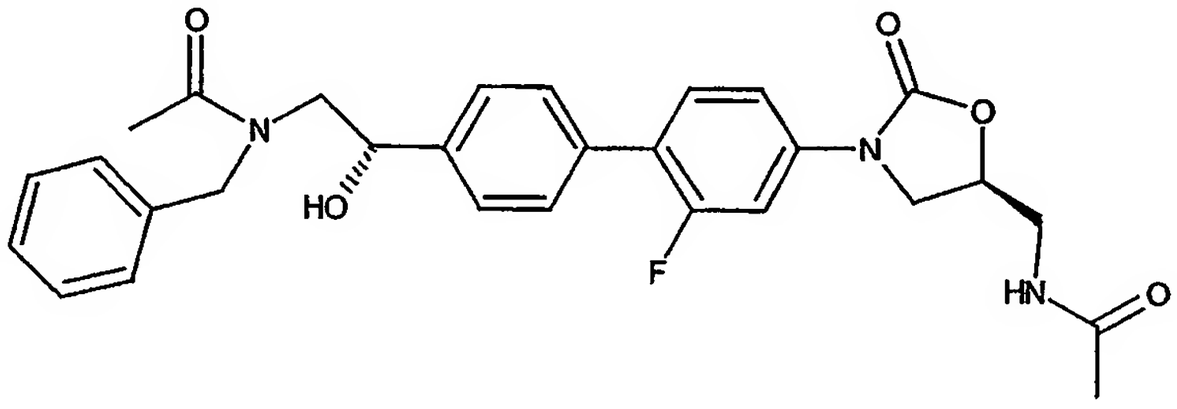
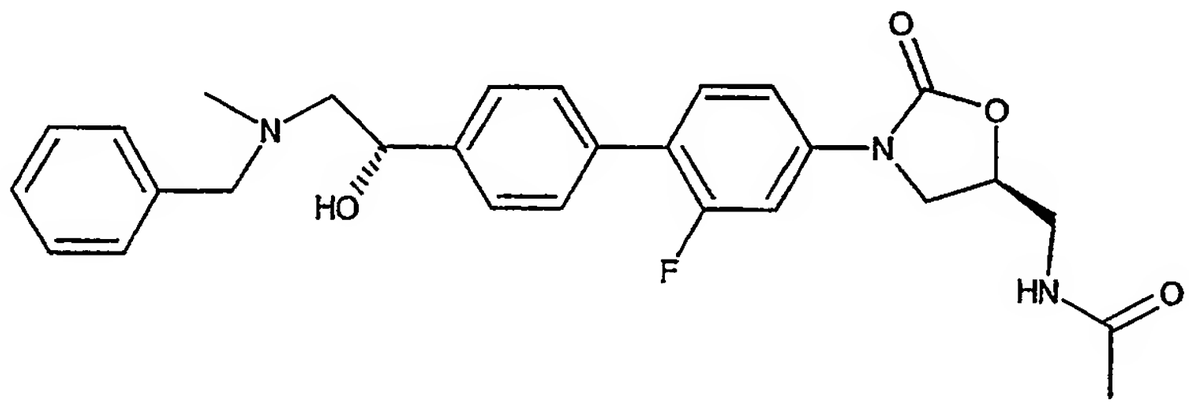
4247	
	N-[3-(4'-{2-[Benzyl-(3-fluoro-propyl)-amino]-1-(S)-hydroxy-ethyl}-2-fluoro-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide
4248	
	N-[3-(4'-{2-[Benzyl-(2-methylsulfanyl-ethyl)-amino]-1-(S)-hydroxy-ethyl}-2-fluoro-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide

4249	
	N-[3-(4'-{2-[Benzyl-(3-chloro-3,3-difluoro-propyl)-amino]-1-(S)-hydroxy-ethyl}-2-fluoro-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide
4250	
	N-(2-{4'-[5-(S)-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2'-fluoro-biphenyl-4-yl}-2-(S)-hydroxy-ethyl)-N-benzyl-acetamide
4251	
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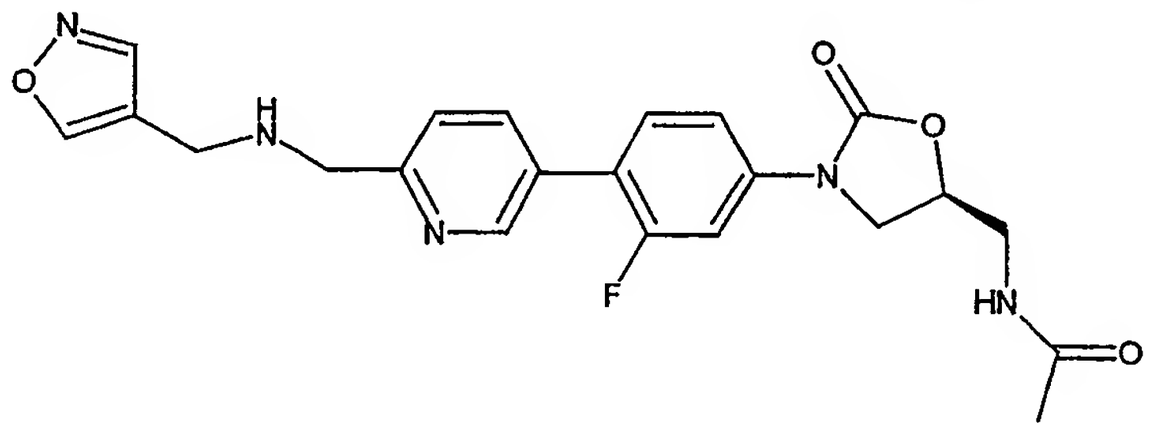
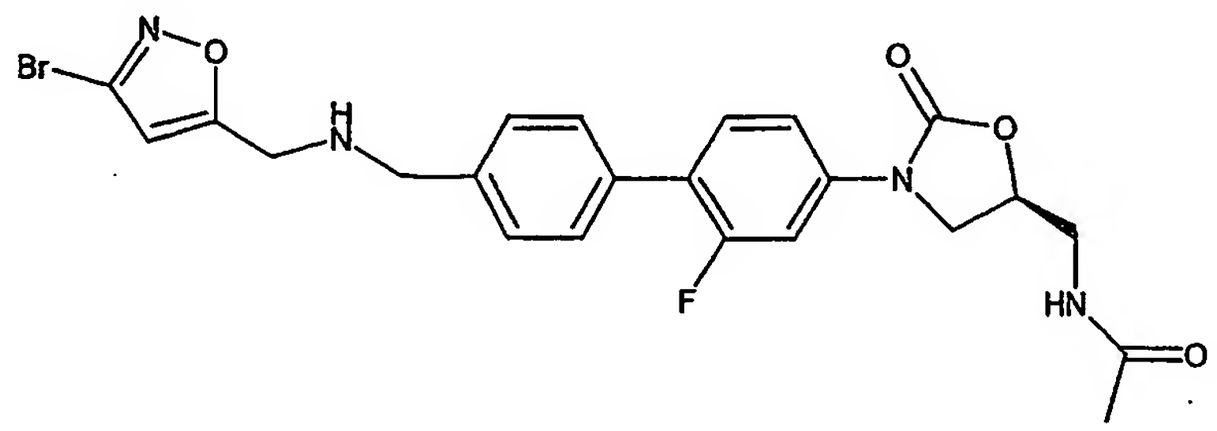
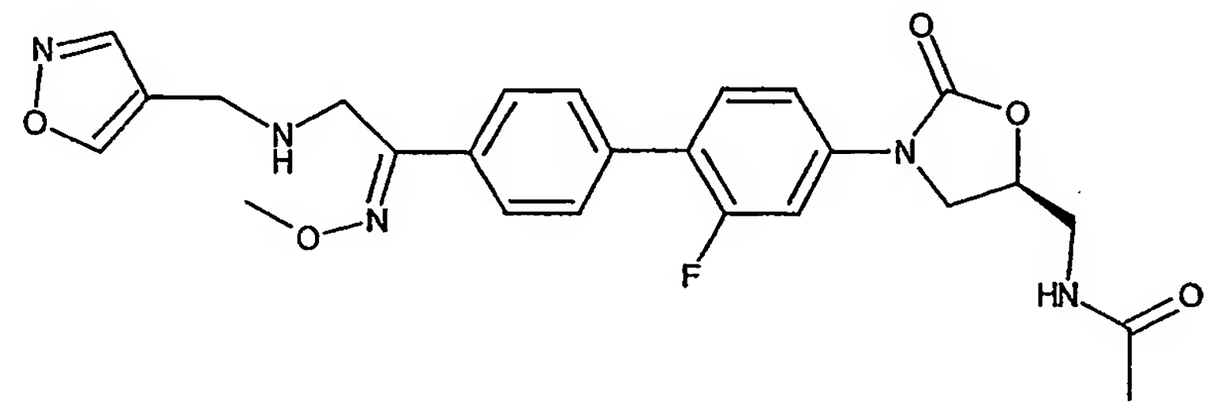
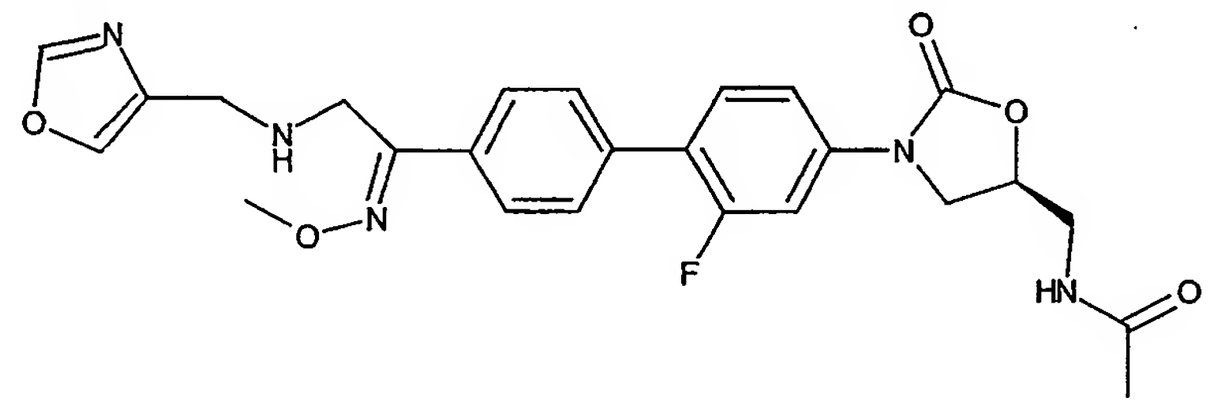
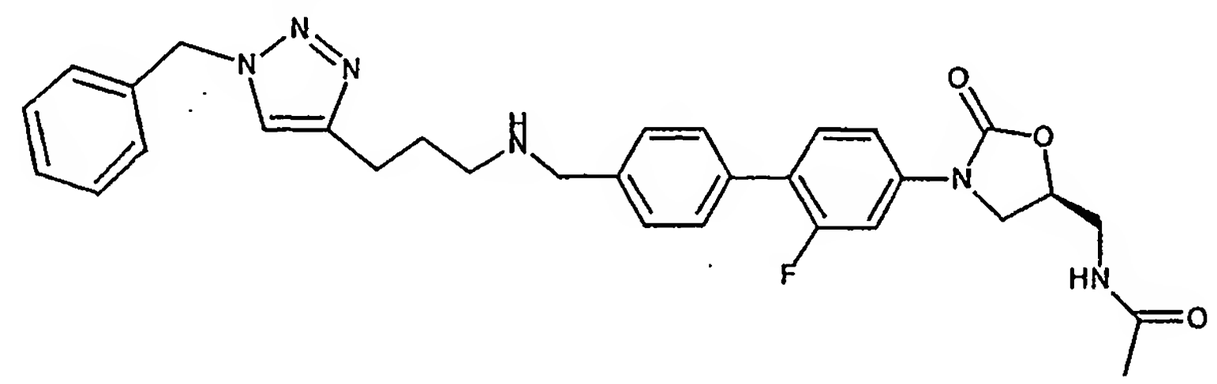
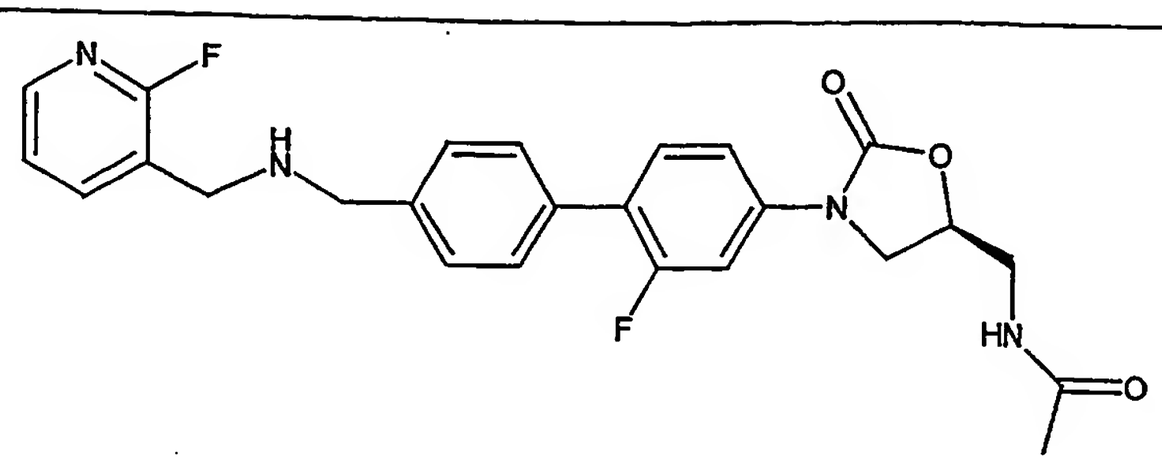
4252	
	N-{3-[3-Fluoro-4-(6-{[(isoxazol-4-ylmethyl)-amino]-methyl}-pyridin-3-yl)-phenyl]-2-oxo-oxazolidin-5-(S)-ylmethyl}-acetamide

4253	
	N-[3-(4'-{[(3-Bromo-isoxazol-5-ylmethyl)-amino]-methyl}-2-fluoro-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide
4254	
	N-[3-(2-Fluoro-4'-{2-[(isoxazol-4-ylmethyl)-amino]-1-methoxyimino-ethyl}-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide
4255	
	N-[3-(2-Fluoro-4'-{1-methoxyimino-2-[(oxazol-4-ylmethyl)-amino]-ethyl}-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide
4256	
	N-[3-(4'-{[3-(1-Benzyl-1H-[1,2,3]triazol-4-yl)-propylamino]-methyl}-2-fluoro-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide

4257	
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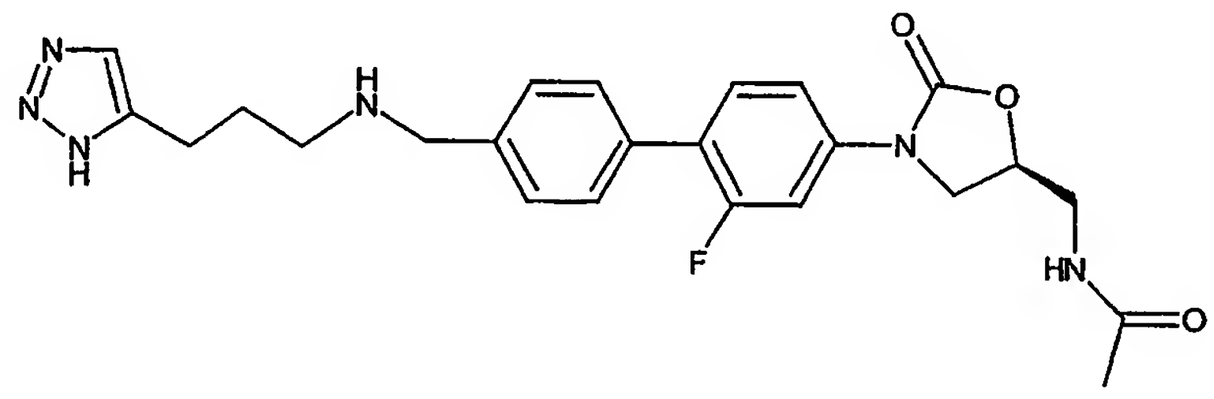
4258	
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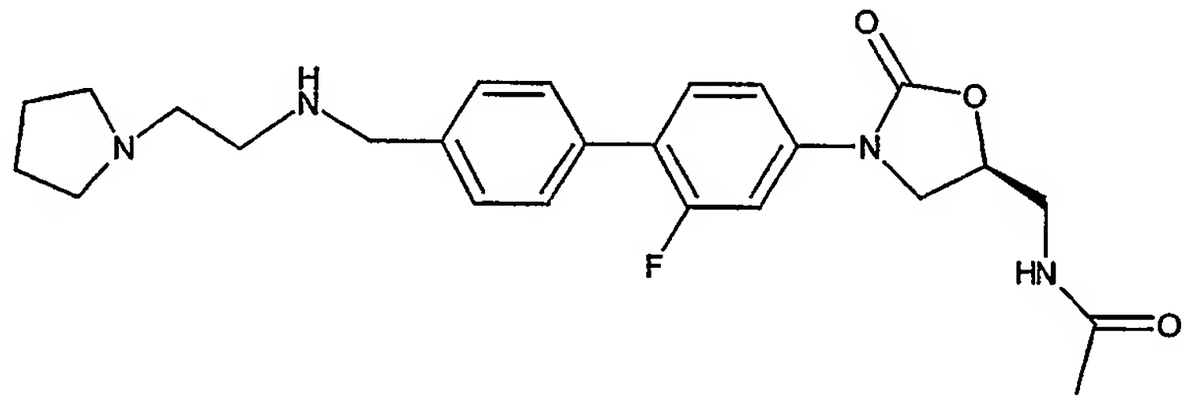
4259	
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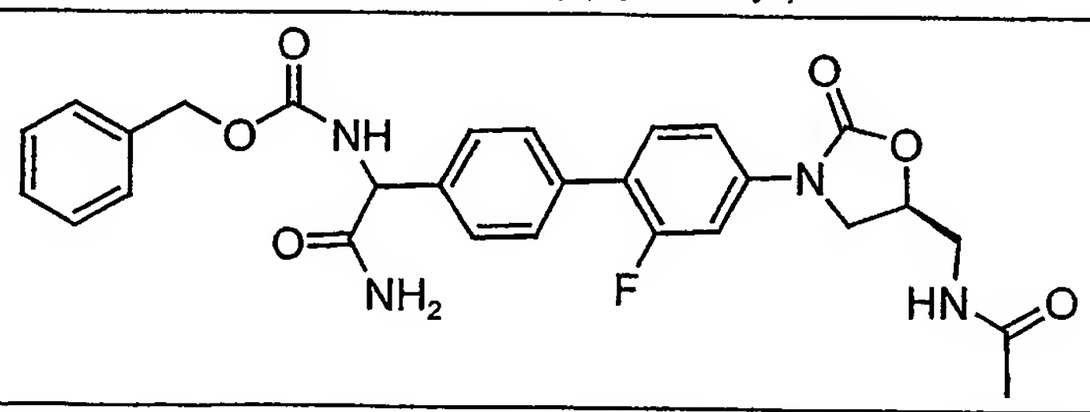
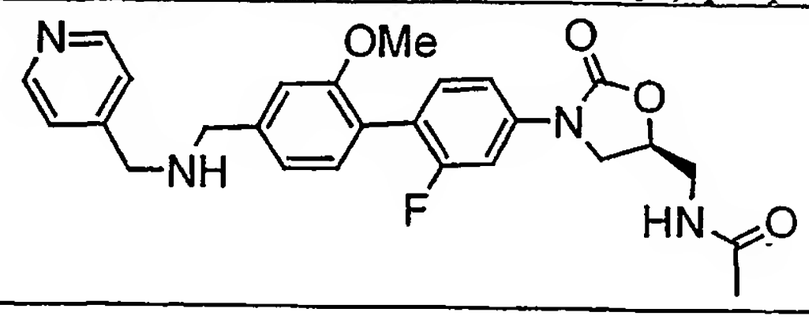
4260	
	N-[3-(3-Fluoro-4-morpholin-4-yl-phenyl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-3-(5-pyrimidin-2-yl-pyridin-2-yl)-propionamide
4261	

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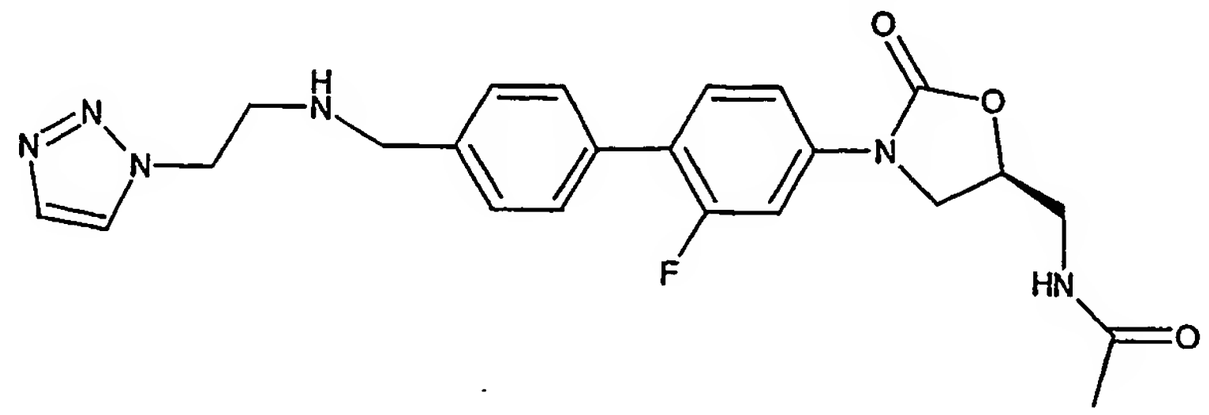
4262	
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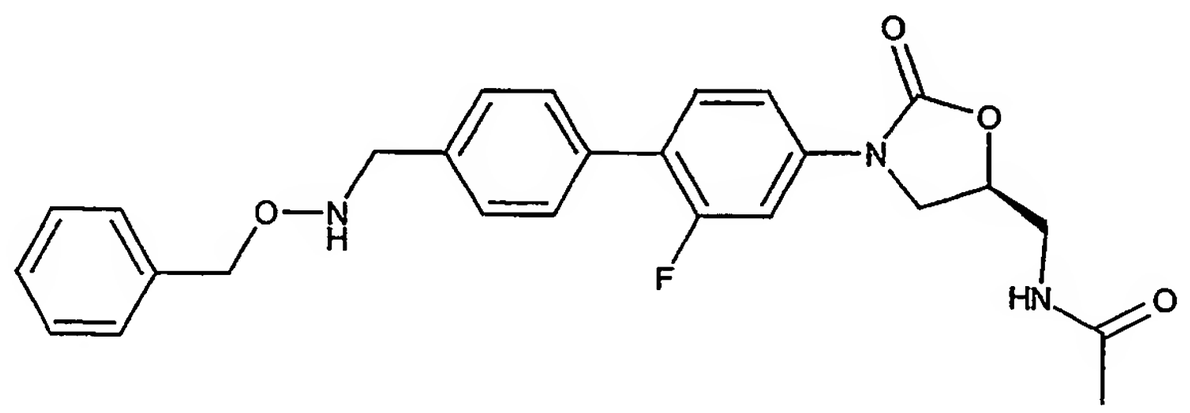
4263	
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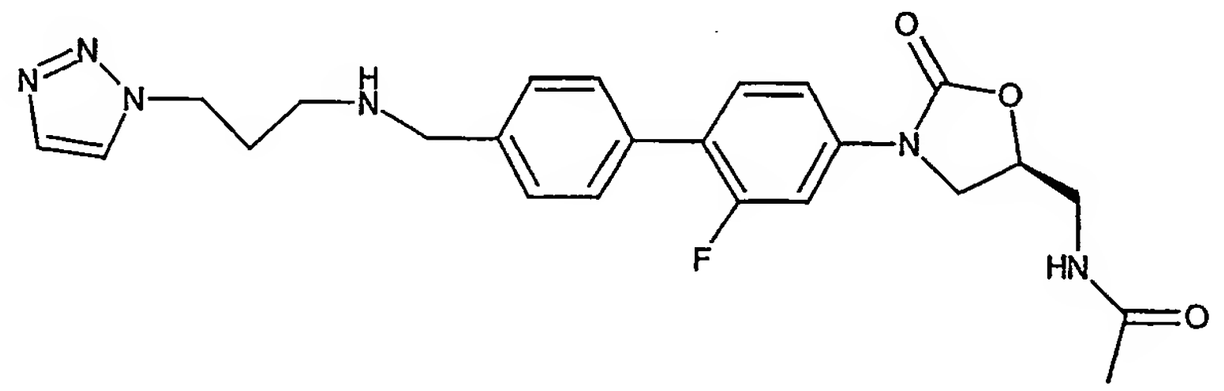
4264	
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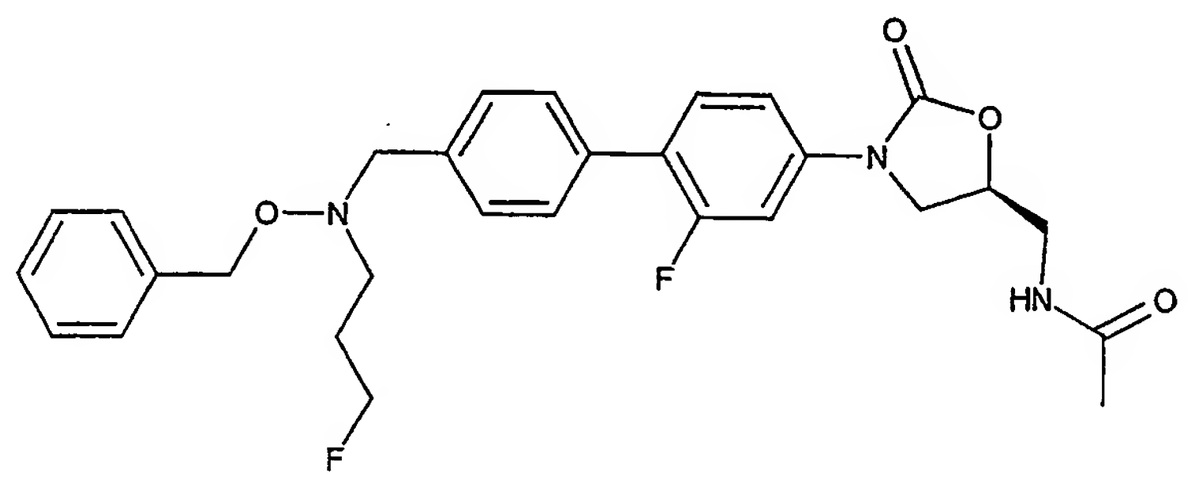
4265	
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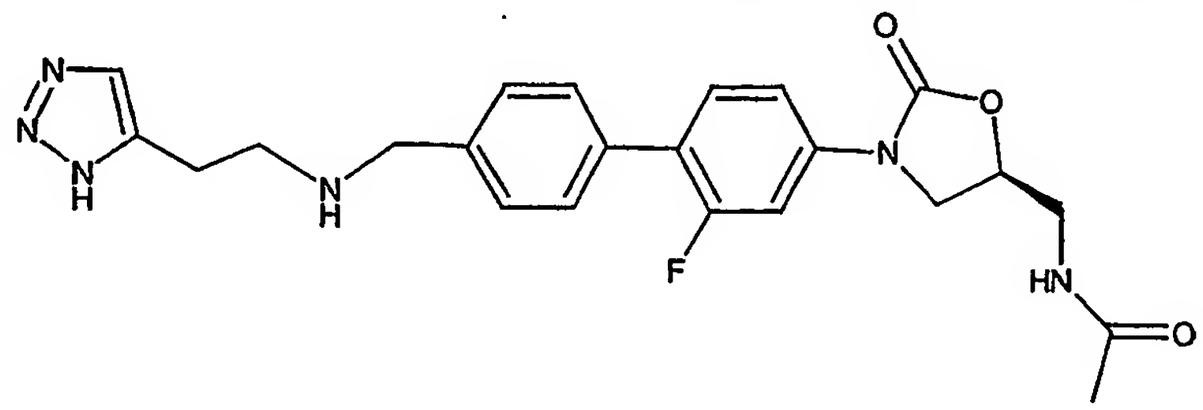
4266	
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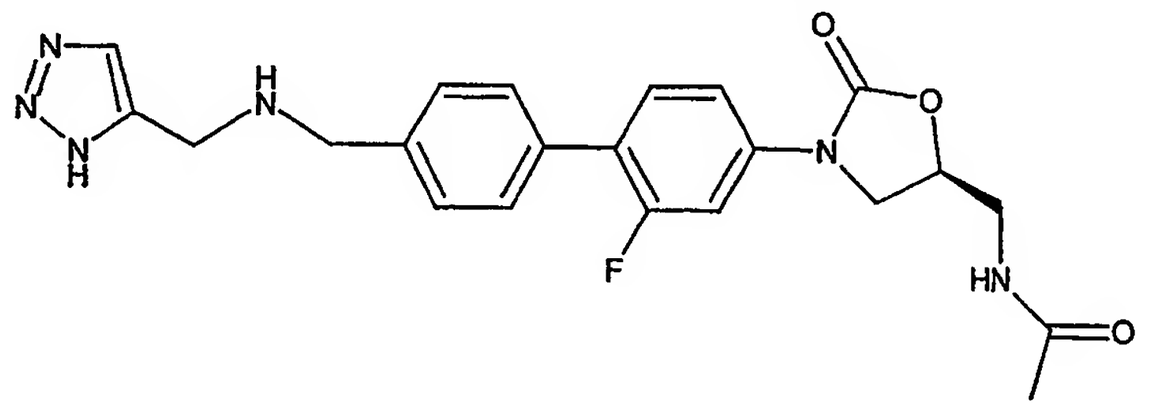
4267	
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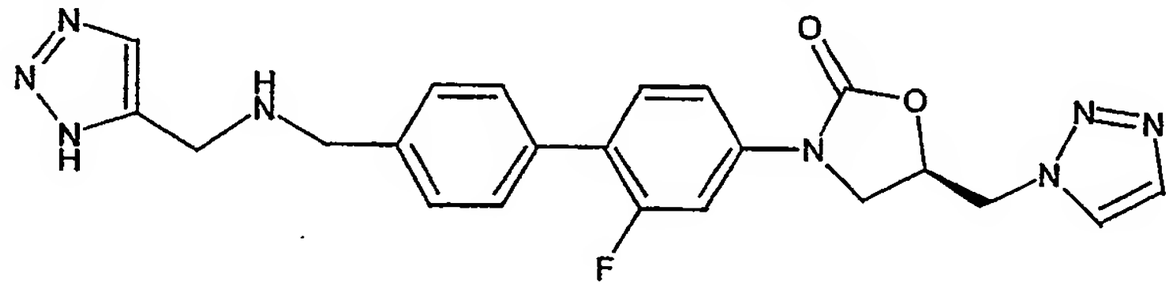
4268	
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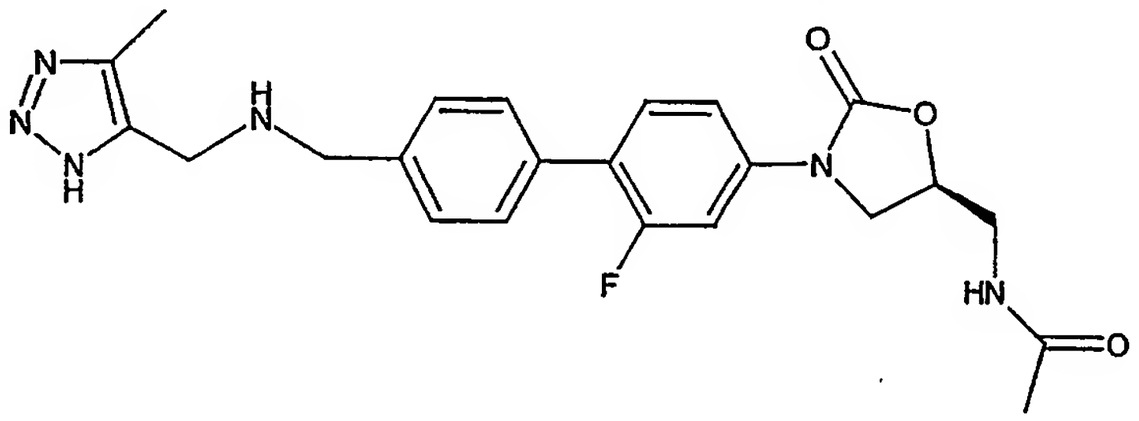
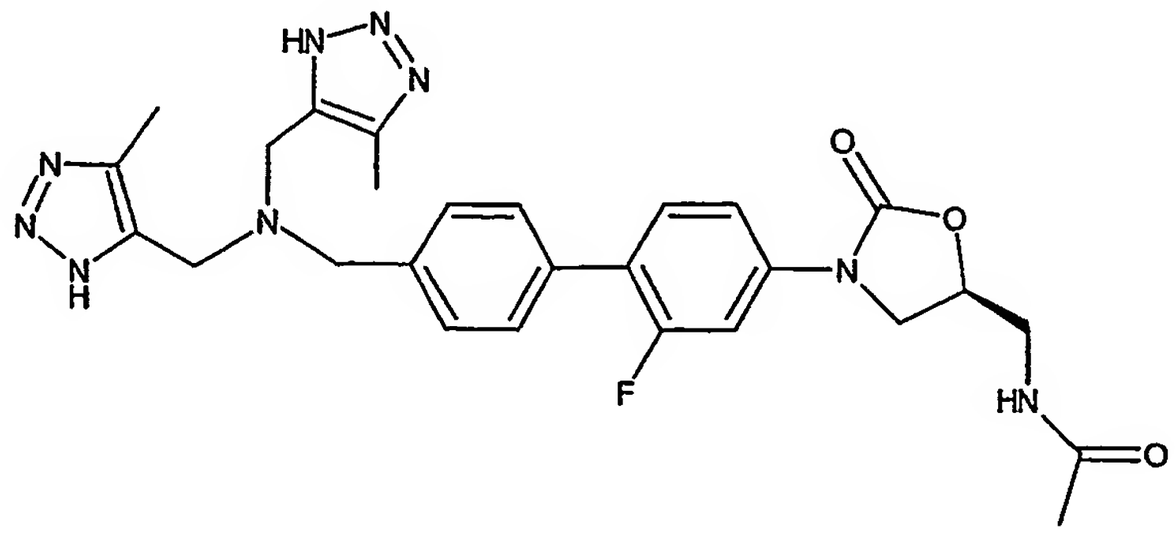
4269	
	N-[3-(2-Fluoro-4'-{[(5-methyl-3H-[1,2,3]triazol-4-ylmethyl)-amino]-methyl}-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide

4270	
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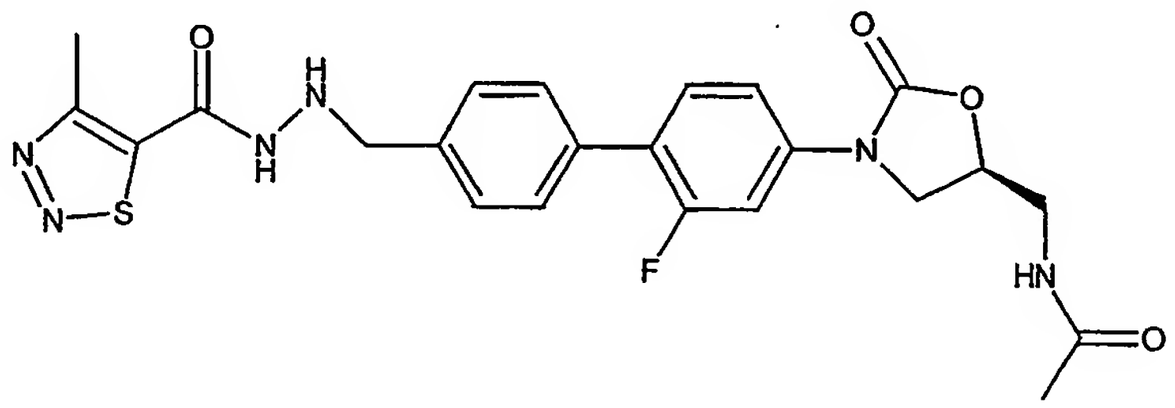
4271	
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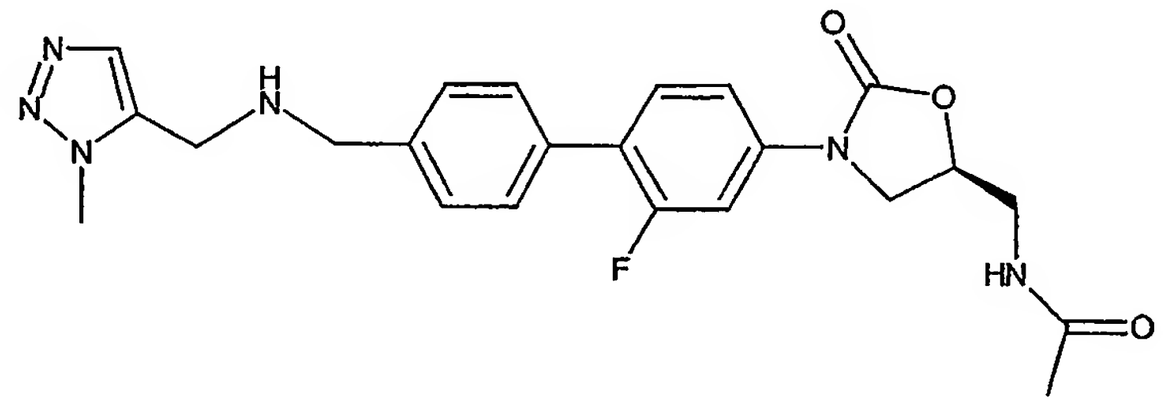
4272	
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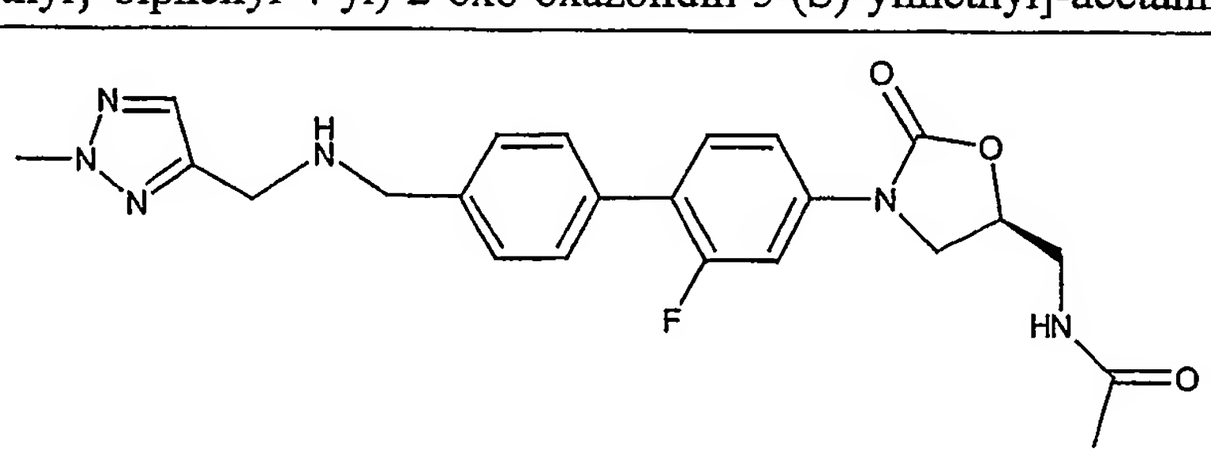
4273	
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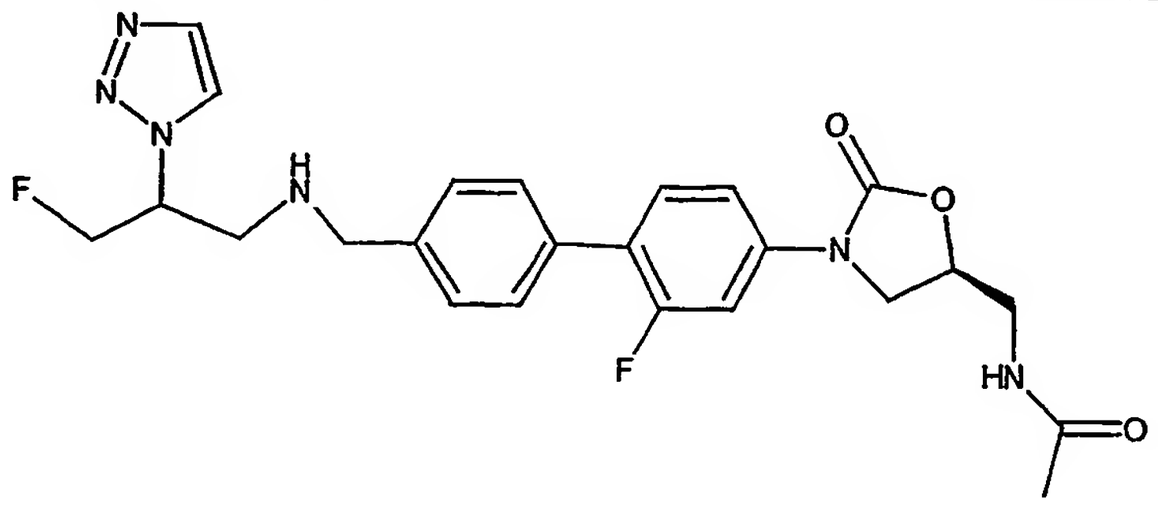
4274	
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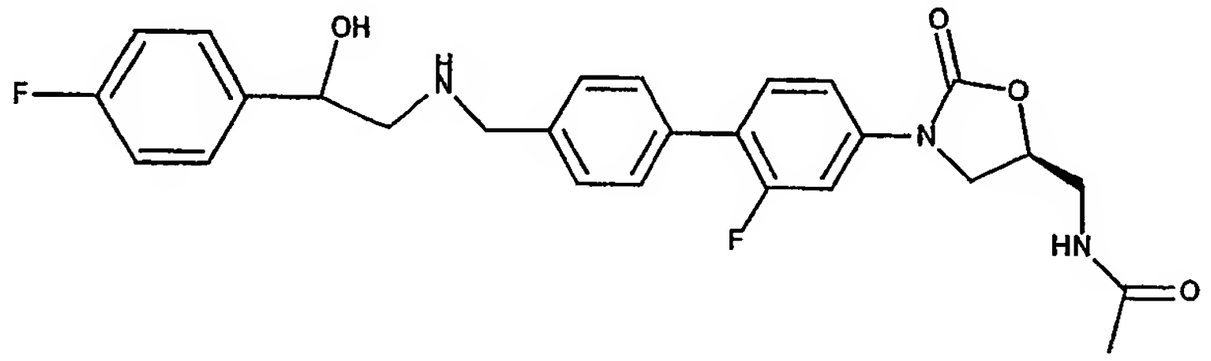
4275	
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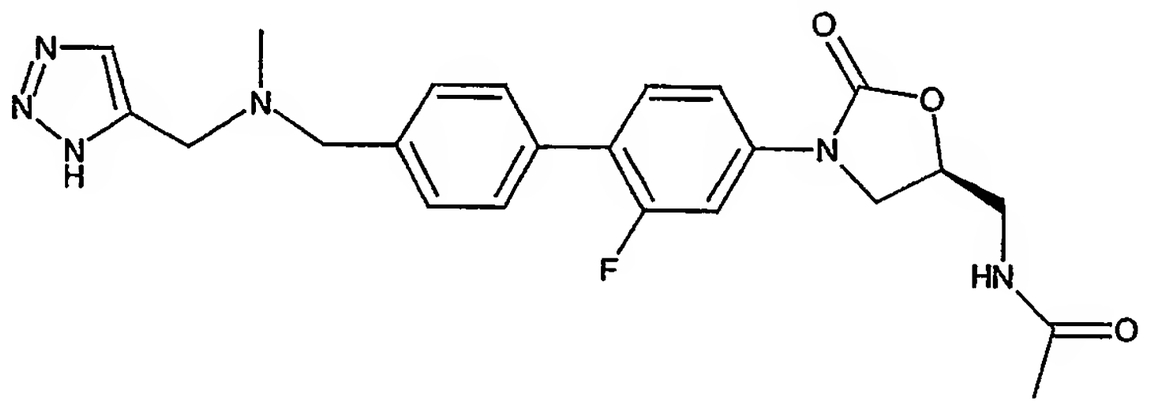
4276	
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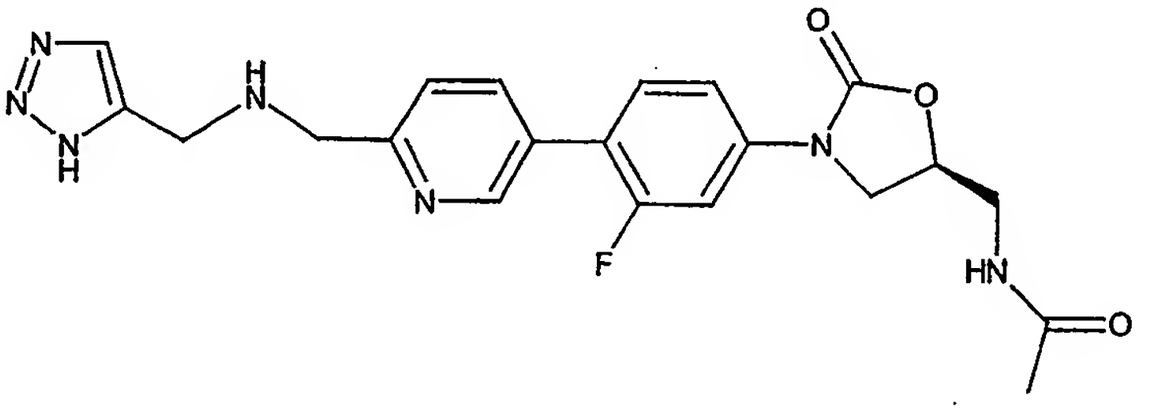
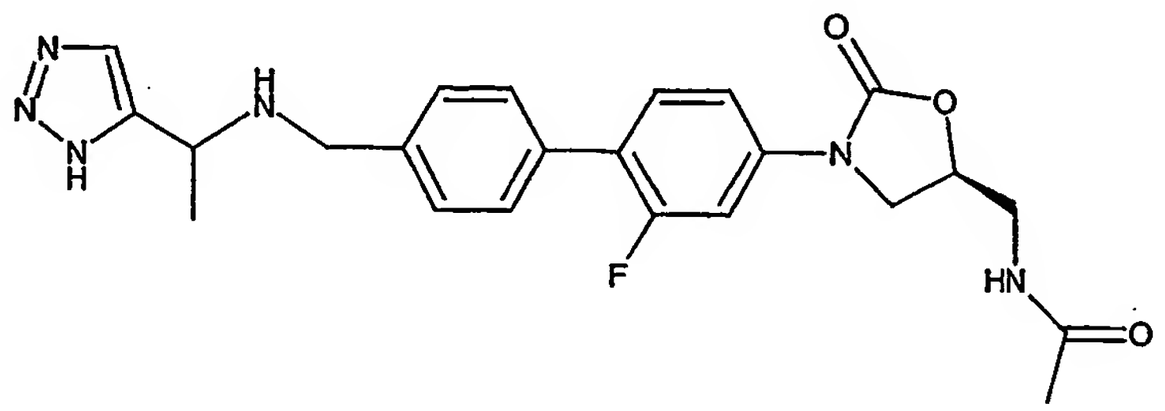
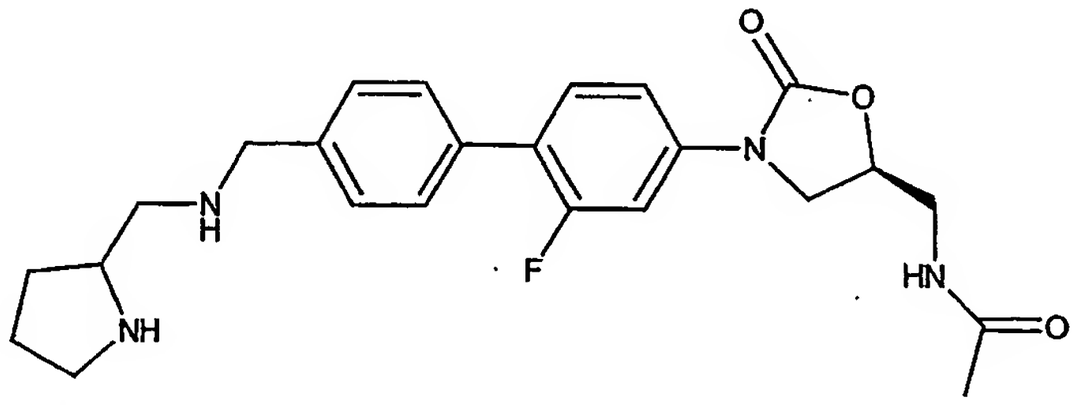
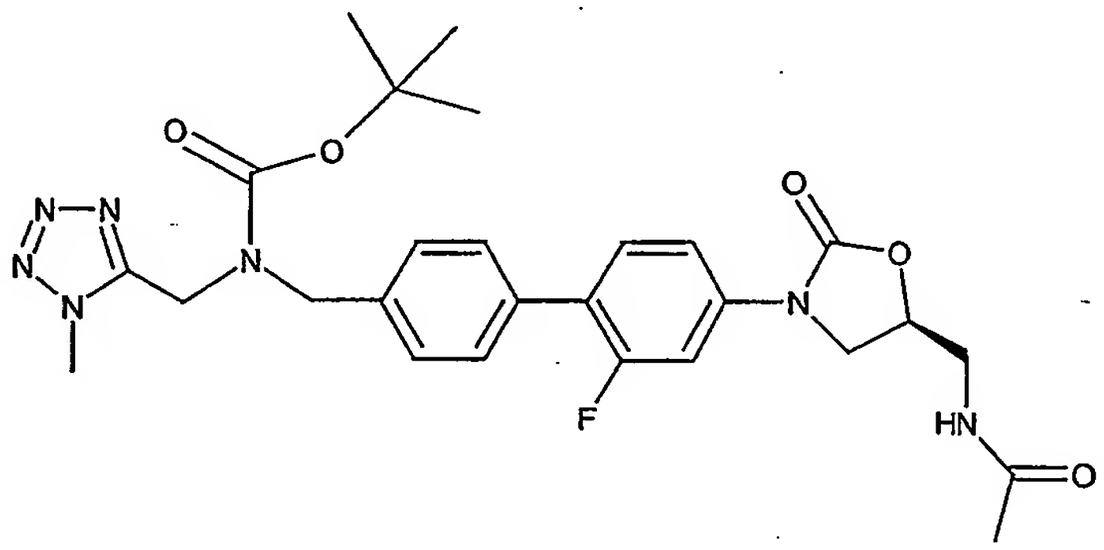
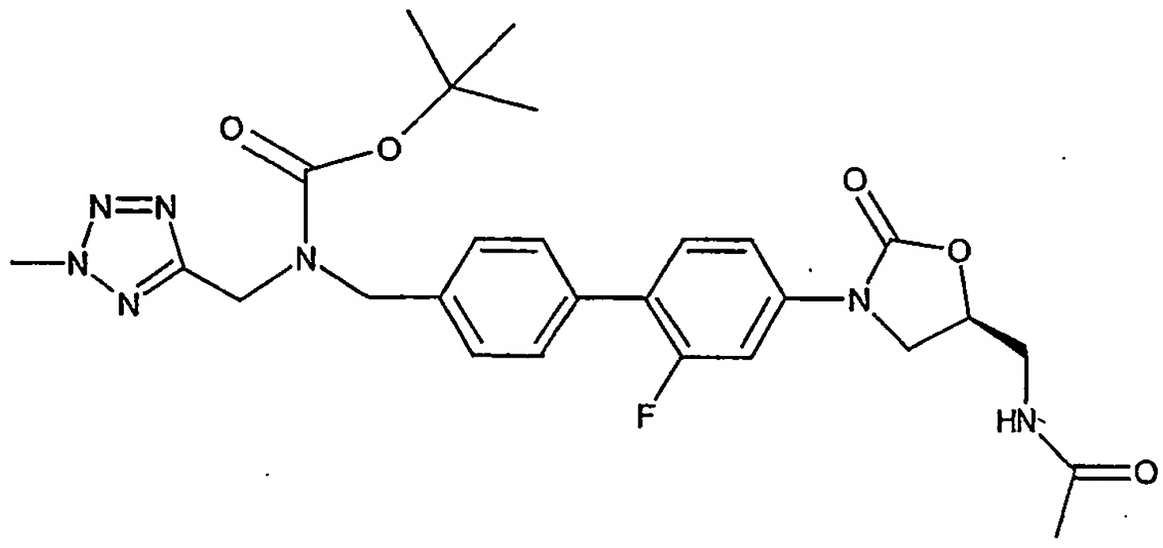
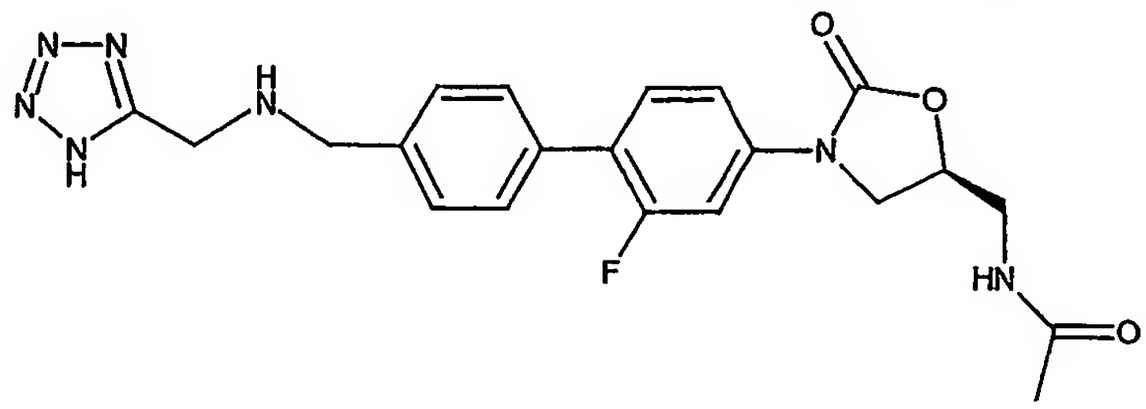
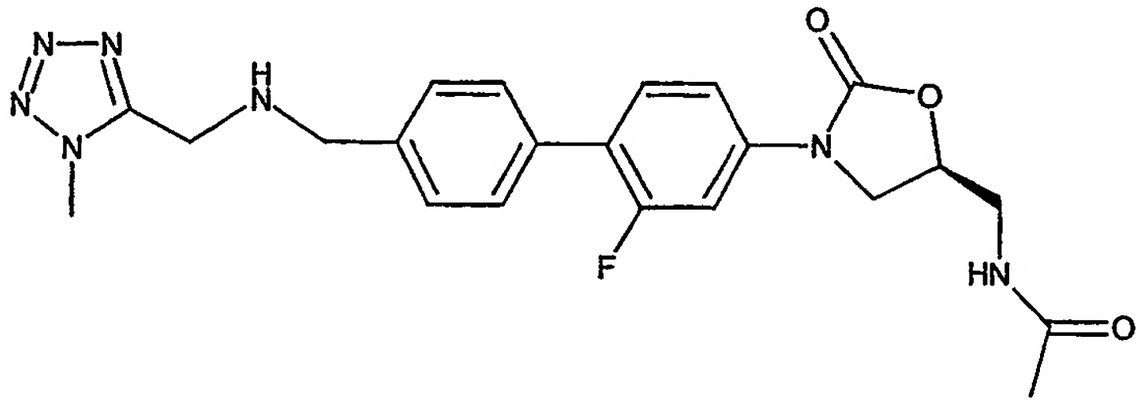
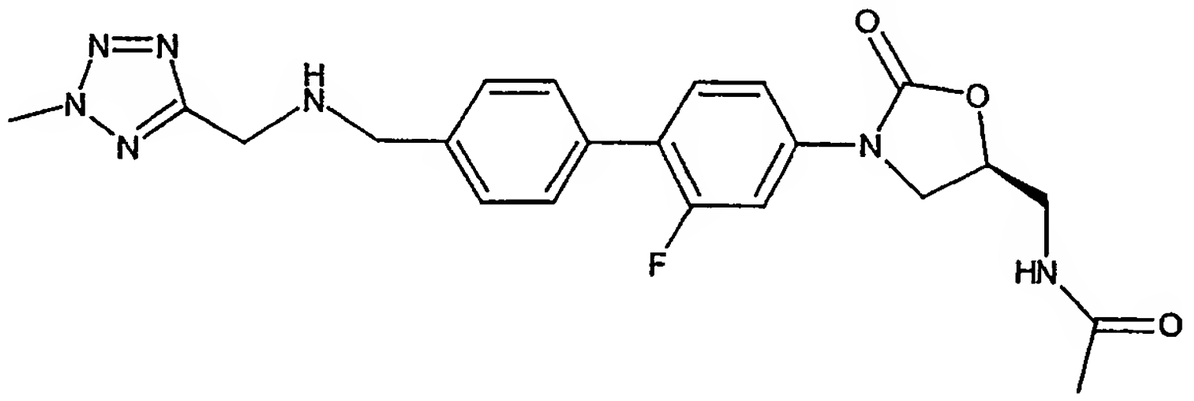
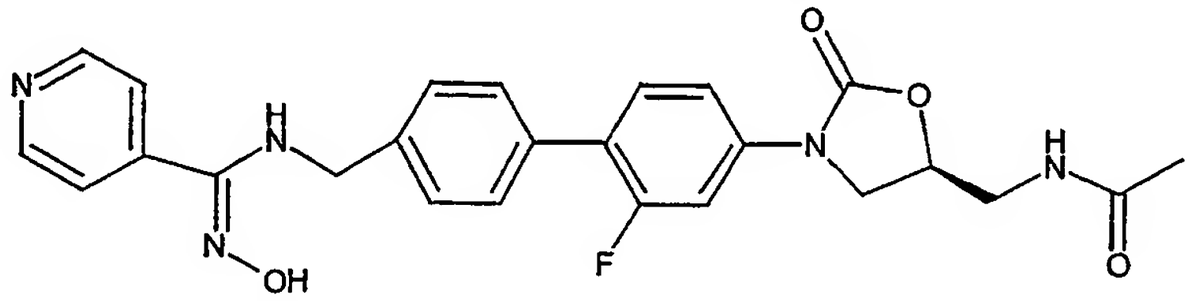
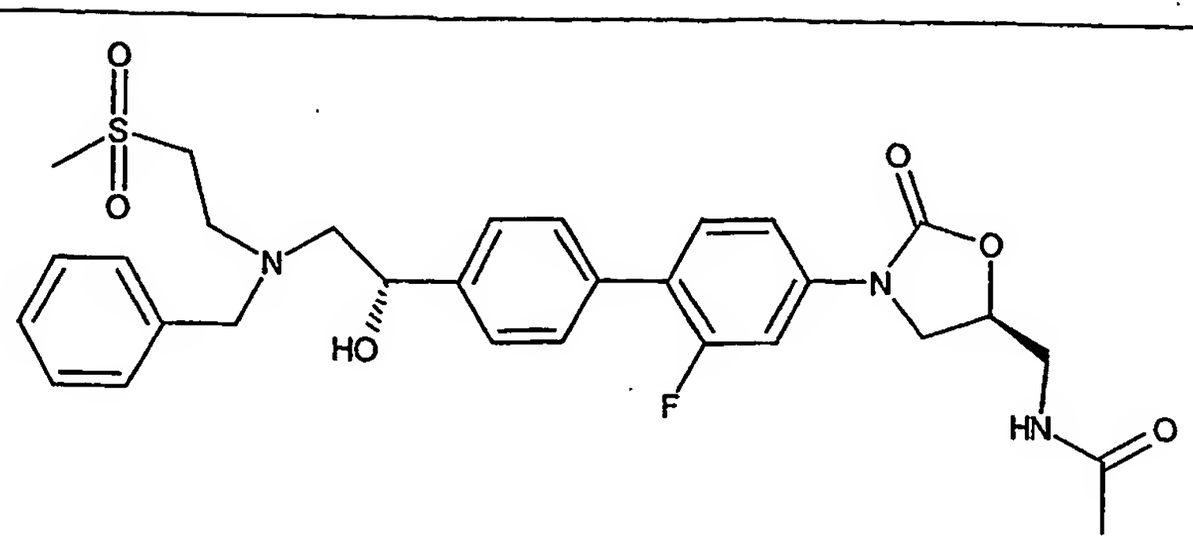
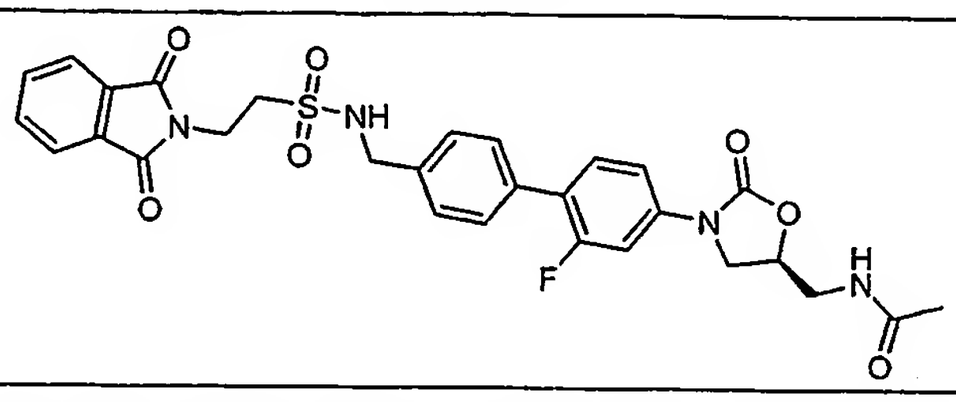
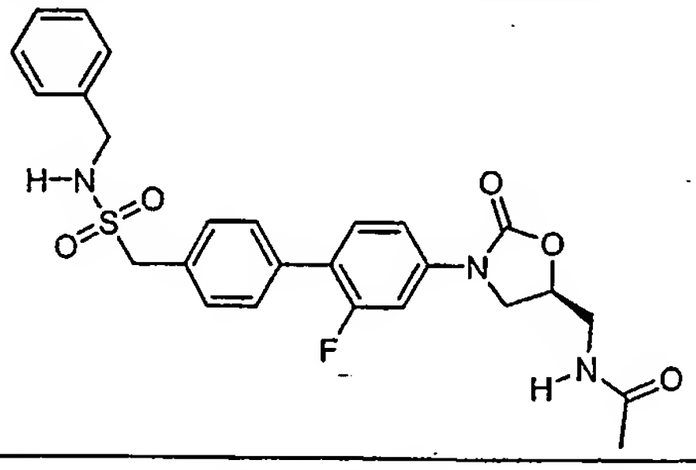
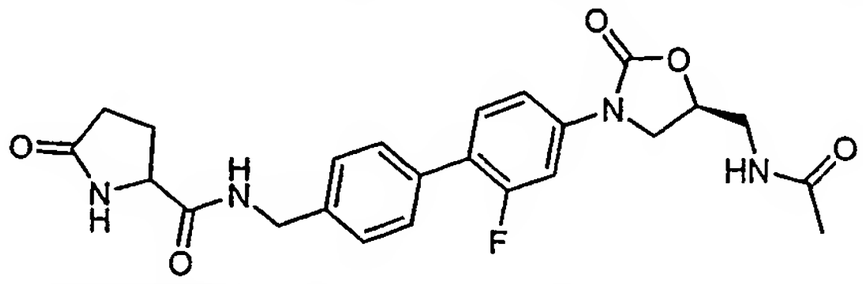
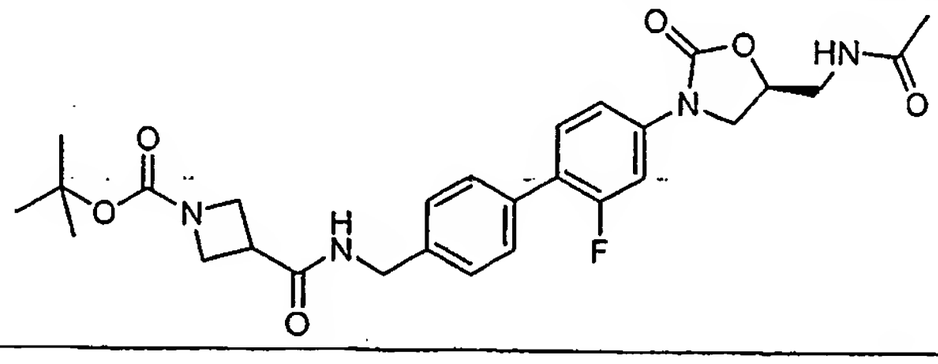
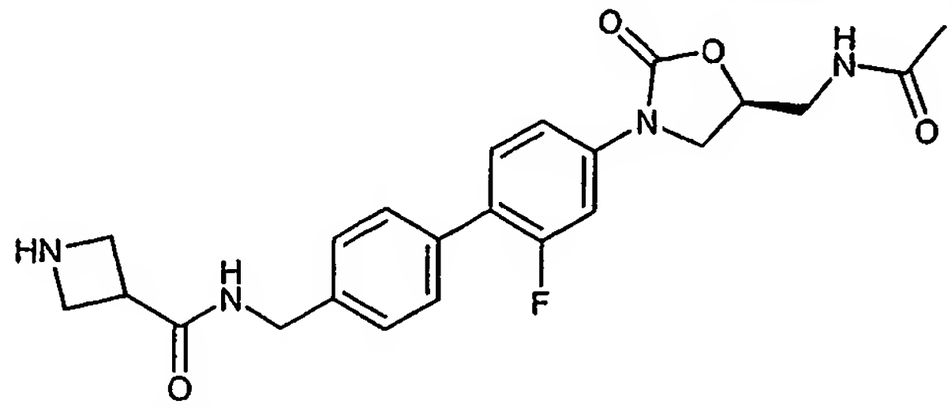
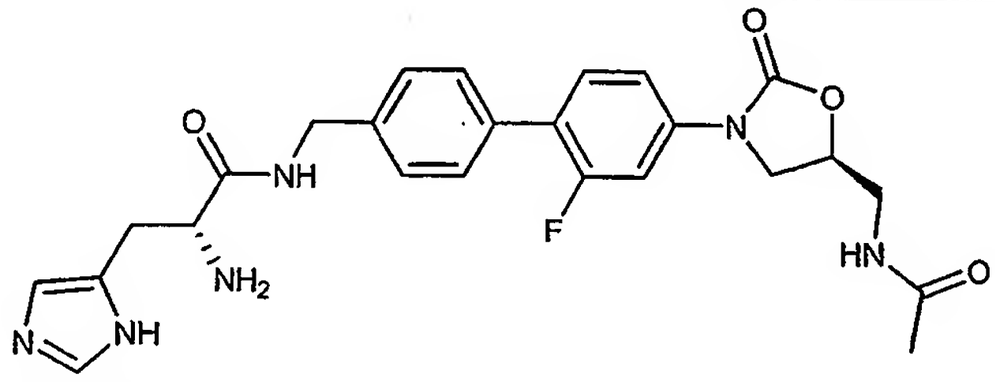
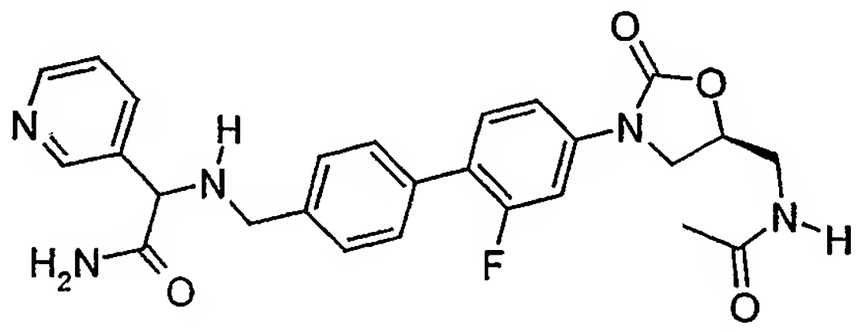
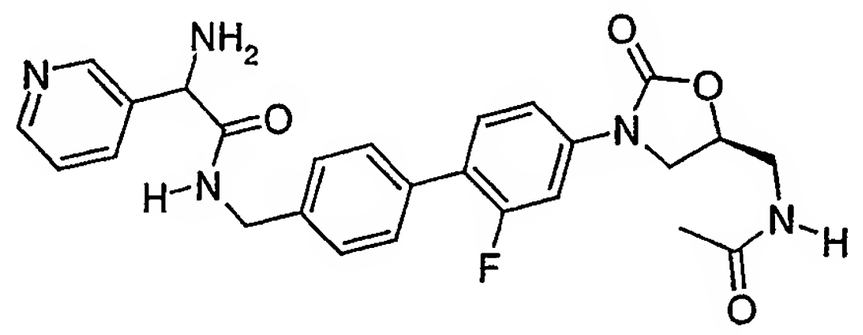
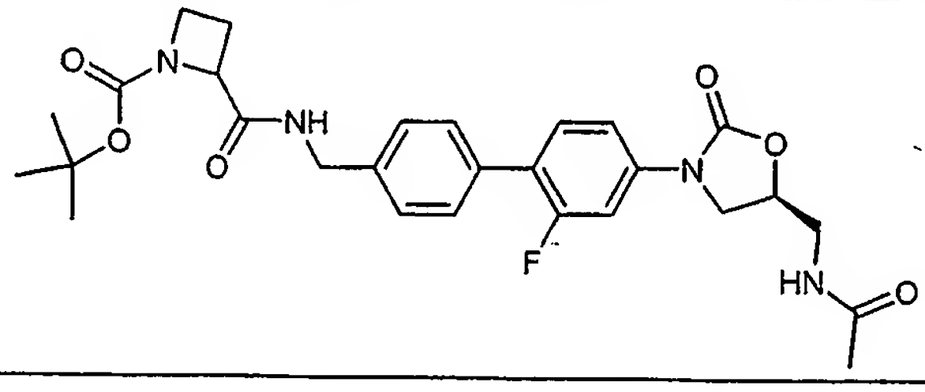
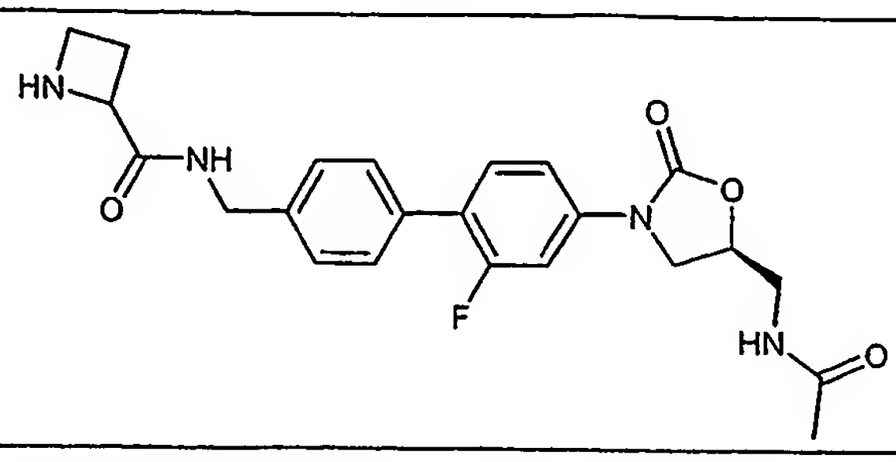
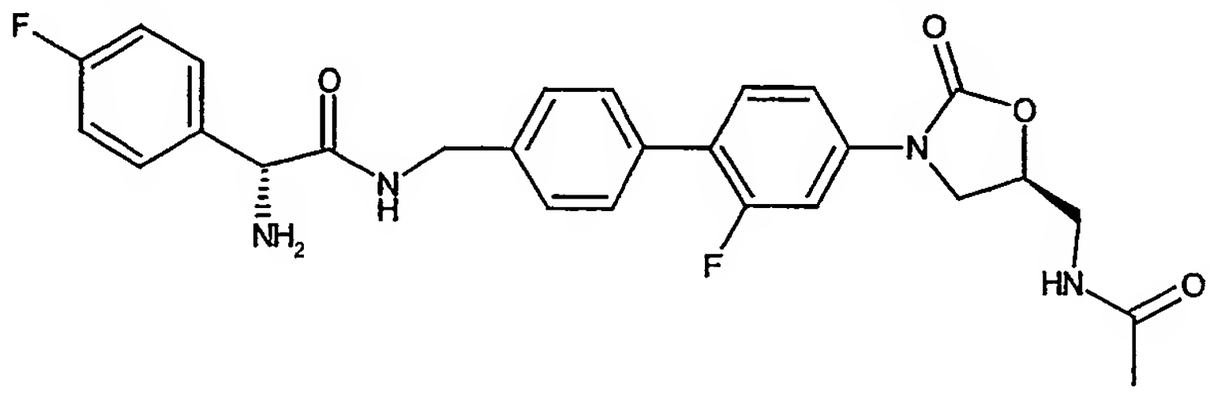
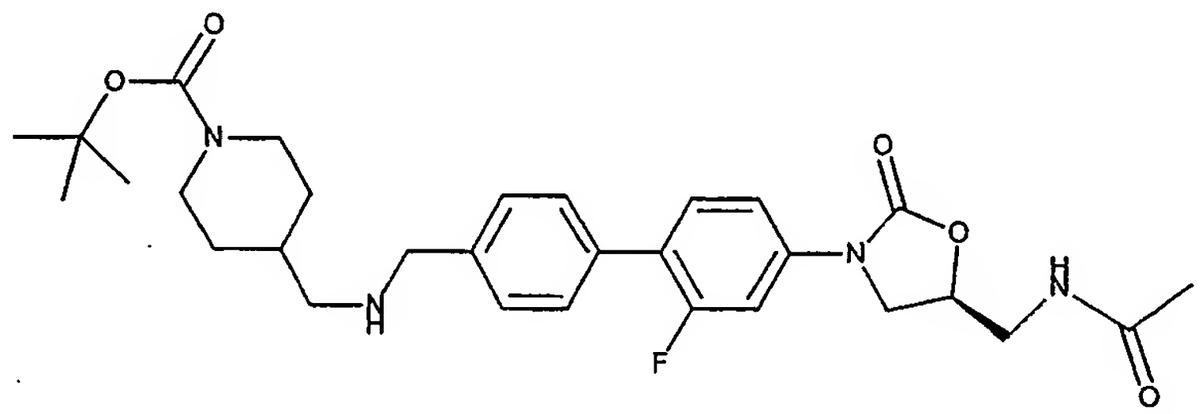
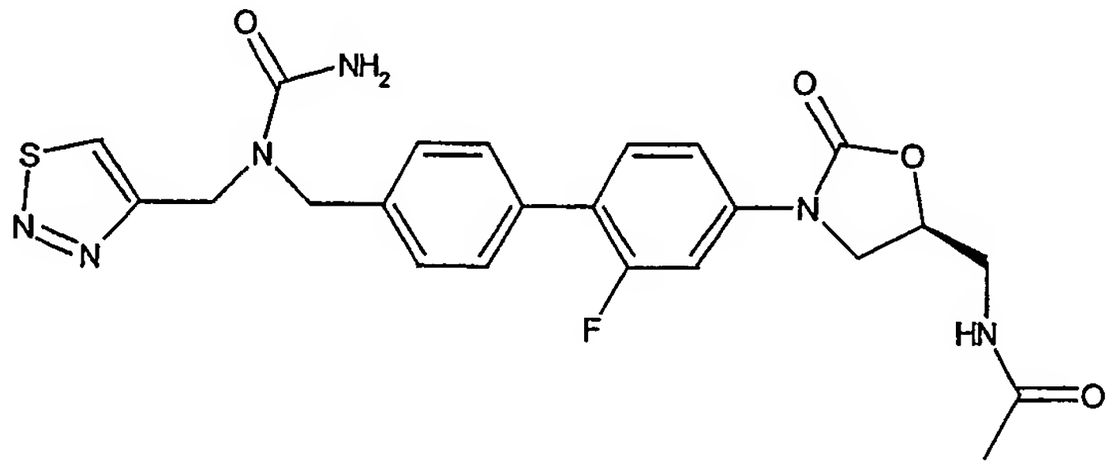
4277	
	N-{3-[3-Fluoro-4-(6-{[(3H-[1,2,3]triazol-4-ylmethyl)-amino]-methyl}-pyridin-3-yl)-phenyl]-2-oxo-oxazolidin-5-(S)-ylmethyl}-acetamide

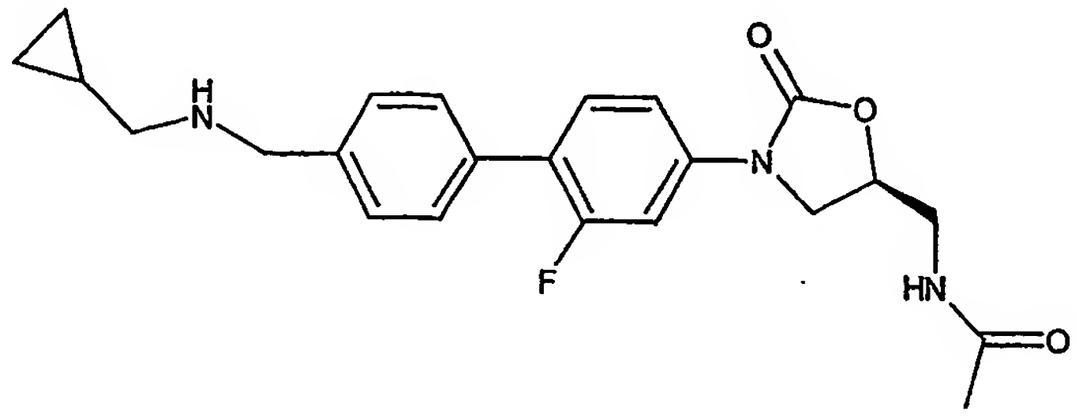
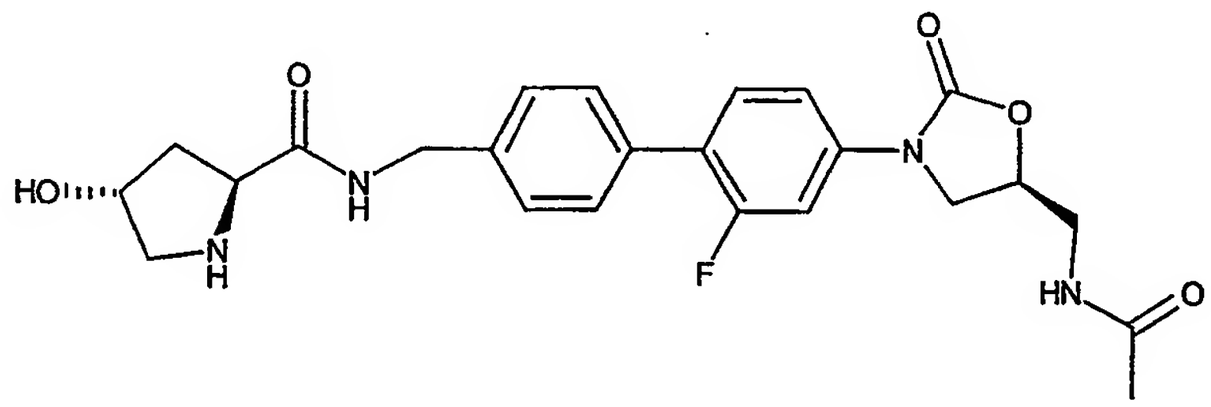
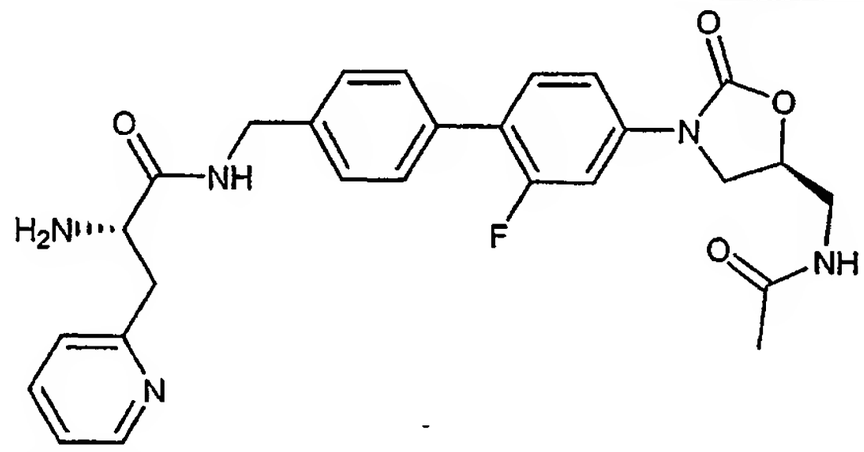
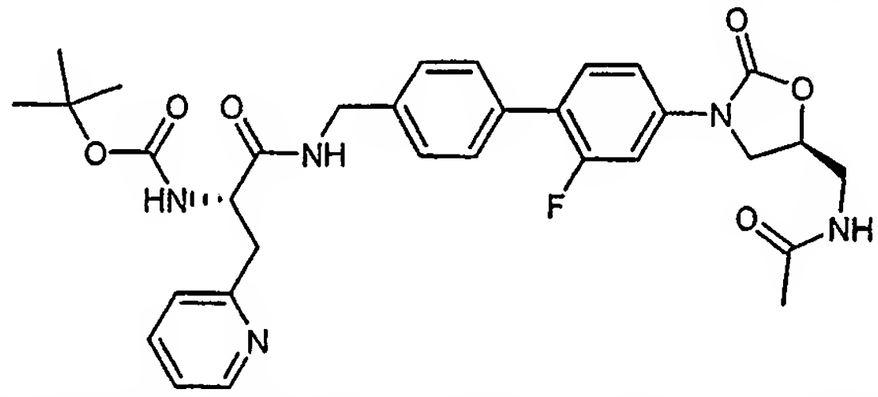
4278	
	N-[3-(2-Fluoro-4'-{[1-(R/S)-(3H-[1,2,3]triazol-4-yl)-ethylamino]-methyl}-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide
4279	
	N-[3-(2-Fluoro-4'-{[(pyrrolidin-2-(R/S)-ylmethyl)-amino]-methyl}-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide
4280	
	{4'-[5-(S)-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2'-fluoro biphenyl-4-ylmethyl}-(1-methyl-1H-tetrazol-5-ylmethyl)-carbamic acid tert-butyl ester
4281	

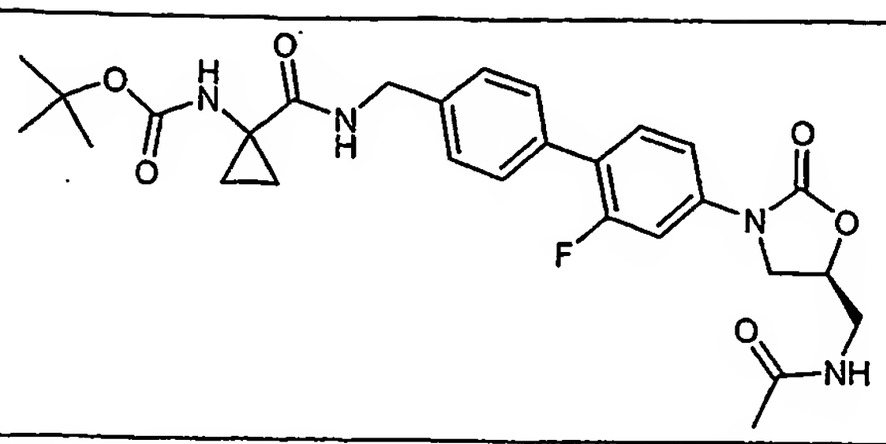
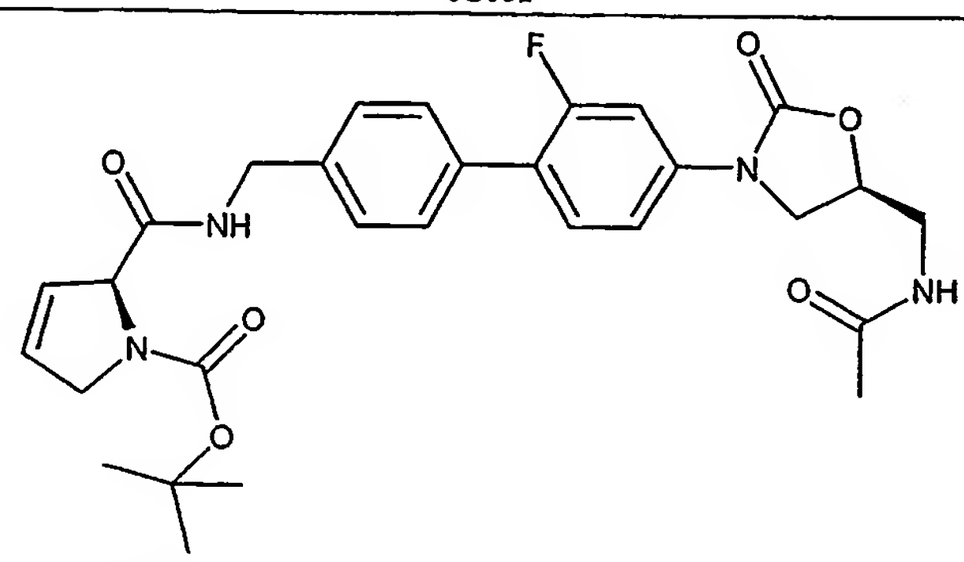
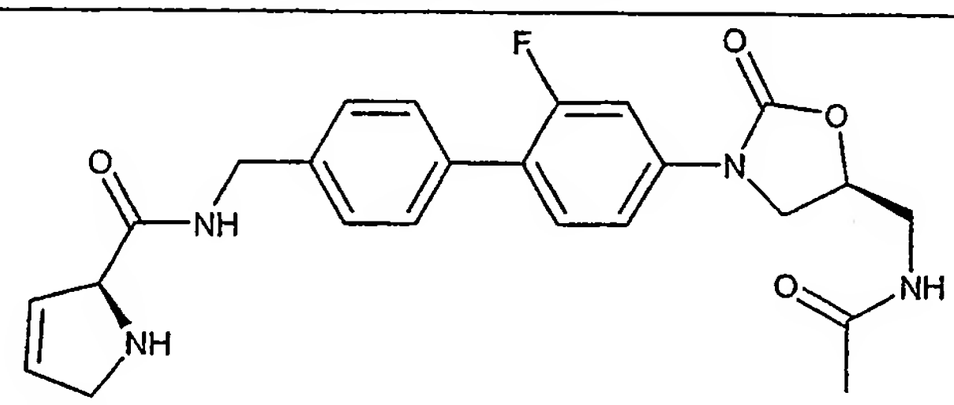
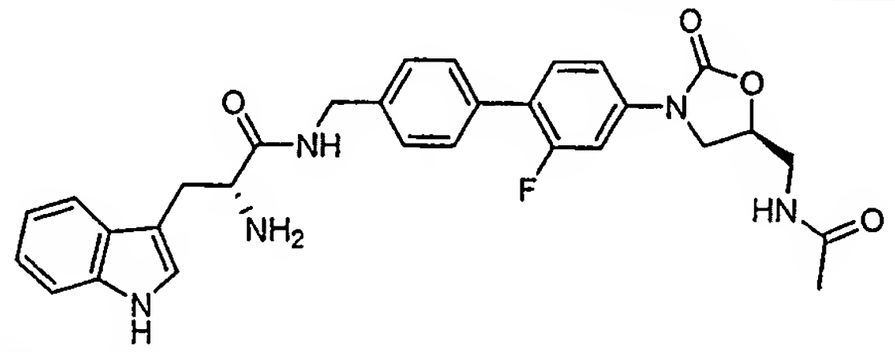
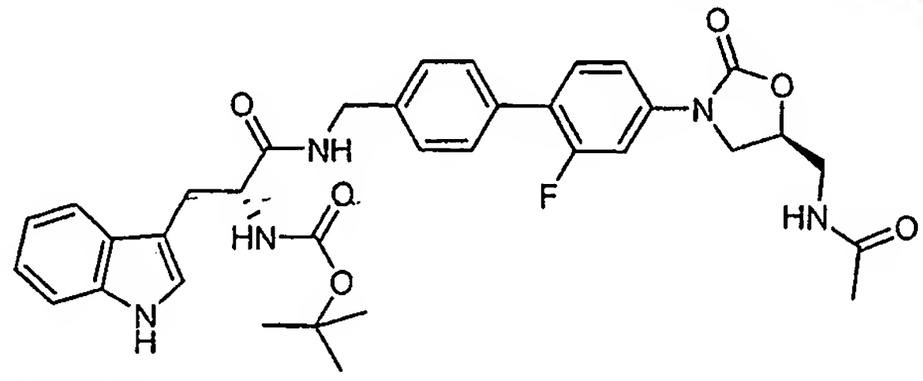
	{4'-[5-(S)-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2'-fluoro-biphenyl-4-ylmethyl}-(2-methyl-2H-tetrazol-5-ylmethyl)-carbamic acid tert-butyl ester
4282	
	N-[3-(2-Fluoro-4'-{[(1H-tetrazol-5-ylmethyl)-amino]-methyl}-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide
4283	
	N-[3-(2-Fluoro-4'-{[(1-methyl-1H-tetrazol-5-ylmethyl)-amino]-methyl}-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide
4284	
	N-[3-(2-Fluoro-4'-{[(2-methyl-2H-tetrazol-5-ylmethyl)-amino]-methyl}-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide
4285	
	N-[3-(2-Fluoro-4'-{[(N-hydroxy-pyridine-4-carboximidoyl)-amino]-methyl}-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide

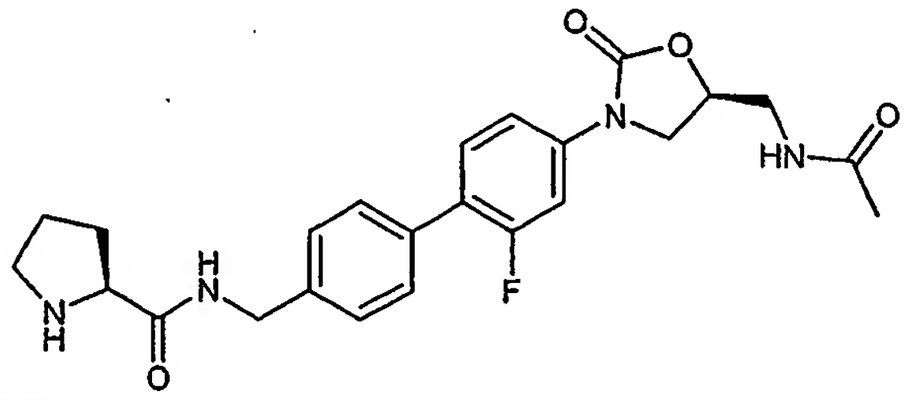
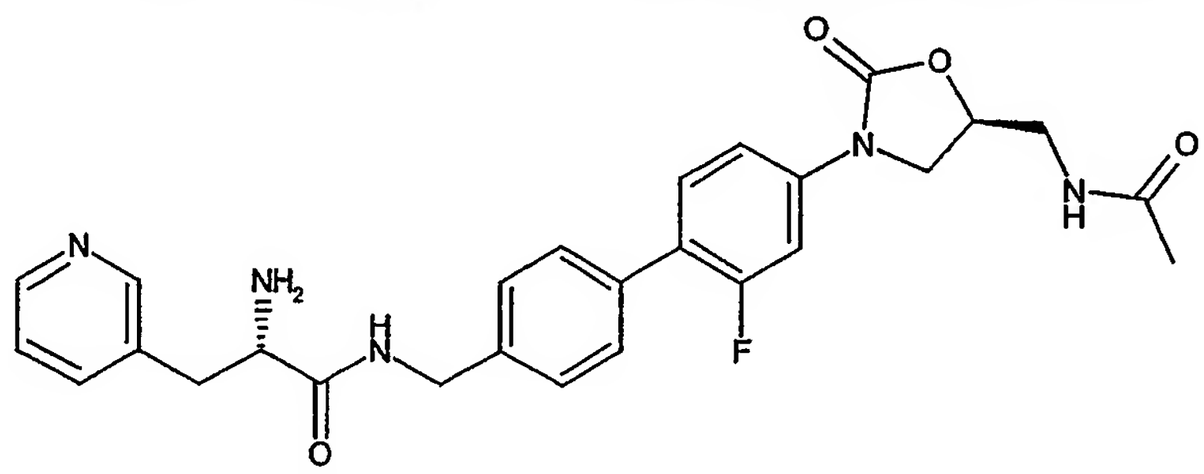
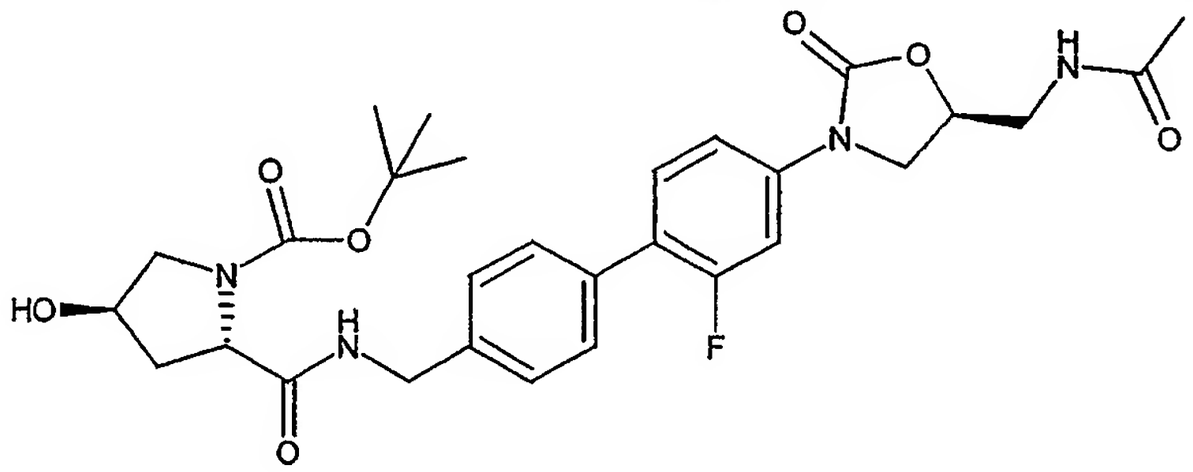
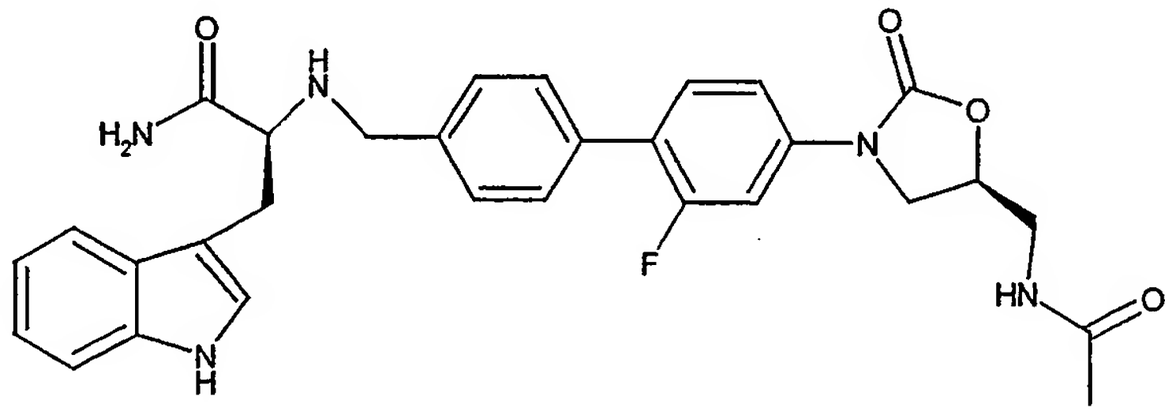
4286	
	N-[3-(4'-{2-[Benzyl-(2-methanesulfonyl-ethyl)-amino]-1-(S)-hydroxy-ethyl}-2-fluoro-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide
4287	
	N-[3-(4'-{[2-(1,3-Dioxo-1,3-dihydro-isoindol-2-yl)-ethanesulfonylamino]-methyl}-2-fluoro-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide
4288	
	N-{3-[4'-(Benzylsulfamoyl-methyl)-2-fluoro-biphenyl-4-yl]-2-oxo-oxazolidin-5-(S)-ylmethyl}-acetamide
4289	
	5-Oxo-pyrrolidine-2-carboxylic acid {4'-[5-(S)-(acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2'-fluoro-biphenyl-4-ylmethyl}-amide
4290	

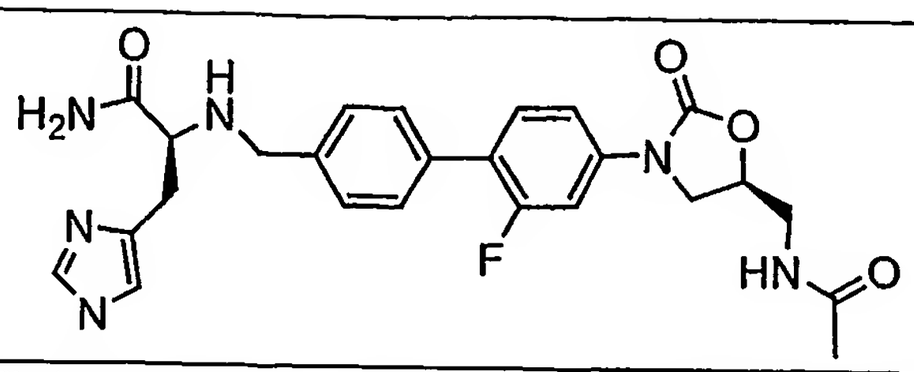
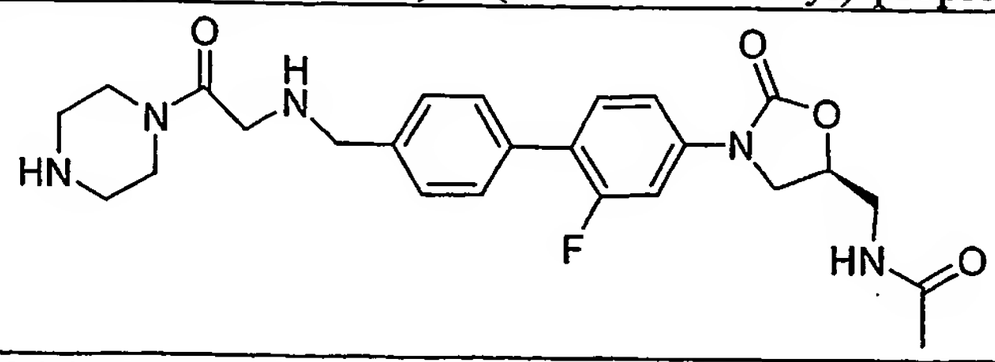
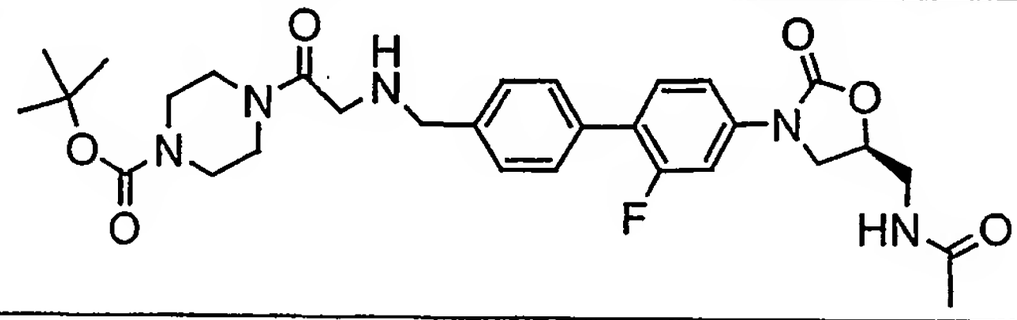
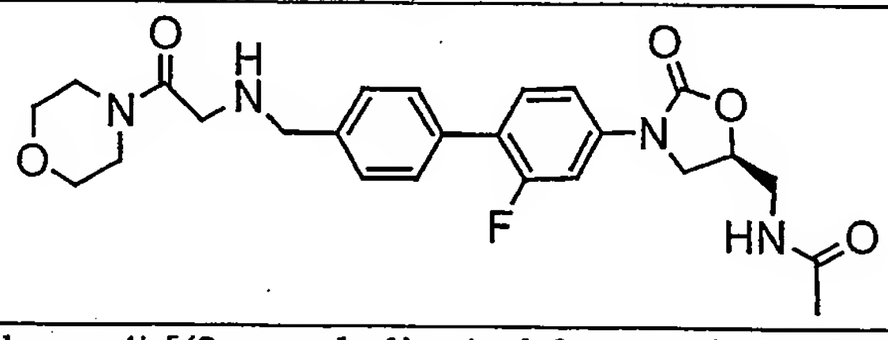
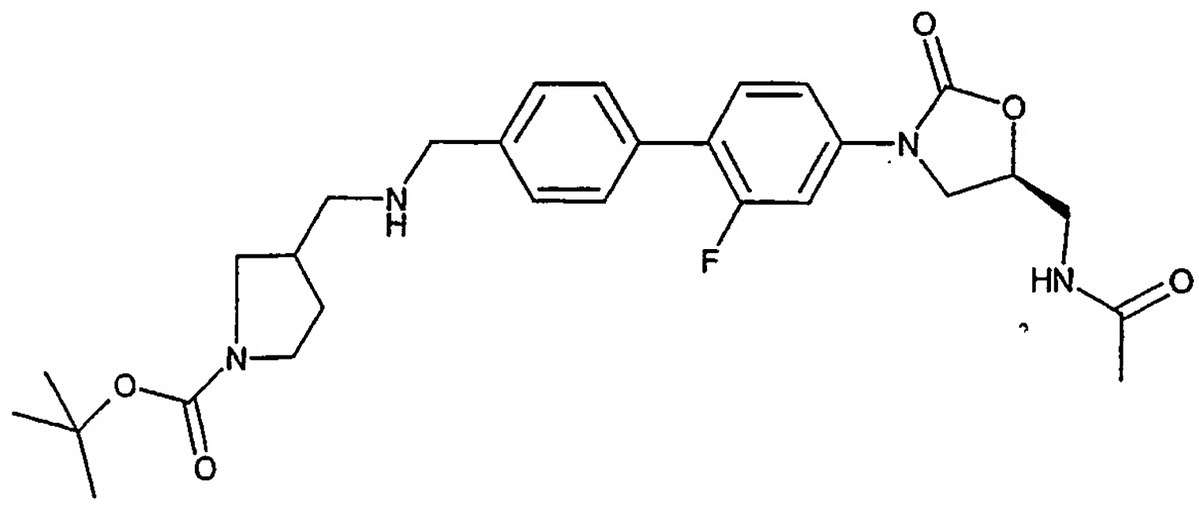
	3-({4'-[5-(S)-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2'-fluoro-biphenyl-4-ylmethyl}-carbamoyl)-azetidine-1-carboxylic acid tert-butyl ester
4291	
	Azetidine-3-carboxylic acid {4'-[5-(S)-(acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2'-fluoro-biphenyl-4-ylmethyl}-amide
4292	
	N-{4'-[5-(S)-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2'-fluoro-biphenyl-4-ylmethyl}-2-(R)-amino-3-(3H-imidazol-4-yl)-propionamide
4293	
	2-({4'-[5-(S)-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2'-fluoro-biphenyl-4-ylmethyl}-amino)-2-pyridin-3-yl-acetamide
4294	
	N-{4'-[5-(S)-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2'-fluoro-biphenyl-4-ylmethyl}-2-amino-2-pyridin-3-yl-acetamide
4295	

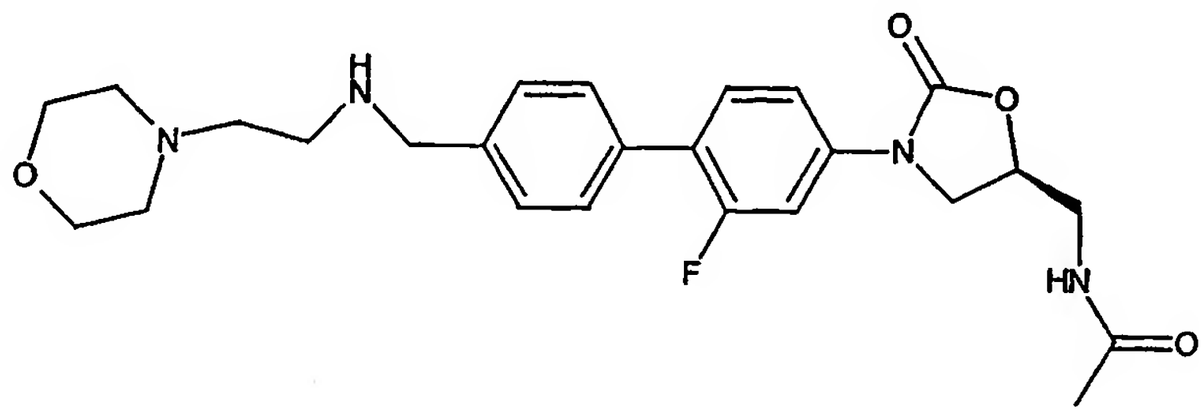
	2-({4'-[5-(S)-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2'-fluoro-biphenyl-4-ylmethyl}-carbamoyl)-azetidine-1-carboxylic acid tert-butyl ester
4296	
	Azetidine-2-carboxylic acid {4'-[5-(S)-(acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2'-fluoro-biphenyl-4-ylmethyl}-amide
4297	
	N-{4'-[5-(S)-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2'-fluoro-biphenyl-4-ylmethyl}-2-(R)-amino-2-(4-fluoro-phenyl)-acetamide
4298	
	4-[({4'-[5-(S)-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2'-fluoro-biphenyl-4-ylmethyl}-amino)-methyl]-piperidine-1-carboxylic acid tert-butylester
4299	
	N-{3-[2-Fluoro-4'-(1-[1,2,3]thiadiazol-4-ylmethyl-ureidomethyl)-biphenyl-4-yl]-2-oxo-oxazolidin-5-(S)-ylmethyl}-acetamide

4300	
	N-(3-{4'-[(Cyclopropylmethyl-amino)-methyl]-2-fluoro-biphenyl-4-yl}-2-oxo-oxazolidin-5-(S)-ylmethyl)-acetamide
4301	
	4-(R)-Hydroxy-pyrrolidine-2-(S)-carboxylicacid {4'-[5-(S)-(acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2'-fluoro-biphenyl-4-ylmethyl}-amide
4302	
	N-{4'-[5-(S)-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2'-fluoro-biphenyl-4-ylmethyl}-2-(S)-amino-3-pyridin-2-yl-propionamide
4303	
	[1-(S)-({4'-[5-(S)-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2'-fluoro-biphenyl-4-ylmethyl}-carbamoyl)-2-pyridin-2-yl-ethyl]-carbamic acid tert-butyl ester

4304	
	[1-({4'-[5-(S)-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2'-fluoro-biphenyl-4-ylmethyl}-carbamoyl)-cyclopropyl]-carbamic acid tert-butyl ester
4305	
	2-({4'-[5-(S)-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2'-fluoro-biphenyl-4-ylmethyl}-carbamoyl)-2,5-dihydro-pyrrole-1-(S)-carboxylic acid tert-butylester
4306	
	2,5-Dihydro-1H-pyrrole-2-(S)-carboxylic acid {4'-[5-(S)-(acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2'-fluoro-biphenyl-4-ylmethyl}-amide
4307	
	N-{4'-[5-(S)-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2'-fluoro-biphenyl-4-ylmethyl}-2-(R)-amino-3-(1H-indol-3-yl)-propionamide
4308	

	[1-(R)-({4'-[5-(S)-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2'-fluoro-biphenyl-4-ylmethyl}-carbamoyl)-2-(1H-indol-3-yl)-ethyl]-carbamic acid tert-butyl ester
4309	
	Pyrrolidine-2-(S)-carboxylic acid {4'-[5-(S)-(acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2'-fluoro-biphenyl-4-ylmethyl}-amide
4310	
	N-{4'-[5-(S)-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2'-fluoro-biphenyl-4-ylmethyl}-2-(R)-amino-3-pyridin-3-yl-propionamide
4311	
	2-({4'-[5-(S)-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2'-fluoro-biphenyl-4-ylmethyl}-carbamoyl)-4-(R)-hydroxy-pyrrolidine-1-(S)-carboxylic acid tert-butyl ester
4312	
	2-({4'-[5-(S)-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2'-fluoro-biphenyl-4-ylmethyl}-amino)-3-(S)-(1H-indol-3-yl)-propionamide

4313	
	2-({4'-[5-(S)-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2'-fluoro-biphenyl-4-ylmethyl}-amino)-3-(1H-imidazol-4-yl)-propionamide
4314	
	N-(3-{2-Fluoro-4'-[(2-oxo-2-piperazin-1-yl-ethylamino)-methyl]-biphenyl-4-yl}-2-oxo-oxazolidin-5-(S)-ylmethyl)-acetamide
4315	
	4-[2-({4'-[5-(S)-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2'-fluoro-biphenyl-4-ylmethyl}-amino)-acetyl]-piperazine-1-carboxylic acid tert-butyl ester
4316	
	N-(3-{2-Fluoro-4'-[(2-morpholin-4-yl-2-oxo-ethylamino)-methyl]-biphenyl-4-yl}-2-oxo-oxazolidin-5-(S)-ylmethyl)-acetamide
4317	
	3-[({4'-[5-(S)-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2'-fluoro-biphenyl-4-ylmethyl}-amino)-methyl]-pyrrolidine-1-carboxylic acid tert-butyl ester

4318	
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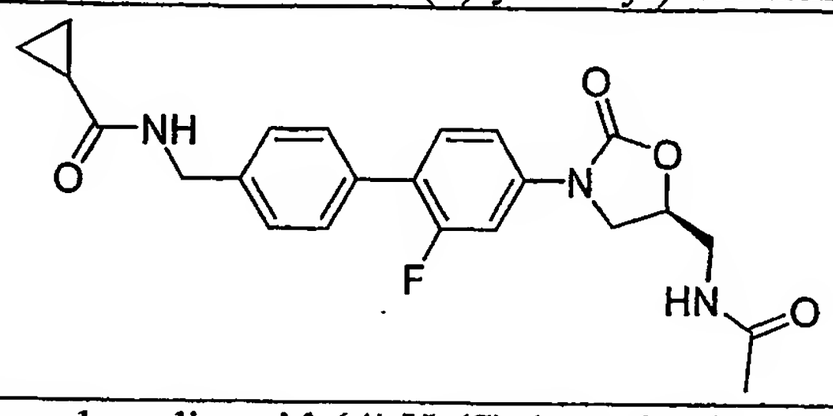
4319	
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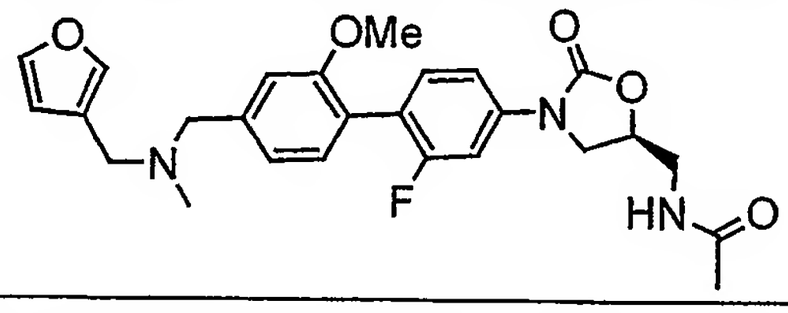
4320	
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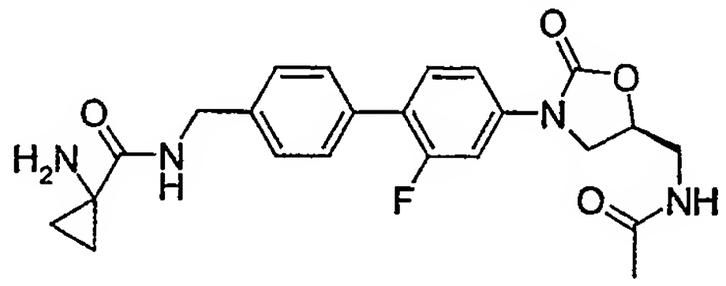
4321	
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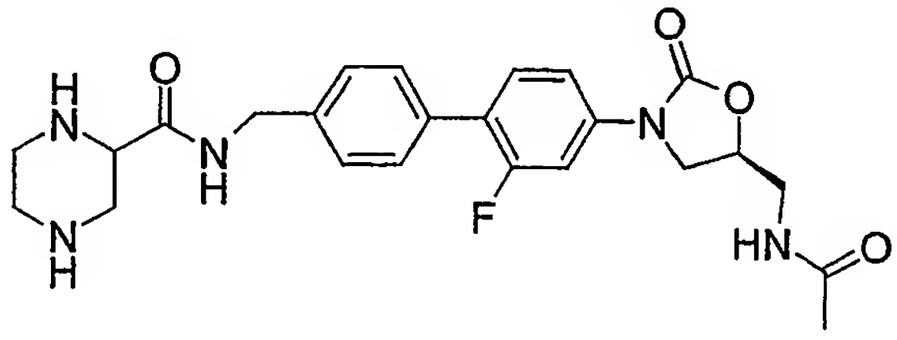
4322	
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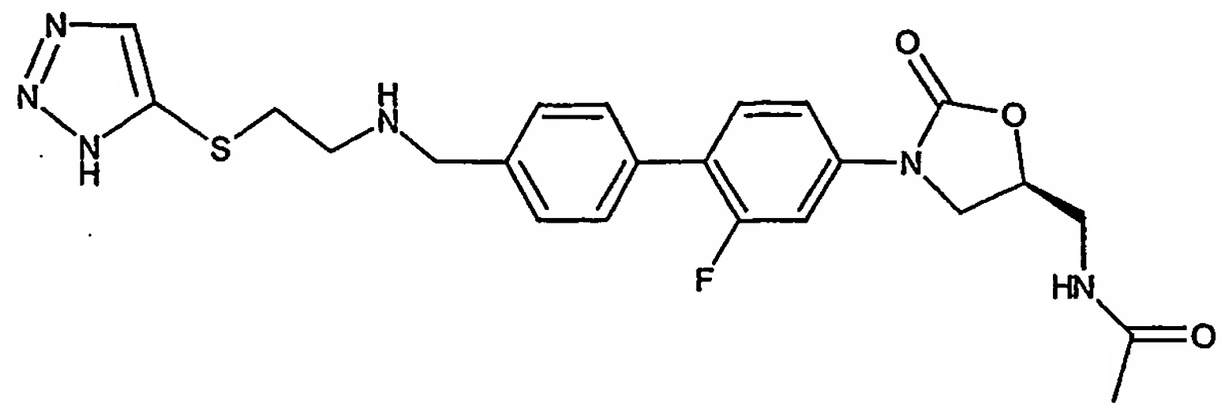
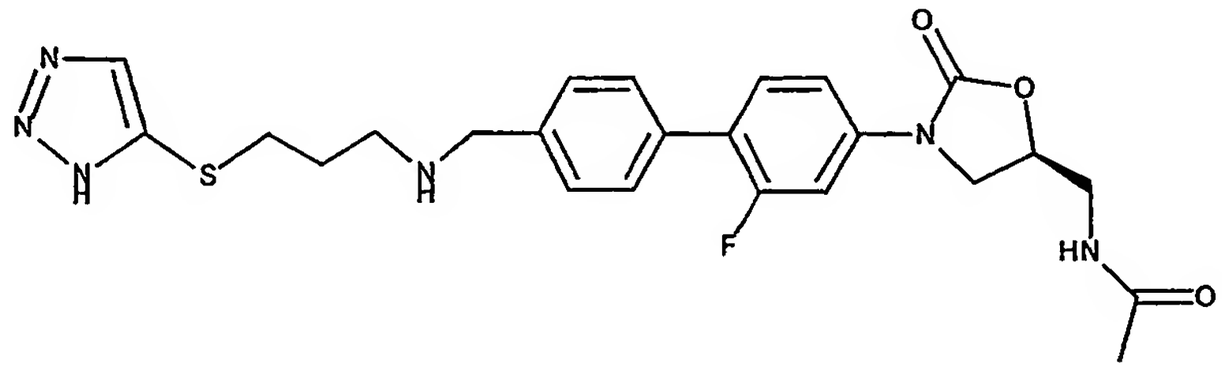
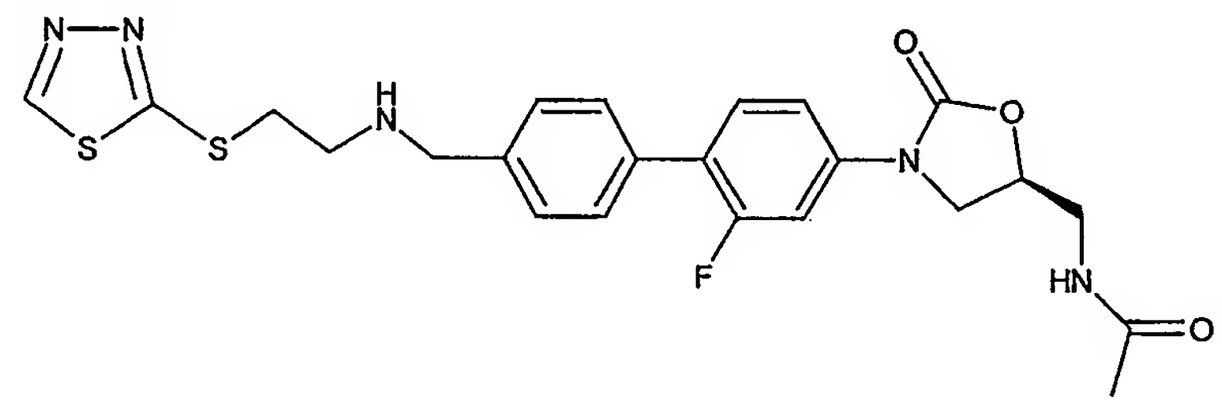
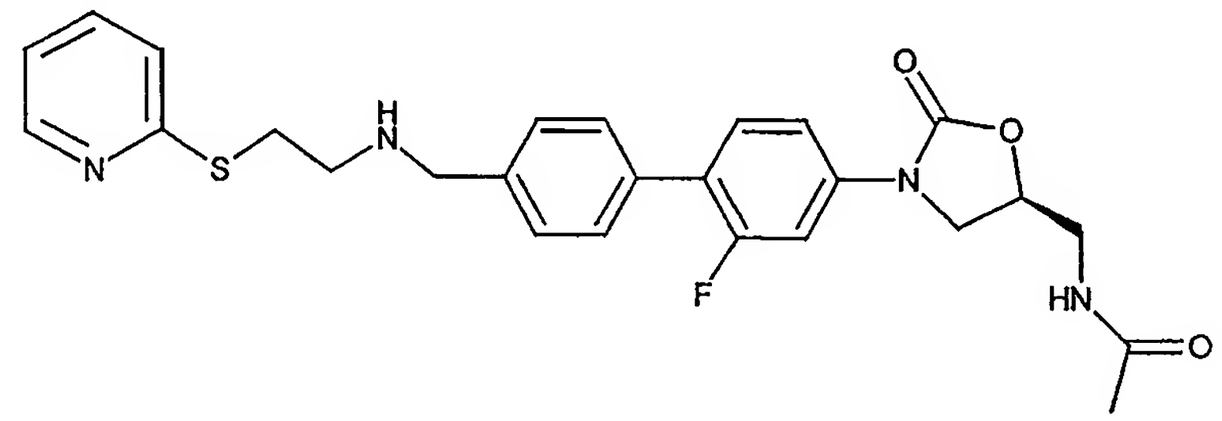
5001	
	N-[3-(2-Fluoro-4'-{[2-(3H-[1,2,3]triazol-4-ylsulfanyl)-ethylamino]-methyl}-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide
5002	
	N-[3-(2-Fluoro-4'-{[3-(3H-[1,2,3]triazol-4-ylsulfanyl)-propylamino]-methyl}-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide
5003	
	N-[3-(2-Fluoro-4'-{[2-([1,3,4]thiadiazol-2-ylsulfanyl)-ethylamino]-methyl}-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide
5004	
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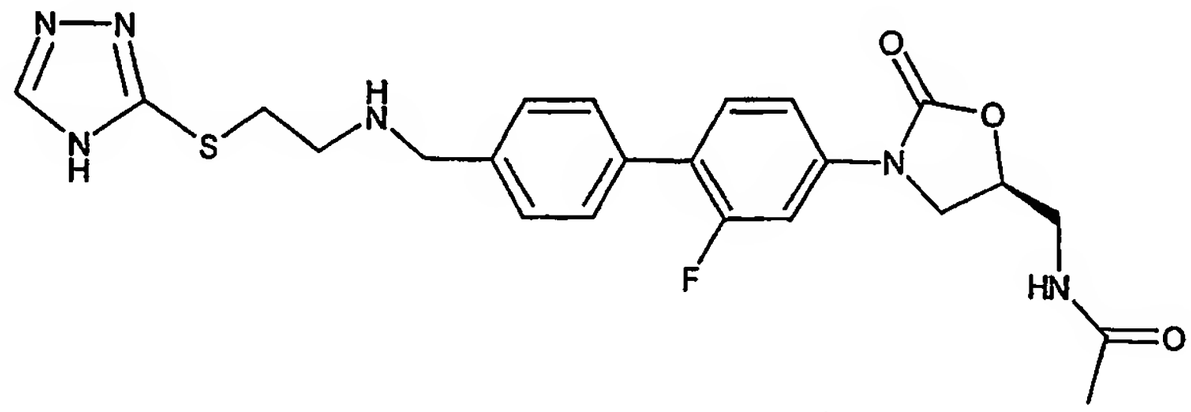
5005	
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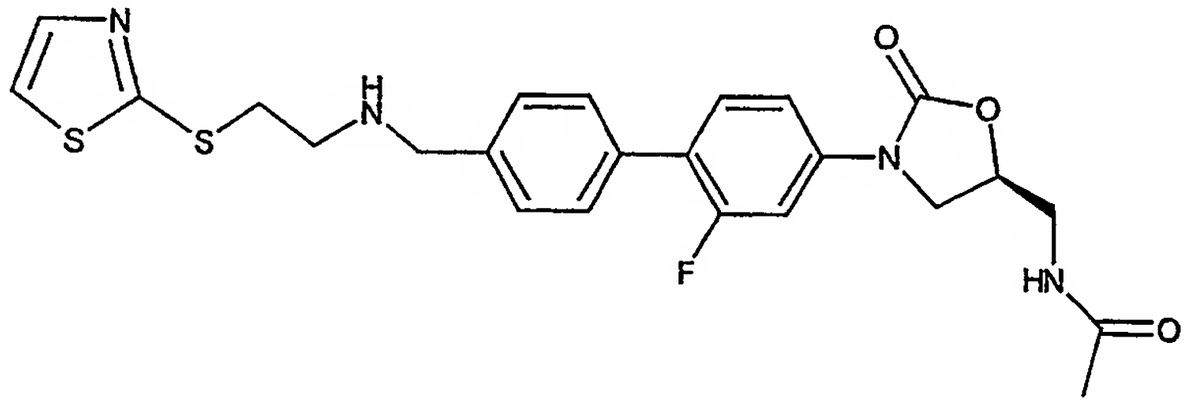
5006	
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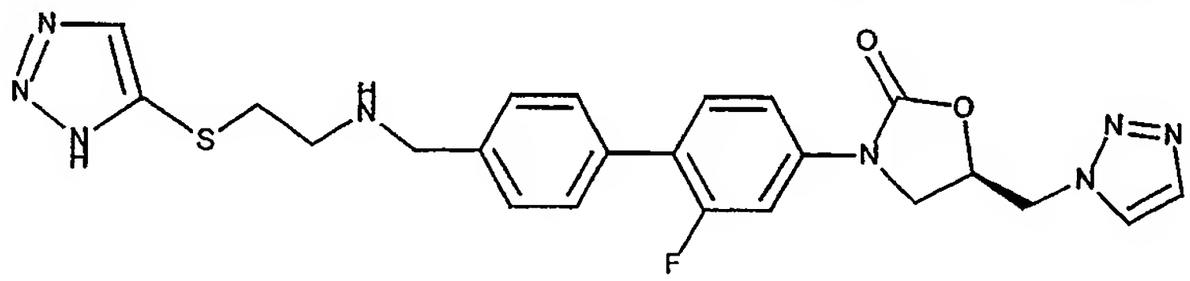
5007	
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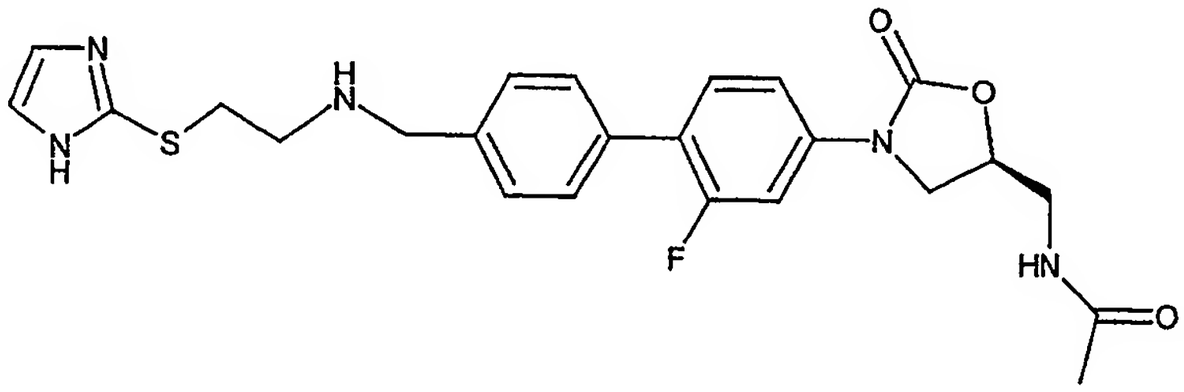
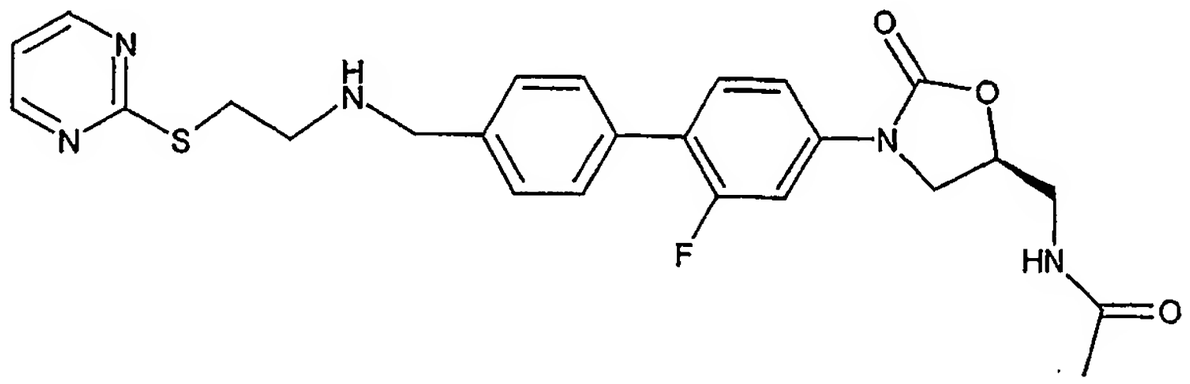
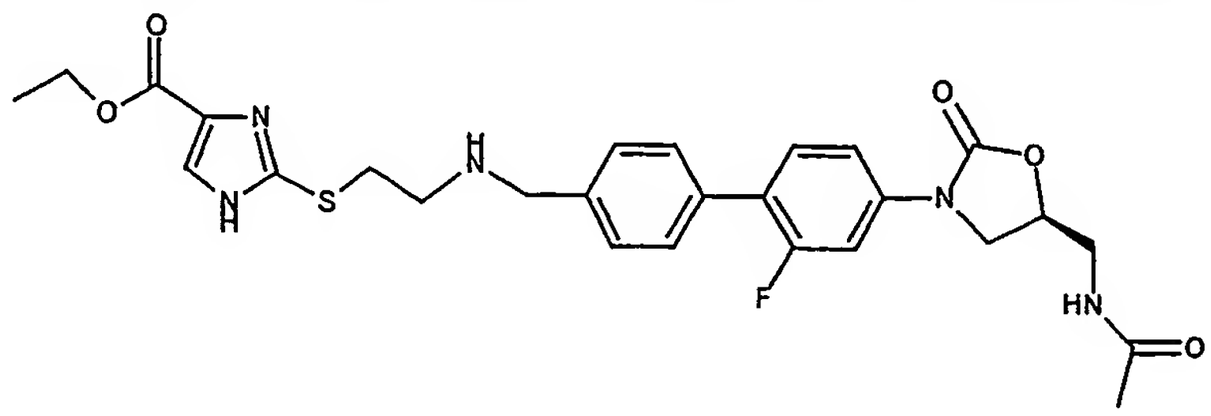
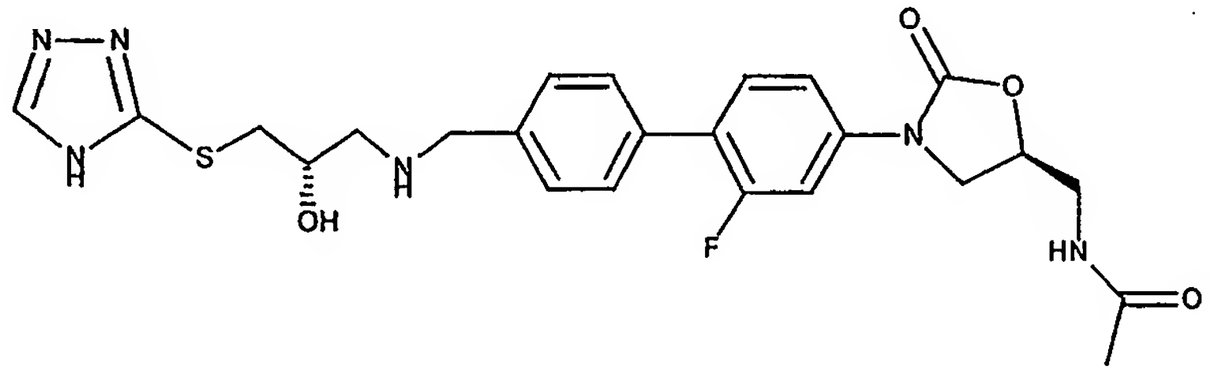
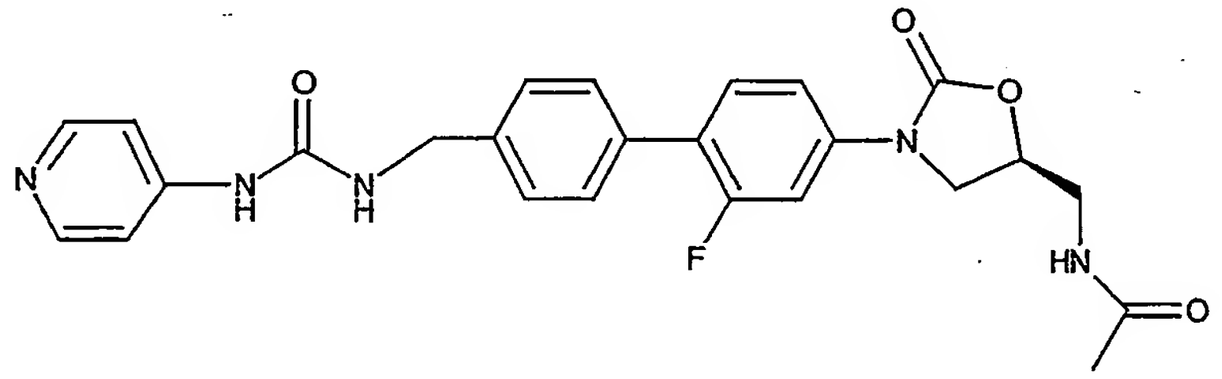
5008	
	N-[3-(2-Fluoro-4'-{[2-(1H-imidazol-2-ylsulfanyl)-ethylamino]-methyl}-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide
5009	

	N-[3-(2-Fluoro-4'-{[2-(pyrimidin-2-ylsulfanyl)-ethylamino]-methyl}-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide
5010	
	2-[2-({4'-[5-(S)-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2'-fluoro-biphenyl-4-ylmethyl}-amino)-ethylsulfanyl]-1H-imidazole-4-carboxylic acid ethyl ester
5011	
	N-[3-(2-Fluoro-4'-{[2-(S)-(hydroxy-3-(4H-[1,2,4]triazol-3-ylsulfanyl)-propylamino]-methyl}-biphenyl-4-yl)-2-oxo-oxazolidin-5-(S)-ylmethyl]-acetamide
5012	
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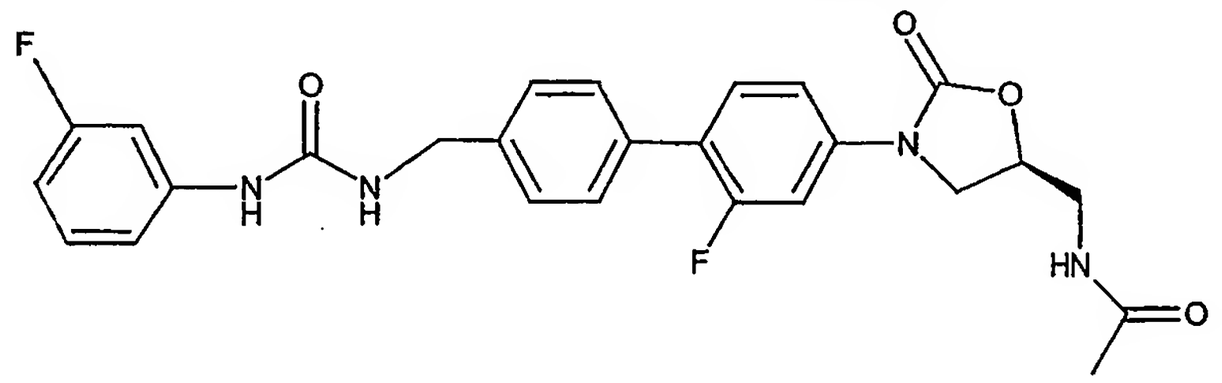
5013	
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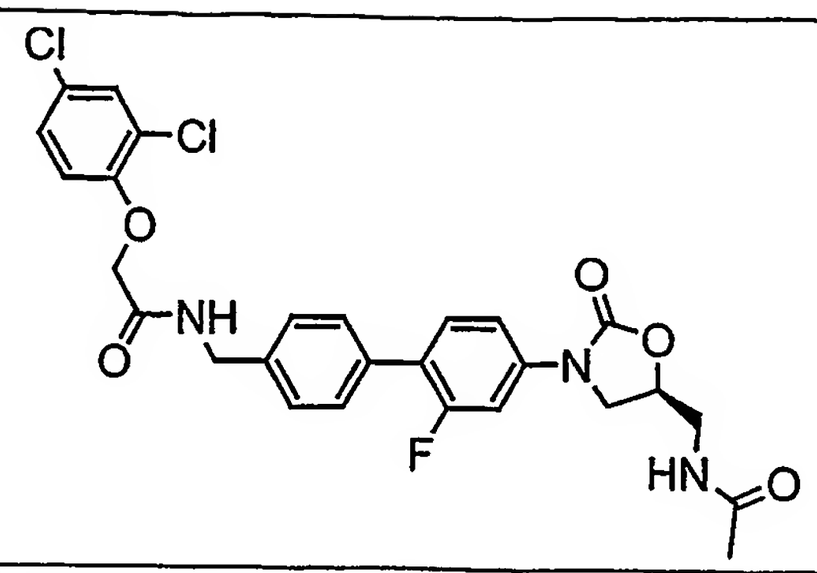
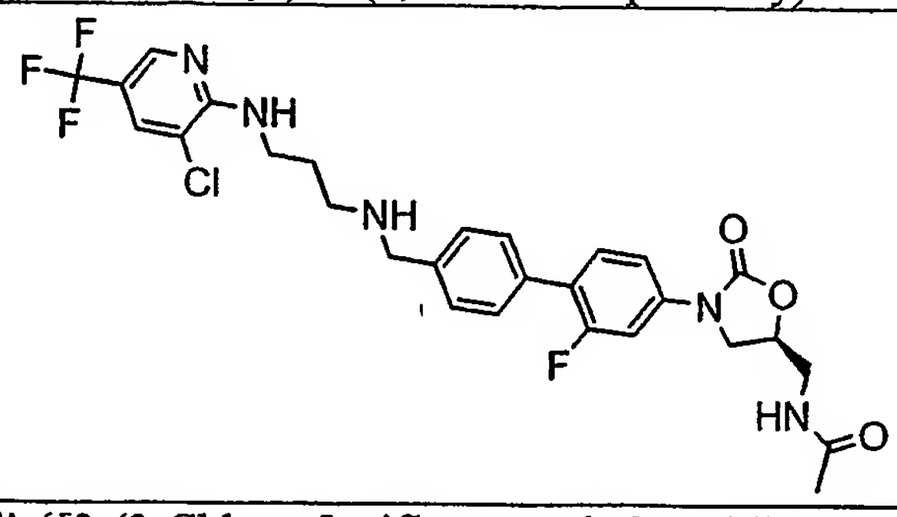
5014	
	N-{4'-[5-(S)-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2'-fluoro-biphenyl-4-ylmethyl}-2-(2,4-dichloro-phenoxy)-acetamide
5015	
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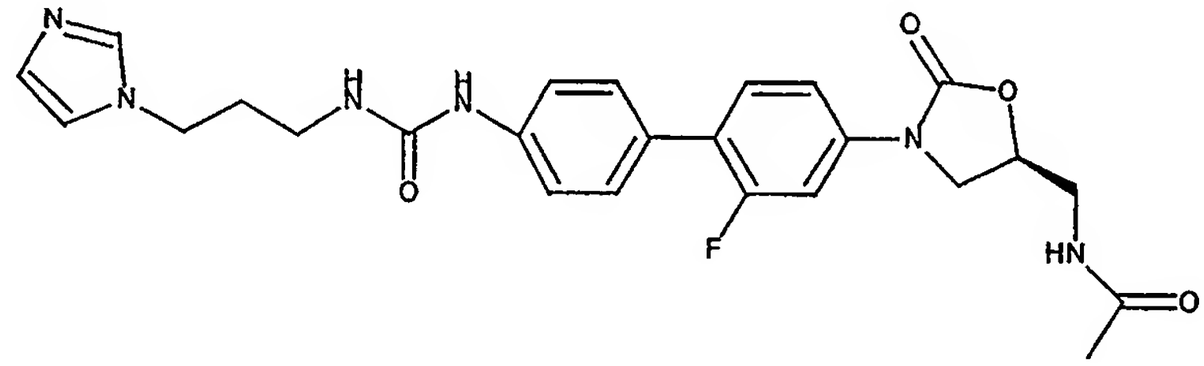
6001	
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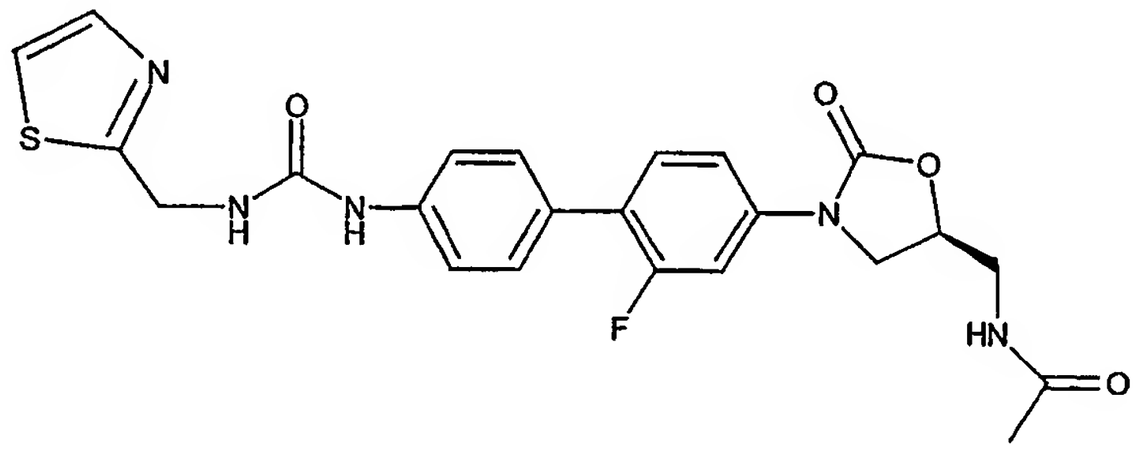
6002	
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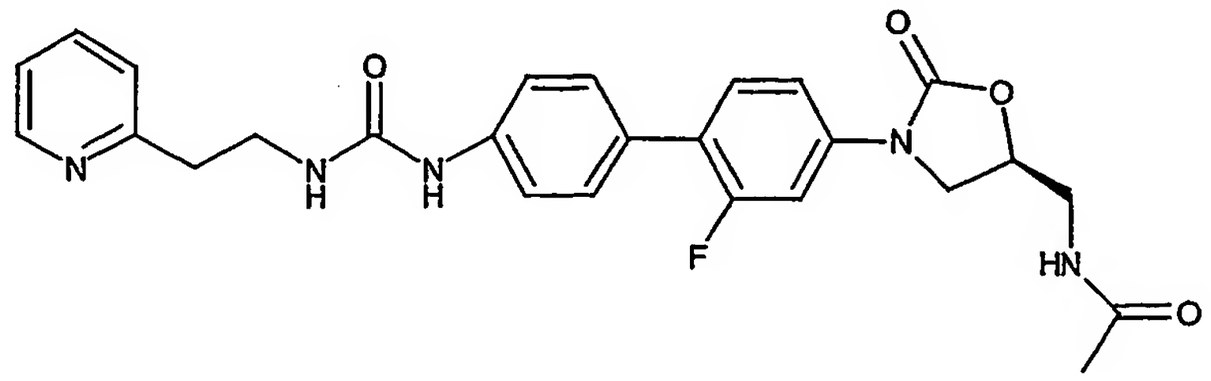
6003	
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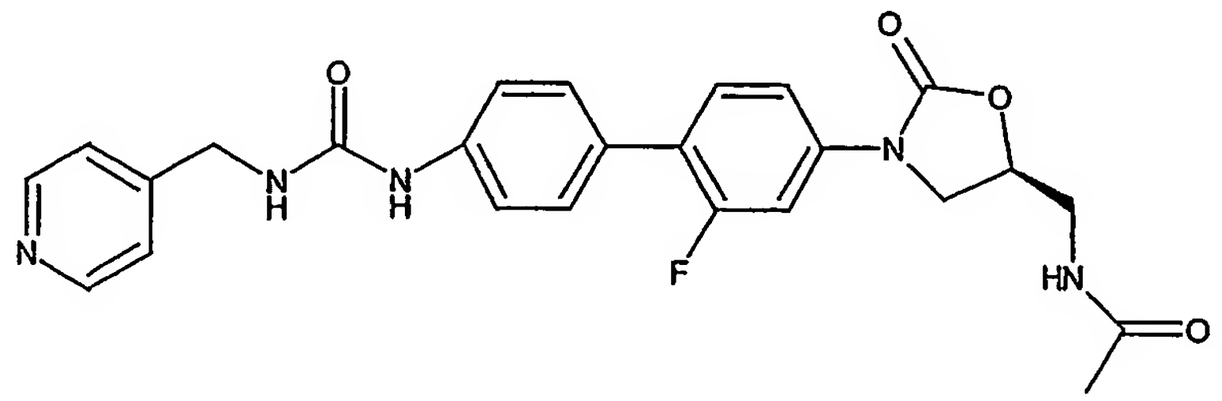
6004	
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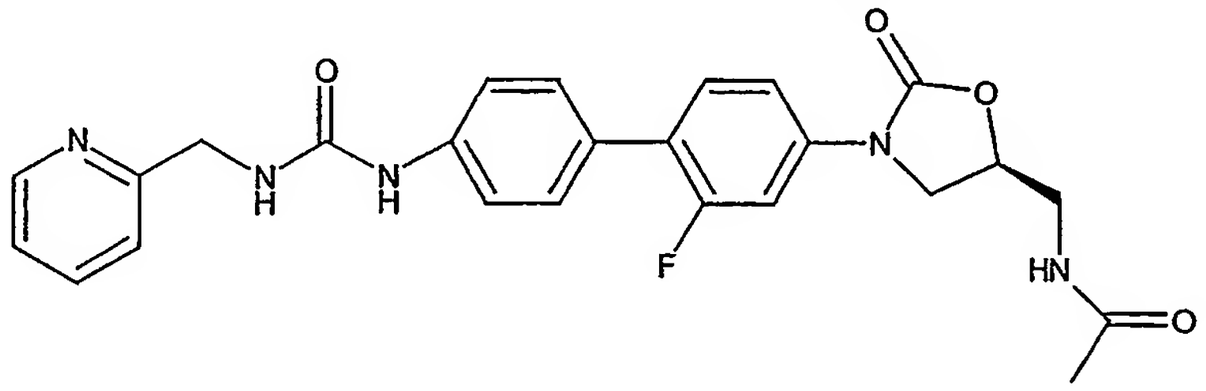
6005	
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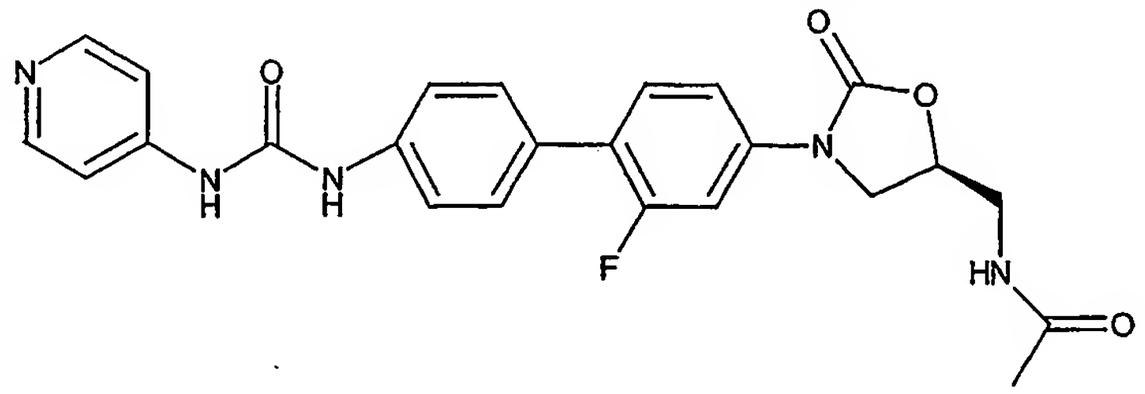
6006	
	N-{3-[2-Fluoro-4'-(3-pyridin-4-yl-ureido)-biphenyl-4-yl]-2-oxo-oxazolidin-5-(S)-ylmethyl}-acetamide

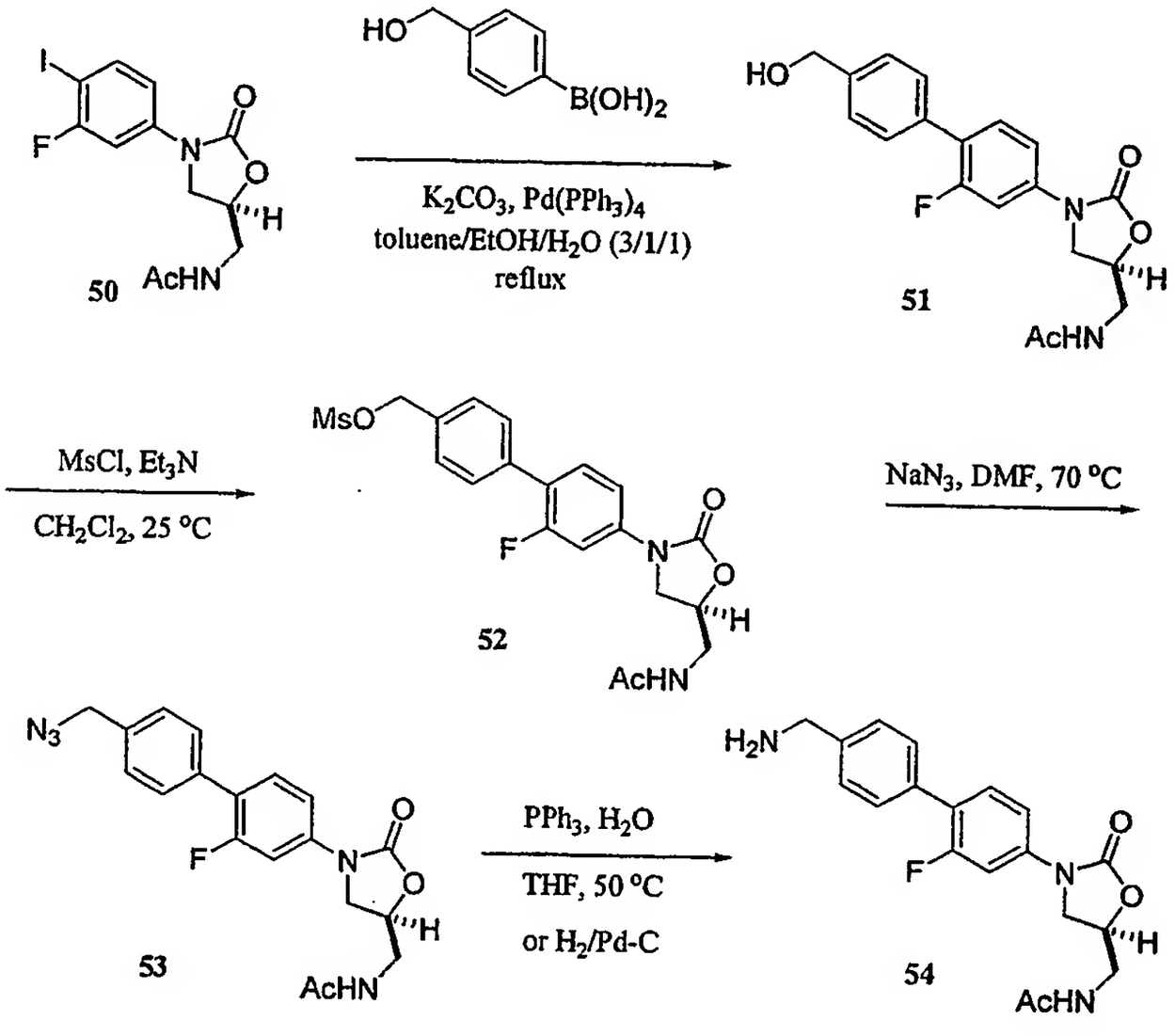
Nuclear magnetic resonance (NMR) spectra were obtained on a Bruker Avance 300 or Avance 500 spectrometer, or in some cases a GE-Nicolet 300 spectrometer. Common reaction solvents were either high performance liquid chromatography (HPLC) grade or American Chemical Society (ACS) grade, and anhydrous as obtained from the manufacturer unless

otherwise noted. "Chromatography" or "purified by silica gel" refers to flash column chromatography using silica gel (EM Merck, Silica Gel 60, 230-400 mesh) unless otherwise noted.

Example 1 – Synthesis of Biaryl Precursors

Scheme 1 depicts the synthesis of various biaryl intermediates useful in producing compounds of the present invention. Known iodoaryl oxazolidinone intermediate **50** (*see* U.S. Patent Nos. 5,523,403 and 5,565,571) is coupled to a substituted aryl boronic acid (the Suzuki reaction) to produce biaryl alcohol **51**. Mesylate **52**, azide **53**, and amine **54** are then synthesized using chemistry well known to those skilled in the art.

Scheme 1



Synthesis of alcohol 51

A suspension of *N*-[3-(3-fluoro-4-iodo-phenyl)-2-oxo-oxazolidin-5-ylmethyl]-acetamide **50** (14.0 g, 37 mmol) in toluene (120 mL) was treated with 4-(hydroxymethyl) phenylboronic acid (7.87 g, 51.8 mmol, 1.4 equiv), potassium carbonate (K_2CO_3, 15.32 g, 111 mmol, 3.0 equiv), ethanol (EtOH, 40 mL), and H_2O (40 mL) at 25 °C, and the resulting mixture was degassed three times under a steady stream of argon at 25 °C. Tetrakis(triphenylphosphine)palladium ($Pd(PPh_3)_4$, 2.14 g, 1.85 mmol, 0.05 equiv) was subsequently added to the reaction mixture, and the resulting reaction mixture was degassed

three times again before being warmed to gentle reflux for 6 h. When thin layer chromatography (TLC) and HPLC showed the coupling reaction was complete, the reaction mixture was cooled to room temperature before being treated with H_2O (240 mL). The resulting mixture was then stirred at room temperature for 10 min before being cooled to 0-5 °C for 1 h. The solid precipitates were collected by filtration, washed with H_2O (2 x 100 mL) and 20% ethyl acetate (EtOAc)/hexane (2 X 50 mL), and dried *in vacuo*. The crude desired *N*-[3-(2-Fluoro-4'-hydroxymethyl-biphenyl-4-yl)-2-oxo-oxazolidin-5-ylmethyl]-acetamide **51** (12.50 g, 94% yield) was obtained as off-white solids. This material was found to be essentially pure by HPLC and ^{1}H NMR and was directly used in the subsequent reaction without further purification. ^{1}H NMR (300 MHz, DMSO-d_6) δ 1.76 (s, 3H, COC*H*$_3$), 3.35 (t, 2H, *J* = 5.4 Hz), 3.69 (dd, 1H, *J* = 6.4, 9.2 Hz), 4.08 (t, 1H, *J* = 9.1 Hz), 4.46 (d, 2H, *J* = 5.7 Hz, C*H*$_2$OH), 4.68 (m, 1H), 5.16 (t, 1H, *J* = 5.7 Hz, O*H*), 7.25 – 7.52 (m, 7H, aromatic-*H*), 8.18 (t, 1H, *J* = 5.8 Hz, N*H*COCH$_3$). LCMS (ESI) *m/e* 359 $(M + H)^+$.

Synthesis of mesylate 52

A suspension of **51** (12.49 g, 34.90 mmol) in methylene chloride (CH_2Cl_2, 150 mL) was treated with triethylamine (Et_3N, 7.07 g, 9.7 mL, 70 mmol, 2.0 equiv) at 25 °C, and the resulting mixture was cooled to 0–5 °C before being treated dropwise with methanesulfonyl chloride (4.80 g, 3.24 mL, 41.9 mmol, 1.2 equiv) at 0–5 °C. The resulting reaction mixture was subsequently stirred at 0–5 °C for 2 h. When TLC and HPLC showed the reaction was complete, the reaction mixture was treated with H_2O (100 mL) at 0-5 °C. The mixture was then concentrated *in vacuo* to remove most of the CH_2Cl_2, and the resulting slurry was treated with H_2O (150 mL). The mixture was stirred at room temperature for 10 min before being cooled to 0–5 °C for 30 min. The solid precipitates were collected by filtration, washed with H_2O (2 x 100 mL) and 20% EtOAc/hexane (2 X 50 mL), and dried *in vacuo*. The crude desired methanesulfonic acid 4'-[5-(acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2'-fluoro-biphenyl-4-ylmethyl ester **52** (11.84 g, 78% yield) was obtained as off-white solids, which by TLC and HPLC was found to be essentially pure and was directly used in the subsequent reaction without further purification. LCMS (ESI) *m/e* 437 $(M + H)^+$.

Synthesis of azide 53

A solution of **52** (9.27 g, 21.26 mmol) in anhydrous *N,N*-dimethylformamide (DMF, 50 mL) was treated with sodium azide (NaN_3, 5.53 g, 85.04 mmol, 4.0 equiv) at 25 °C, and the resulting reaction mixture was warmed to 70–80 °C for 4 h. When TLC and HPLC showed the

reaction was complete, the reaction mixture was cooled to room temperature before being treated with H_2O (150 mL). The resulting mixture was stirred at room temperature for 10 min before being cooled to 0–5 °C for 1 h. The solid precipitates were collected by filtration, washed with H_2O (2 x 100 mL) and 20% EtOAc/hexane (2 X 50 mL), and dried *in vacuo*. The crude desired *N*-[3-(4'-azidomethyl-2-fluoro-biphenyl-4-yl)-2-oxo-oxazolidin-5-ylmethyl]-acetamide **53** (7.16 g, 88% yield) was obtained as off-white solids. The material was found to be essentially pure by TLC and HPLC and was directly used in the subsequent reaction without further purification. LCMS (ESI) *m/e* 384 $(M + H)^+$.

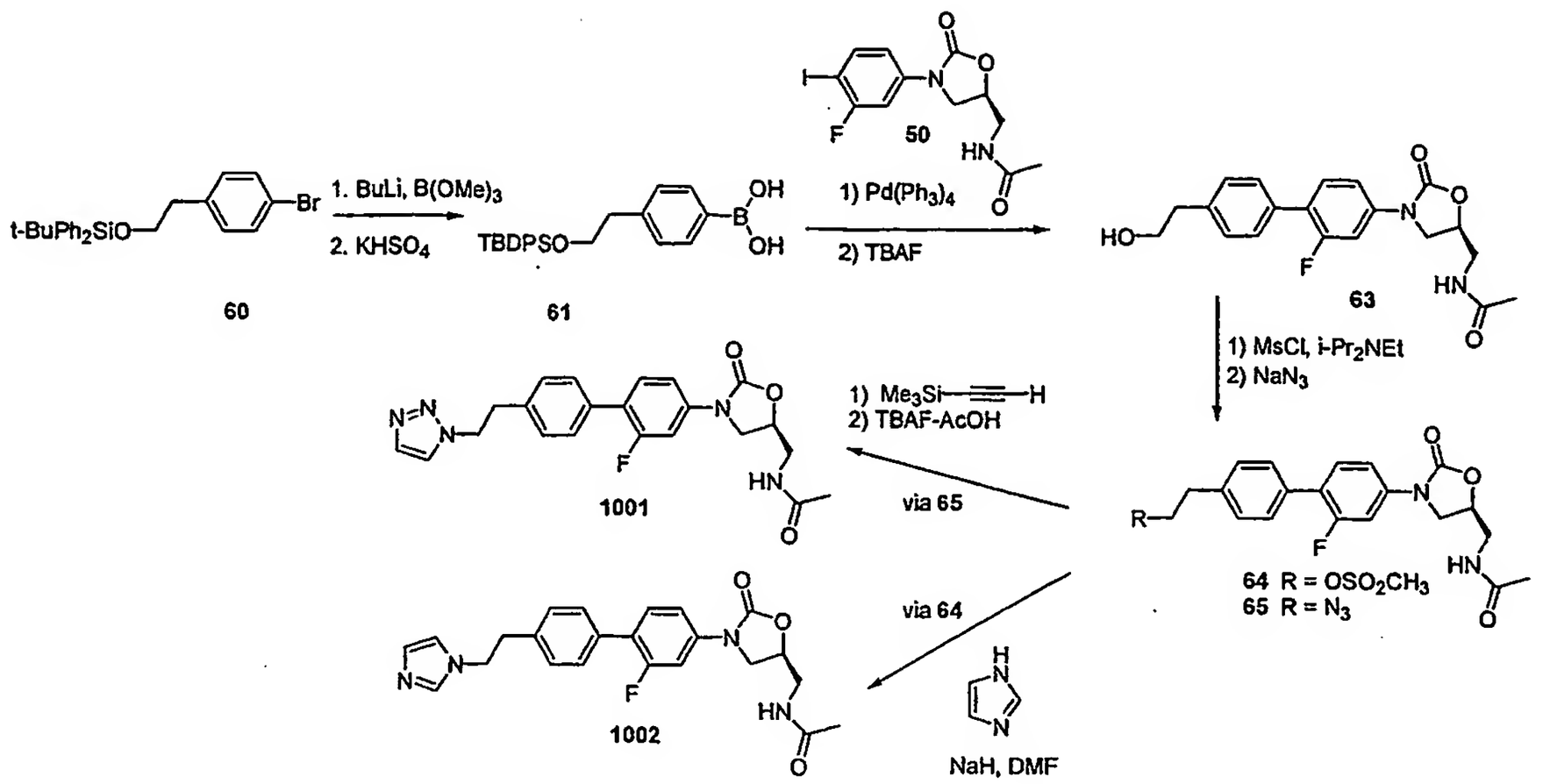
Synthesis of amine 54

A solution of **53** (7.16 g, 18.69 mmol) in tetrahydrofuran (THF) (100 mL) was treated with triphenylphosphine (PPh_3, 5.88 g, 22.43 mmol, 1.2 equiv) and H_2O (3.6 g, 3.6 mL, 0.2 mmol, 11.0 equiv) at 25 °C, and the resulting reaction mixture was warmed to 50-55 °C for 12 h. When TLC and HPLC showed the reduction reaction was complete, the reaction mixture was cooled to room temperature before the solvents were removed *in vacuo*. The residue was directly purified by flash column chromatography (0–15% MeOH-CH_2Cl_2 gradient elution) to afford the desired *N*-[3-(4'-Aminomethyl-2-fluoro-biphenyl-4-yl)-2-oxo-oxazolidin-5-ylmethyl]-acetamide **54** (5.82 g, 87% yield) as off-white crystals, which were of sufficient purity to be directly used in subsequent reactions. ^1H NMR (300 MHz, DMSO-d_6) δ 1.85 (s, 3H, $COCH_3$), 3.04 (br. s, 2H, NH_2), 3.44 (t, 2H, J = 5.4 Hz), 3.78 (m, 3H), 4.18 (t, 1H, J = 9.1 Hz), 4.77 (m, 1H), 7.25 – 7.60 (m, 7H, aromatic-*H*), 8.20 (t, 1H, J = 5.8 Hz, $NHCOCH_3$). LCMS (ESI) *m/e* 359 $(M + 2H)^{2+}$.

Example 2 - Synthesis of Triazole 1001 and Imidazole 1002

Scheme 2 illustrates the synthesis of triazole **1001** and imidazole **1002**. Aryl bromide **60** was converted to boronic acid **61** which was used in a Suzuki coupling with aryl iodide **50** to afford alcohol **63** after desilylation. The alcohol was converted to mesylate **64** and then to azide **65**. The cycloaddition of azide **65** with trimethylsilylacetylene followed by desilylation afforded triazole **1001**. Alkylation of mesylate **64** with imidazole yielded compound **1002**.

Scheme 2



Synthesis of bromide 60

To a solution of 4-bromophenethyl alcohol (5.60 g, 27.9 mmol), imidazole (3.80 g, 55.7 mmol) and a catalytic amount of 4-dimethylaminopyridine (DMAP) in DMF (55 mL) was added *t*-butyldiphenylchlorosilane (TBDPSCl, 7.20 mL, 27.9 mmol) at 0 °C and the mixture was stirred at ambient temperature for 72 h. The reaction was quenched with ice cold water (50 mL) and extracted with ether (4 x 50 mL). The combined etheral layer was washed with water (4 x 100 mL), dried over anhydrous sodium sulfate (Na_2SO_4), concentrated and purified by flash chromatography (2% ethyl acetate in hexanes) to yield 10.6 g of **60**.

Synthesis of boronic acid 61

To a solution of **60** (10.5 g, 24.0 mmol) in THF (50 mL) was added *n*-butyl lithium (*n*-BuLi, 2.5M in hexane, 11.5 mL, 28.8 mmol) at -78 °C and the mixture was stirred for 1 h before the addition of trimethyl borate (3.54 mL, 31.2 mmol). The solution was then stirred overnight at ambient temperature and quenched with 1M potassium hydrogen sulfate ($KHSO_4$, 25 mL). The resulting mixture was extracted with CH_2Cl_2 (3 x 50 mL), washed with brine (3 x 100 mL), dried (anhydrous Na_2SO_4), concentrated and purified by flash chromatography (25% ethyl acetate in hexanes) to yield 5 g of boronic acid **61** as mixture of acid and cyclic anhydrides.

Synthesis of alcohol 63

To a mixture of boronic acid **61** (4.7 g, 11.7 mmol), known oxazolidinone **50** (4.00 g, 10.6 mmol; *see* U.S. Patent Nos. 5,523,403 and 5,565,571), potassium carbonate (K_2CO_3, 4.40

g, 31.8 mmol) and $Pd(PPh_3)_4$ (0.613 g, 5 mol%) was added toluene (90 mL), ethanol (30 mL) and H_2O (30 mL). The reaction mixture was refluxed overnight under argon atmosphere, concentrated and redissolved in CH_2Cl_2 (100 mL). The organic phase was washed with brine solution (2 x 100 mL), dried (anhydrous Na_2SO_4), concentrated and used for the next step without further purification. To a solution of this crude material in THF (70 mL) was added tetrabutylammonium fluoride (TBAF, 20 mL, 20 mmol) and the mixture was stirred overnight at ambient temperature. The reaction mixture was concentrated and washed with water (4 x 100 mL) to yield 3.5 g of **63**. LCMS (ESI) *m/z* 373 (M+H).

Synthesis of mesylate 64 and azide 65

To a solution of **63** (1.0 g, 2.7 mmol) in CH_2Cl_2 (15 mL), DMF (4 mL) and *N,N*-diisopropylethylamine (Hunig's base, 0.75 mL, 4.05 mmol) was added methanesulfonyl chloride (0.32 mL, 2.7 mmol) at 0 °C. After 2 h the reaction mixture was poured into CH_2Cl_2 (150 mL) and the organic layer was washed with water (3 x 100 mL), dried, concentrated to afford **64** as a solid. The crude solid **64** thus obtained was heated with NaN_3 (0.35 g, 5.4 mmol) at 90 °C overnight. The reaction mixture was poured into ethyl acetate (100 mL). The ethyl acetate layer was washed with water (3 x 50 mL), dried and concentrated to yield 1.1 g of pure azide **65**. LCMS (ESI) *m/z* 398 (M+H).

Synthesis of triazole 1001

A solution of azide **65** (100 mg, 0.252 mmol) and trimethylsilylacetylene (0.072 mL, 0.504 mmol) in DMF (3 mL) was heated at 90°C until the azide was consumed. The reaction mixture was concentrated and treated with TBAF (1 mL, 1 mmol) and acetic acid (0.028 mL, 0.504 mmol) in THF (3 mL). The solution was stirred for 72 h and concentrated. The crude product was purified by flash chromatography using 4% methanol (MeOH) in CH_2Cl_2 to yield 85 mg of **1001**. LCMS (ESI) *m/z* 424 (M+H).

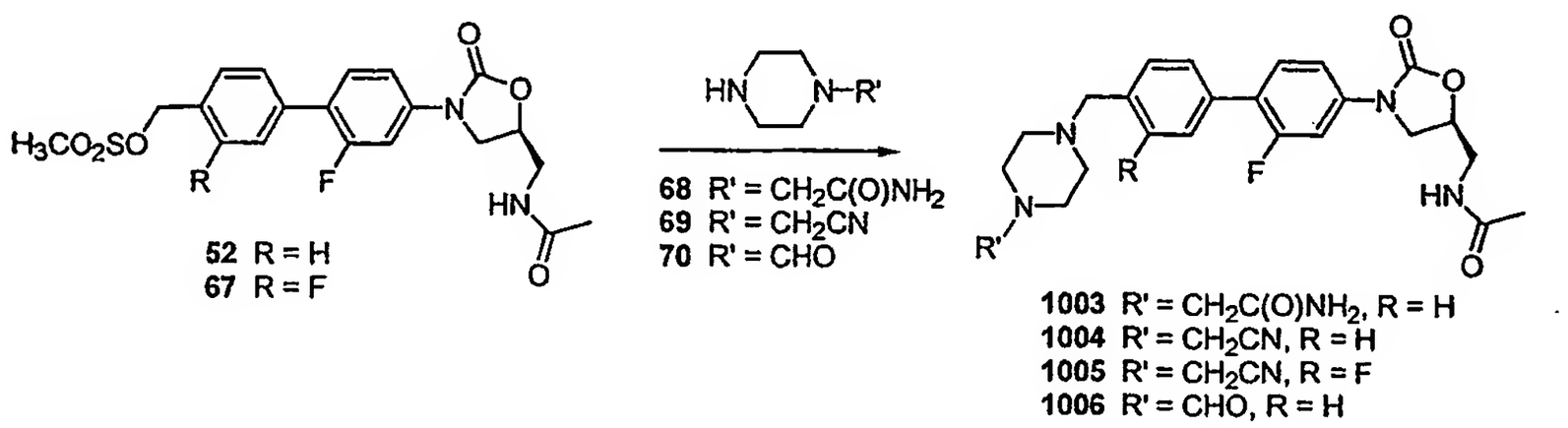
Synthesis of imidazole 1002

To a solution of imidazole (70 mg, 1.0 mmol) in DMF (5 mL) was added sodium hydride (NaH, 60%, 41 mg, 1 mmol) at 0 °C and the mixture was stirred for 30 minutes before the addition of mesylate **64** (114 mg, 0.250 mmol). The resulting solution was heated to 80 °C for 3h, concentrated and purified by flash chromatography (5% MeOH in CH_2Cl_2). After trituration with ether, the residue afforded 40 mg of **1002**. LCMS (ESI) *m/z* 423 (M+H).

Example 3 - Synthesis of Piperazines 1003-1006

Scheme 3 illustrates the synthesis of compounds **1003-1006**. Mesylate **52** served as alkylating agent for piperazine intermediates **68**, **69** and **70** to afford compounds **1003**, **1004** and **1006** respectively. Mesylate **67** was employed to alkylate piperazine intermediate **69** to provide compound **1005**.

Scheme 3



Synthesis of mesylate 67

Mesylate **67** was synthesized by coupling iodide **50** and 4-formyl-3-fluorophenylboronic acid following the procedure described above for the synthesis of *N*-[3-(2-fluoro-4'-hydroxymethyl-biphenyl-4-yl)-2-oxo-oxazolidin-5-ylmethyl]-acetamide (see Example 1). The biaryl aldehyde obtained (1.0 g, 2.67 mmol) was suspended in 40 mL methanol and the mixture was cooled to 0°C. Sodium borohydride (0.112 g, 2.943 mmol) was added, and the mixture was stirred for 50 min. Water was added (20 mL), and after stirring another 20 min the mixture was partitioned between methylene chloride and brine. The aqueous phase was extracted twice with methylene chloride. The aqueous phase was acidified to pH 7, then extracted twice with methylene chloride. The combined organic phase was washed with brine, dried over Na_2SO_4, and concentrated. The crude material was azeotroped with toluene to afford the expected alcohol (900 mg).

The above alcohol (900 mg) was dissolved in methylene chloride (20 mL), DMF (13 mL) and Hunig's base (1.23 mL) and the mixture was cooled to 0°C. Methanesulfonyl chloride (557 uL, 7.20 mmol) was added and the mixture was stirred for 1.5 h at 0°C. LCMS indicated a mixture of desired mesylate and some of the corresponding benzyl chloride. The mixture was stirred for another 30 min and then concentrated. The residue was treated with 400 mL water, and the precipitate was filtered and washed with water. Drying under vacuum overnight yielded 750 mg crude mesylate **67** (as a mixture with some of the corresponding chloride).

Synthesis of piperazine 68

A solution of *tert*–butyl-1-piperazine carboxylate (1 g, 5.4 mmol), bromoacetamide (820 mg, 5.94 mmol) and Hunig's base (1.2 mL, 7.2 mmol) in a mixture of CH_2Cl_2 (10 mL) and MeOH (10 mL) was heated to reflux for 4 h. The reaction mixture was concentrated and the crude product thus obtained was purified by flash chromatography (19 :1 :0.01 CH_2Cl_2/MeOH/ NH_4OH) to yield 1.3 g of pure BOC-protected piperazinyl acetamide. To a solution of the acetamide (250 mg, 1 mmol) in CH_2Cl_2 (10 mL) was added trifluoroacetic acid (TFA, 5 mL) at 0°C and the mixture was stirred at that temperature for 2 h. The reaction mixture was concentrated to yield **68** which was used for subsequent reactions without further purification.

Synthesis of piperazine 69

A solution of *tert* –butyl-1-piperazine carboxylate (1 g, 5.4 mmol), bromoacetonitrile (0.5 mL, 5.94 mmol) and Hunig's base (1.2 mL, 7.2 mmol) in a mixture of CH_2Cl_2 (10 mL) and MeOH (10 mL) was stirred at ambient temperature for 4 h. The reaction mixture was concentrated and the crude product thus obtained was purified by flash chromatography (19:1:0.01 CH_2Cl_2/MeOH/NH_4OH) to yield 1.3 g of pure BOC-protected piperazinyl acetonitrile. To a solution of the piperazinyl acetonitrile (300 mg, 1.3 mmol) in CH_2Cl_2 (10 mL) was added TFA (5 mL) at 0°C and the mixture was stirred at that temperature for 2 h. The reaction mixture was concentrated to yield **69** which was used for subsequent reactions without further purification.

Synthesis of compound 1003

A solution of mesylate of **52** (138 mg, 0.320 mmol) and **68** (~1 mmol) in Hunig's base (2 mL) and DMF (8 mL) was heated to 90°C for 2 h. Then the solution was concentrated and purified by flash chromatography over silica gel (20:1:0.01 CH_2Cl_2/MeOH/NH_4OH) to yield **1003**. LCMS (ESI) *m/z* 484 $(M + H)^+$.

Synthesis of compound 1004

Compound **1004** was synthesized from mesylate **52** and piperazine intermediate **69** in the same manner as described above for the synthesis of compound **1003**. LCMS (ESI) *m/z* 466 $(M + H)^+$.

Synthesis of compound 1005

Compound **1005** was synthesized from mesylate **67** and piperazine intermediate **69** in the same manner as described above for the synthesis of compound **1003**. LCMS (ESI) *m/z* 484 $(M + H)^+$.

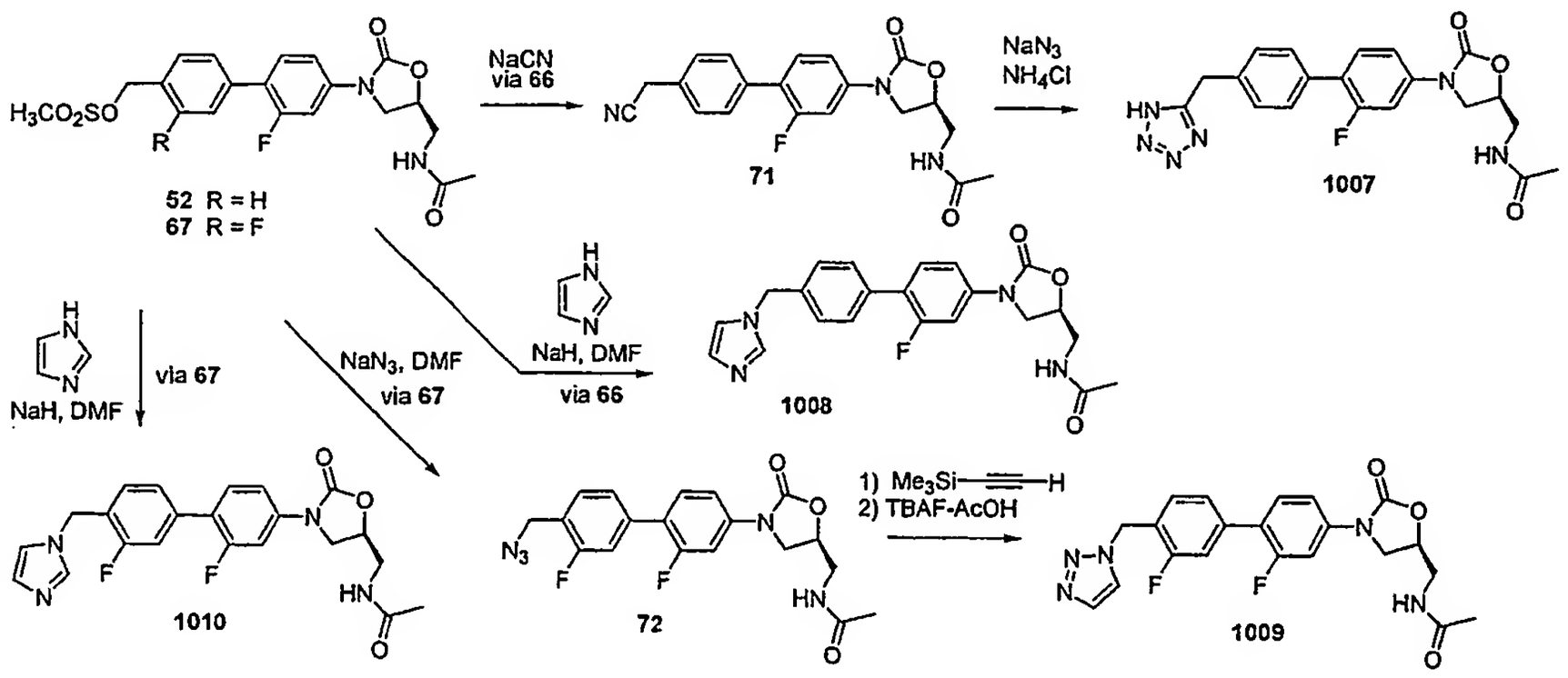
Synthesis of compound 1006

Compound **1006** was synthesized from mesylate **52** and available piperazine intermediate **70** in the same manner as described above for the synthesis of compound **1003**. LCMS (ESI) *m/z* 455 $(M + H)^+$.

Example 4 - Synthesis of Compounds 1007-1010

Scheme 4 illustrates the synthesis of compounds **1007-1010**. Mesylate **52** was converted to nitrile **71**, which was subsequently transformed to tetrazole **1007**. Mesylate **52** served as alkylating agent for the anion derived from imidazole to afford imidazole derivative **1008**. Mesylate **67** was converted to azide **72**, which was then subsequently converted to triazole **1009**. Mesylate **67** served as alkylating agent for the anion derived from imidazole to afford imidazole derivative **1010**.

Scheme 4



Synthesis of tetrazole 1007

To a solution of mesylate **52** (2.0 g, 4.6 mmol) in DMF (30 mL) was added sodium cyanide (NaCN, 0.45 g, 9.2 mmol) and the mixture was heated to 70°C for 3 h. The reaction mixture was cooled to ambient temperature and poured into water (800 mL). The solid thus

obtained was filtered and passed through a small bed of silica gel (CH_2Cl_2: MeOH = 12:1) to yield 1.8 g of nitrile **71**. LCMS (ESI) *m/z* 368 $(M + H)^+$.

A mixture of **71** (100 mg, 0.272 mmol), NaN_3 (40 mg, 0.598 mmol) and ammonium chloride (NH_4Cl, 32 mg, 0.598 mmol) in DMF (2 mL) was heated to 90°C for 3 days. The reaction mixture was concentrated and purified by flash chromatography (10% MeOH in CH_2Cl_2) to yield 35.6 mg of tetrazole **1007**. LCMS (ESI) *m/z* 411 $(M + H)^+$.

Synthesis of imidazole 1008

To a solution of imidazole (37.4 mg, 0.550 mmol) in DMF (5 mL) was added NaH (60%, 20 mg, 0.50 mmol) at 0°C and the mixture was stirred for 30 minutes before the addition of mesylate **52** (200 mg, 0.459 mmol). The resulting solution was heated to 60°C for 2 h and poured into water (75 mL). The aqueous suspension was extracted with 10% MeOH in CH_2Cl_2 (3 x 75 mL) and the combined organic layer was washed with saturated NH_4Cl solution (2 x 100 mL). The organic layer was dried (anhydrous Na_2SO_4), concentrated and triturated with ether to yield 170 mg of imidazole **1008**. LCMS (ESI) *m/z* 409 $(M + H)^+$.

Synthesis of azide 72

Crude mesylate **67** (100 mg, 0.224 mmol; as a mixture with some corresponding benzyl chloride) was dissolved in DMF (10 mL) and sodium azide (114.6 mg, 1.762 mmol) was added. The mixture was stirred at room temperature for 14 h, and then partitioned between ethyl acetate and water. The organic phase was washed with water, dried over Na_2SO_4, and concentrated to provide azide **72** as a solid (190 mg).

Synthesis of triazole 1009

Compound **1009** was synthesized from azide **72** and trimethylsilylacetylene in the same manner as described above for the synthesis of triazole **1001**. LCMS (ESI) *m/z* 428 $(M + H)^+$.

Synthesis of imidazole 1010

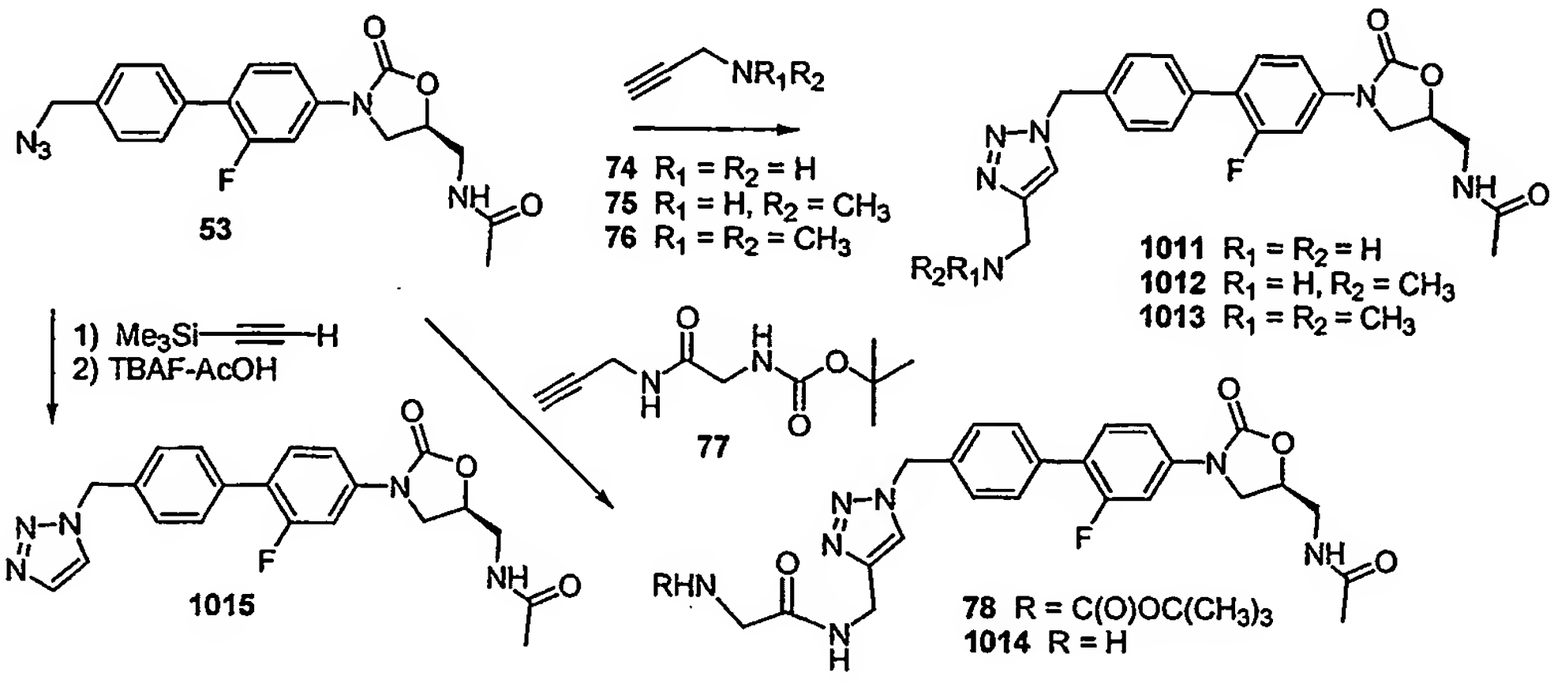
Compound **1010** was synthesized from mesylate **67** and imidazole in the same manner as described above for the synthesis of imidazole derivative **1008**. LCMS (ESI) *m/z* 427 $(M + H)^+$.

Example 5 - Synthesis of Compounds 1011-1015

Scheme 5 illustrates the synthesis of compounds **1011-1015**. The cycloaddition of azide **53** with alkynes **74-76** afforded triazoles **1011-1013** respectively. The cycloaddition of

azide **53** with alkyne **77** gave BOC-protected intermediate **78** which was subsequently cleaved to provide derivative **1014**. The cycloaddition of azide **53** with trimethylsilylacetylene, followed by desilylation, yielded triazole **1015**.

Scheme 5



Synthesis of triazole 1011

A solution of azide **53** (0.10 g, 0.26 mmol) in propargyl amine **74** (0.50 mL) was treated with copper iodide (0.05 g, 0.26 mmol) and was stirred at 23 °C for 0.5 h. The reaction mixture was diluted with CH_2Cl_2 and MeOH and purified by flash chromatography and preparative TLC to afford **1011** as a brown solid (0.027 g; 24%). LCMS (ESI) *m/z* 439 $(M + H)^+$.

Synthesis of triazole 1012

A solution of azide **53** (0.10 g, 0.26 mmol) in N-methylpropargyl amine **75** (0.50 mL) was treated with copper iodide (5.00 mg, 0.026 mmol) and stirred at 23 °C for 12 h. The solvent was removed *in vacuo*, and the crude product was purified by preparative TLC to afford **1012** as a brown solid (0.038 g; 32%). LCMS (ESI) *m/z* 453 $(M + H)^+$.

Synthesis of triazole 1013

A solution of azide **53** (0.10 g, 0.26 mmol) in N, N-dimethylpropargyl amine **76** (0.056 mL, 0.520 mmol) was treated with copper iodide (5.00 mg, 0.026 mmol) and stirred at 23 °C for 12 h. The solvent was removed *in vacuo*, and the crude product was purified by flash chromatography to afford **1013** as a yellow film (0.073 g; 60%). LCMS (ESI) *m/z* 467 $(M + H)^+$.

Synthesis of alkyne 77

A solution of propargyl amine **74** (0.34 mL, 5.0 mmol) in methylene chloride (25 mL) was treated with BOC-glycine (0.96 g, 5.5 mmol) and EDCI (1.1 g, 5.5 mmol) and stirred at 23 °C for 0.5 h. The reaction mixture was diluted with CH_2Cl_2, washed with 1.0 M HCl (aqueous), washed with saturated aqueous sodium bicarbonate ($NaHCO_3$), dried over Na_2SO_4, and the solvent evaporated *in vacuo* to afford alkyne **77** (0.51g; 48%).

Synthesis of triazole 1014

A solution of azide **53** (0.15 g, 0.39 mmol) in THF (2 mL) was treated with alkyne **77** (0.17 g, 0.78 mmol) and copper iodide (7.00 mg, 0.039 mmol) and stirred at 23 °C for 16 h. The solvent was removed *in vacuo*, and the crude product was purified by flash chromatography to afford **78** as a white powder (0.16 g; 68%). LCMS (ESI) *m/z* 618 $(M + Na)^+$.

A solution of **78** (0.15 g, 0.25 mmol) was treated with HCl (1.3 mL of 4.0 M solution in dioxane) and was stirred at 23 °C for 2 h. The solvent was removed *in vacuo*, and the residue twice redissolved in methylene chloride and evaporated to afford **1014** as a white film (0.14 g, 100%). LCMS (ESI) *m/z* 496 $(M + H)^+$.

Synthesis of triazole 1015

A solution of azide **53** (0.75 mg, 2.0 mmol) in DMF (10 mL) was treated with trimethylacetylene (2.3 mL, 20 mmol) and was stirred at 90 °C for 12 h. The reaction mixture was cooled to 23 °C and the solvent was removed *in vacuo* to afford the expected silyl-substituted triazole as a brown foam (0.24 mg; 25%). LCMS (ESI) *m/z* 482 $(M + H)^+$.

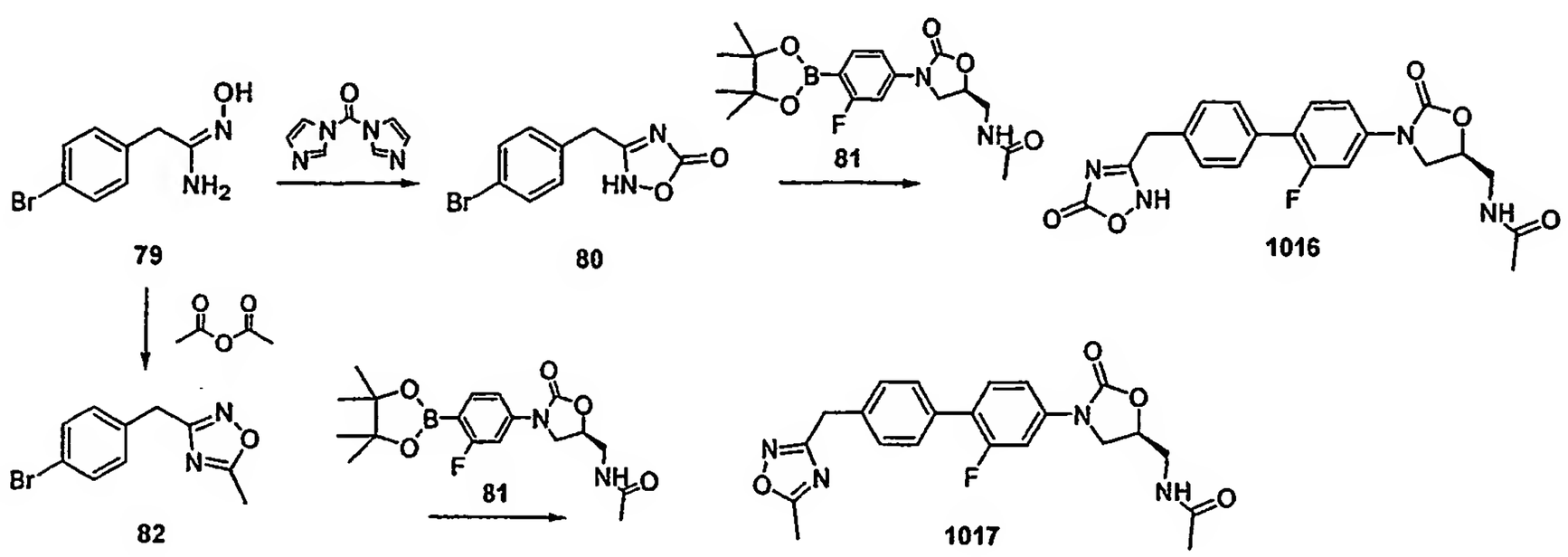
A solution of the above silyl-substituted triazole (0.050 g, 0.10 mmol) in THF (0.20 mL) was treated with acetic acid (6 µL, 0.10 mmol) and tetrabutylammonium fluoride (0.21 mL of 1.0 M solution in THF) and was stirred at 23 °C for 16 h. The reaction mixture was diluted with CH_2Cl_2, washed with water, dried (Na_2SO_4), and the solvent removed *in vacuo*. The crude product was purified to afford **1015** as a white powder (0.020 g; 47%). LCMS (ESI) *m/z* 432 $(M + Na)^+$.

Example 6 - Synthesis of Compounds 1016-1017

Scheme 6 illustrates the synthesis of compounds **1016-1017**. Hydroxyamidine **79** was converted to bromide **80** which was subsequently coupled to boronate **81** to afford compound

1016. Hydroxyamidine **79** was transformed to oxadiazole **82**, which was coupled to boronate **81** to afford compound **1017**.

Scheme 6



Synthesis of hydroxyamidine 79

A solution of 4-bromophenylacetonitrile (10 g, 54 mmol) in methanol (100 mL) was treated with sodium bicarbonate (2.2 g, 57 mmol) and hydroxylamine hydrochloride (4.0 g, 57 mmol) and refluxed for 1.5 h. Additional sodium bicarbonate (0.21 g, 5.4 mmol) and hydroxylamine hydrochloride (0.38 g, 5.4 mmol) were added, and the reaction mixture was refluxed for 12 h. The reaction mixture was cooled to 23 °C and the solvent removed *in vacuo* to afford hydroxyamidine **79** as a blue powder (4.0 g; 34%).

Synthesis of bromide 80

A solution of hydroxyamidine **79** (0.20 g, 0.91 mmol) in 1,4-dioxane (1 mL) was treated with 1,1'-carbonyldiimidazole (0.18 g, 1.1 mmol) and diazabicycloundecene (DBU, 0.15 mL, 0.97 mmol) and stirred at 105 °C for 1 h. The reaction mixture was diluted with water and extracted with ethyl acetate. The water layer was treated with 1.0 M HCl (aqueous) until the pH was 2, and then extracted with ethyl acetate. The organic layer was dried over Na_2SO_4, and the solvent removed *in vacuo* to afford bromide **80** as a yellow powder (0.11 g; 49%).

Synthesis of boronate 81

A suspension of *N*-[3-(3-fluoro-4-iodo-phenyl)-2-oxo-oxazolidin-5-ylmethyl]acetamide **62** (20.0 g, 52.8 mmol) in anhydrous 1,4-dioxane (130 mL) was treated with 4,4,5,5-tetramethyl-[1,3,2]dioxaborolane (10.2 g, 11.6 mL, 80.0 mmol) and triethylamine (16.0 g, 22.4 mL, 158.4 mmol) at room temperature, and the resulting reaction mixture was degassed three times under

a steady stream of argon before being treated with dichloro[1,1'-bis(diphenylphosphino)ferrocene] palladium (II) ($Pd(dppf)_2Cl_2$, 1.32 g, 1.6 mmol, 0.03 equiv) at room temperature. The reaction mixture was then degassed three times again under a steady stream of argon before being heated to reflux for 7 h. When TLC and LCMS showed that the reaction was complete, the reaction mixture was cooled down to room temperature before being treated with water (100 mL) and ethyl acetate (100 mL). The two layers were separated, and the aqueous layer was extracted with ethyl acetate (2 x 50 mL). The combined organic extracts were washed with water (2 x 50 mL) and saturated aqueous NaCl solution (50 mL), dried over magnesium sulfate ($MgSO_4$), and concentrated *in vacuo*. The residual brown oil was further dried *in vacuo* to afford the crude desired *N*-{3-[3-fluoro-4-(4,4,5,5-tetramethyl-[1,3,2]dioxaborolan-2-yl)-phenyl]-2-oxo-oxazolidin-5-ylmethyl}acetamide **81** (18.8 g, 20.0 g theoretical, 94%) as a brown solid which was of sufficient purity to be used in subsequent reactions.

Synthesis of compound 1016

A solution of boronate ester **81** (0.085 g, 0.220 mmol), bromide **80** (0.055 g, 0.220 mmol), and potassium carbonate (0.12 g, 0.90 mmol) in dioxane (1.4 mL), ethanol (0.46 mL) and water (0.46 mL) was degassed and treated with $Pd(dppf)Cl_2$ (6.0 mg, 6.7 μmol), degassed again, and heated at 80 °C for 1.5 h. The reaction mixture was diluted with CH_2Cl_2 and water, and the precipitate in the water layer was recovered by vacuum filtration to afford **1016** as a grey powder (0.034 g; 36%). LCMS (ESI) *m/z* 427 $(M + H)^+$.

Synthesis of bromide 82

A solution of hydroxyamidine **79** (0.25 g, 1.1 mmol) in pyridine (5 mL) was cooled to 0 °C and treated with a solution of acetic anhydride (0.11 mL, 1.1 mmol) in pyridine (5 mL) and then stirred at 120 °C for 1.5 h. The reaction mixture was diluted with ethyl acetate, washed with 1.0 M HCl (aqueous), washed with saturated aqueous sodium bicarbonate, dried over Na_2SO_4, and the solvent evaporated *in vacuo*. The crude product was purified by flash chromatography to afford bromide **82** as a clear film (0.10 g; 36%).

Synthesis of compound 1017

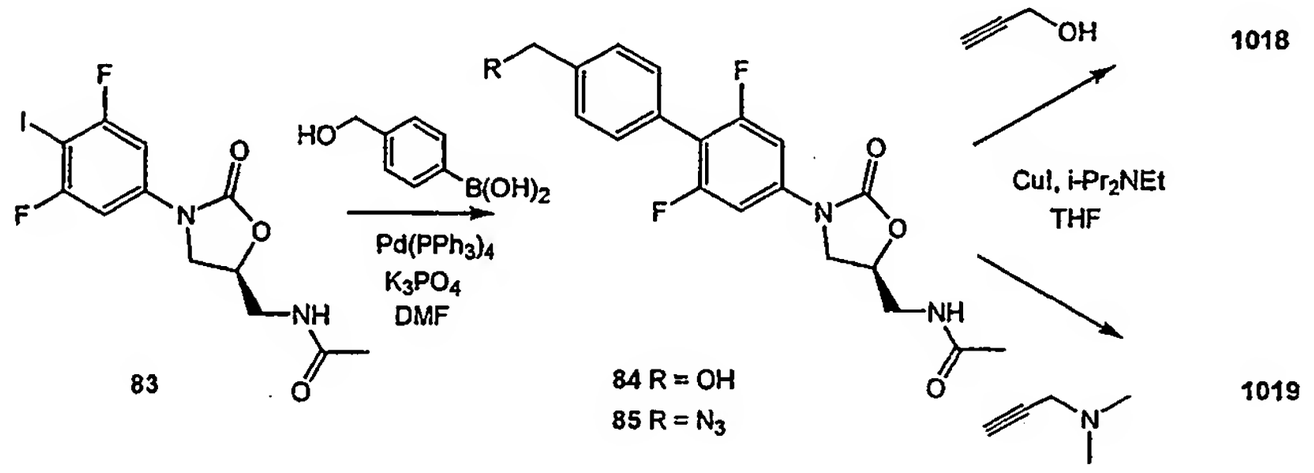
A solution of boronate ester **81** (0.15 g, 0.40 mmol), bromide **82** (0.10 g, 0.40 mmol), and potassium carbonate (0.22 g, 1.6 mmol) in dioxane (2.5 mL), ethanol (0.83 mL) and water (0.83 mL) was degassed and treated with $Pd(dppf)Cl_2$ (10.0 mg, 0.012 mmol), degassed again,

and stirred at 80 °C for 2 h. The reaction mixture was diluted with CH_2Cl_2 and washed with water. The water layer was extracted with 2 x CH_2Cl_2, dried over Na_2SO_4, and the solvent evaporated *in vacuo*. The crude product was purified by flash chromatography and preparative TLC to afford **1017** as a white powder (0.054 g; 32%). LCMS (ESI) *m/z* 425 $(M + H)^+$.

Example 7 - Synthesis of Compounds 1018-1019

Scheme 7 illustrates the synthesis of compounds **1018-1019**. Known aryl iodide **83** was coupled to 4-hydroxymethylboronic acid to afford biaryl alcohol **84**. Alcohol **84** was converted to azide **85**, which was used in alkyne cycloaddition reactions to afford triazoles **1018** and **1019**.

Scheme 7



Synthesis of azide 85

Known aryl iodide **83** (Gravestock, M.B., International Patent Application WO9910342) (1.00 g, 2.52 mmol) was dissolved in 6 mL DMF. 4-Hydroxymethyl-phenylboronic acid (0.461 g, 3.03 mmol) was added, followed by potassium phosphate (K_3PO_4, 0.804 g, 3.79 mmol) and $Pd(PPh_3)_4$ (0.292 g, 0.253 mmol). The mixture was degassed by evacuating the air from the flask, and refilling with argon (3 times), and then heated to 100°C for 4 hours. The mixture was allowed to cool and was then partitioned between ethyl acetate and water. The aqueous phase was extracted with ethyl acetate, and the combined organic phase was washed with brine, dried over $MgSO_4$, and evaporated. The residue was chromatographed on silica using a gradient mixture of methanol/methylene chloride (1% to 8%) to afford alcohol **84** (0.315 g, 0.838 mmol; 33%) as an ivory solid. An analytical sample was obtained by recrystallizing the material from methanol/methylene chloride/pentane. LCMS (ESI) *m/z* 377.

Alcohol **84** (0.889 g, 2.36 mmol) was suspended in 0.3 mL methylene chloride and 0.3 mL DMF. Triethylamine (0.66 mL, 4.74 mmol) was added, and the mixture was cooled to

0°C. Methanesulfonyl chloride (0.260 mL, 3.36 mmol) was added dropwise, and the mixture was stirred for 25 minutes. The mixture was then partitioned with ethyl acetate and water, and the organic layer was washed with brine, dried over $MgSO_4$, and evaporated. The residue was dissolved in 3 mL DMF, and sodium azide (0.384 g, 5.91 mmol) was added. The mixture was heated to 70°C for 4 hours. The reaction mixture was partitioned with ethyl acetate and water, and the organic layer was washed with brine, dried over $MgSO_4$, and evaporated. The residue was chromatographed on silica using a gradient mixture of methanol/methylene chloride (1% to 4%) to afford azide **85** (0.480 g, 1.20 mmol; 51%) as a tan solid. LCMS (ESI) *m/z* 402.

Synthesis of triazole 1018

Azide **85** (0.084 g, 0.209 mmol) was dissolved in 0.7 mL THF and propargyl alcohol (25 μL, 0.400 mmol) was added, followed by Hunig's base (73 μL, 0.400 mmol) and copper(I) iodide (0.040 g, 0.210 mmol). The mixture was allowed to stir overnight at room temperature, and then was placed in a -20°C freezer for 2 days. The mixture was then partitioned with ethyl acetate and water, and the aqueous layer was extracted with ethyl acetate and then 2%methanol/methylene chloride. The combined organic layer was washed with brine, dried over $MgSO_4$ and evaporated. The residue was chromatographed on silica using a gradient mixture of methanol/methylene chloride (1% to 8%) to afford triazole **1018** (0.060 g, 0.131 mmol; 63%) as an ivory solid. LCMS (ESI) *m/z* 458.

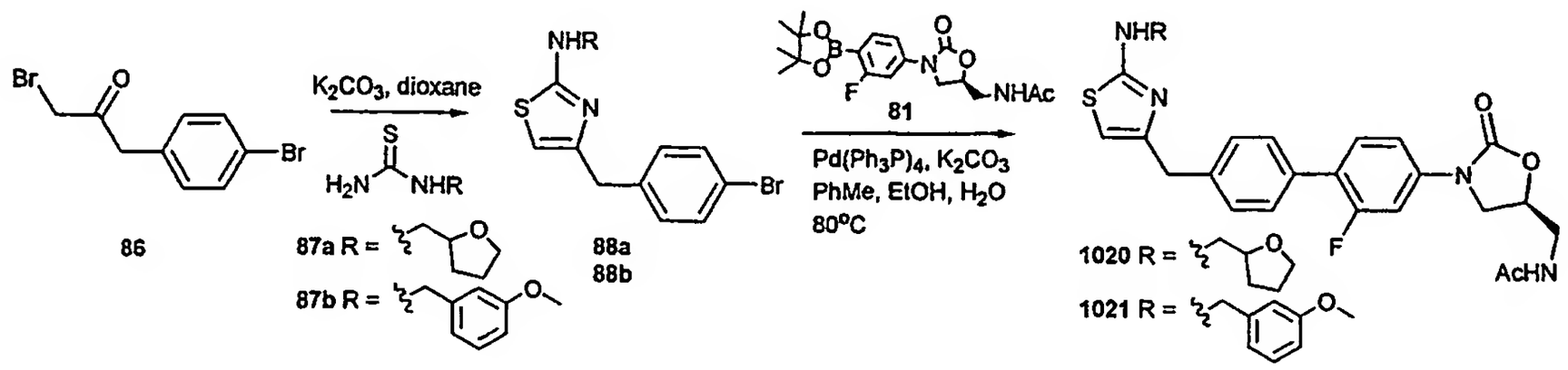
Synthesis of triazole 1019

Azide **85** (0.135 g, 0.337 mmol) was dissolved in 1.5 mL THF and dimethyl-prop-2-ynyl-amine (72 μL, 0.674 mmol) was added, followed by *i*-Pr_2NEt (117 μL, 0.674 mmol) and copper(I)iodide (0.064 g, 0.337 mmol). The mixture was allowed to stir overnight at room temperature (the solvents evaporated overnight with positive pressure from argon gas). The residue was suspended in ethyl acetate and methylene chloride and filtered through celite. The pad of celite was washed with ethyl acetate and methylene chloride, and the combined organic washes were evaporated. The residue was chromatographed on silica using a gradient mixture of methanol/methylene chloride (0% to 14%) and the product obtained was triturated with methylene chloride and pentane. The tan solid was collected to afford triazole **1019** (0.072 g, 0.149 mmol; 44%). LCMS (ESI) *m/z* 485.

Example 8 - Synthesis of Compounds 1020-1021

Scheme 8 illustrates the synthesis of compounds **1020-1021**. Bromoketone **86** was subjected to alkylation with thioureas **87a** and **87b** to afford thiazoles **88a** and **88b** respectively. Coupling of **88a** and **88b** with boronate **81** yielded thiazoles **1020** and **1021**.

Scheme 8



Synthesis of thiazole 88a

Bromoketone **86** (0.29 g, 1.0 mmol) was dissolved in dioxane (10 mL). Thiourea **87a** (0.19 g, 1.2 mmol) and potassium carbonate (0.28 g, 2 mmol) were added sequentially and the resulting slurry stirred at 50°C for 4 h. The mixture was cooled to room temperature, diluted with 100 mL CH_2Cl_2, and washed with sat. aq. $NaHCO_3$, and brine. The aqueous washes were back-extracted with CH_2Cl_2 (2 x 50 mL). The combined organic extracts were dried over K_2CO_3, filtered and concentrated *in vacuo* to afford **88a** as a yellow solid (0.32 g) which was used without further purification. LCMS (ESI) *m/z* 353 $(M + H)^+$.

Synthesis of thiazole 1020

The crude aryl bromide **88a** obtained above (0.20 g, 0.56 mmol), boronate ester **81** (0.25 g, 0.66 mmol), and K_2CO_3 (0.14 g, 1.0 mmol) were combined with a 1:1:1 mixture of toluene, ethanol and water (2 mL each). The slurry was degassed by alternately applying high vacuum to the reaction mixture and flushing with dry argon. The reaction vessel was then sealed and heated in an 80°C oil bath for 14 h. The reaction mixture was cooled to room temperature, diluted with 100 mL 9:1 CH_2Cl_2/MeOH, and washed with water and brine (50 mL each). The aqueous washes were back-extracted once with 50 mL 9:1 CH_2Cl_2/MeOH. The combined organic extracts were dried on K_2CO_3, filtered, and concentrated *in vacuo* to afford 0.48 g of a brown solid which was purified by silica gel chromatography (25mm x 6" column eluted with 7:3 acetone/hexane) to yield **1020** as an off-white solid (0.17 g, 0.32 mmol). LCMS (ESI) *m/z* 525 $(M + H)^+$.

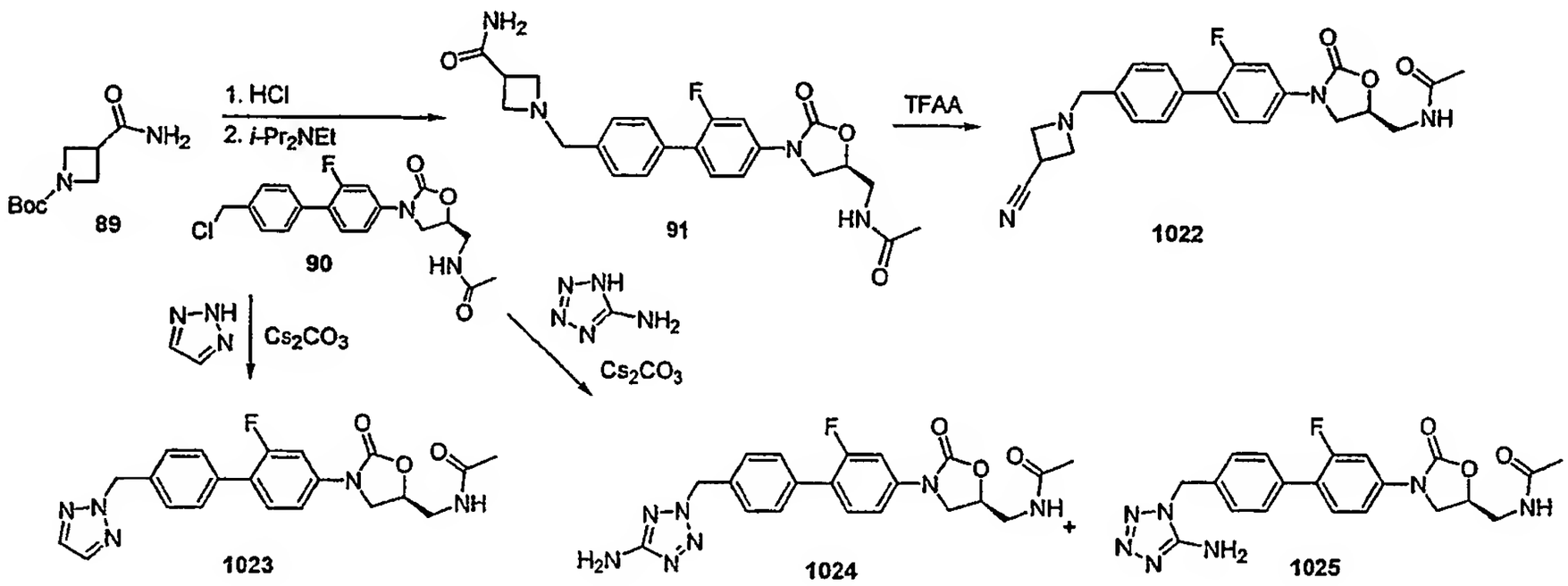
Synthesis of thiazole 1021

Compound **21** was synthesized according to the procedure described above for **1020**, using thiourea **88b** in place of **88a**. The reaction yielded **1021** as a white solid (0.12 g, 0.21 mmol). LCMS (ESI) *m/z* 561 $(M + H)^+$.

Example 9 - Synthesis of Compounds 1022-1025

Scheme 9 illustrates the synthesis of compounds **1022-1025**. Azetidine **89** was deprotected and alkylated with chloride **90** to afford amide **91**. The amide of **91** was dehydrated with trifluoroacetic anhydride to produce nitrile **1022**. The alkylation of 1,2,3-triazole with benzyl chloride **90** gave triazole **1023**. Similarly, the alkylation of 5-aminotetrazole with benzyl chloride **90** yielded a mixture of tetrazole **1024** and tetrazole **1025**.

Scheme 9



Synthesis of chloride 90

N-[3-(2-fluoro-4'-hydroxymethyl-biphenyl-4-yl)-2-oxo-oxazolidin-5-ylmethyl]-acetamide **51** (3.0 g, 8.4 mmol) 51 was dissolved in CH_2Cl_2 (20 mL) and Hunig's base (2 mL). Methanesulfonyl chloride (1.4 mL, 12.6 mmol) was added dropwise and the resulting solution stirred at room temperature for 4 h. The mixture was poured into 100 mL sat. aqueous $NaHCO_3$ and extracted with CH_2Cl_2 (3 x 50 mL). The combined organic extracts were washed with brine, dried over $MgSO_4$, filtered, and concentrated to give 3.9 g of an oily yellow solid. The crude material was purified by silica gel chromatography to give chloride **90** as an off-white solid (2.7 g, 7.2 mmol). LCMS (ESI) *m/z* 377 $(M + H)^+$, 418 $(M + CH_3CN + H)^+$, 440 $(M + CH_3CN + Na)^+$.

Synthesis of amide 91

A solution of **89** (*J. Med. Chem.* **1993**, *36*, 801) (33 mg, 0.17 mmol) in CH_2Cl_2 (1.0 mL) was treated with 4.0 M HCl–dioxane (0.2 mL) and stirred at 23°C for 2 h. The reaction mixture was evaporated and the residue dissolved in DMF (1.0 mL) and treated with benzyl chloride **90** (63 mg, 0.17 mmol) and Hunig's base (0.17 mL, 1.0 mmol) and stirred at 60°C for 2 h. The reaction mixture was cooled to 23°C, diluted with H_2O (10 mL) and extracted with CH_2Cl_2 (4 × 25 mL), dried (Na_2SO_4) and evaporated. The crude residue was purified by preparative TLC (1% NH_4OH–10% MeOH–89% CH_2Cl_2) to afford **91** (36 mg; 50%) as a tan powder. LCMS (ESI) *m/z* 441.1 $(M + H)^+$.

Synthesis of nitrile 1022

A solution of **91** (26 mg, 0.06 mmol) in CH_2Cl_2 (1.0 mL) was treated with pyridine (0.02 mL, 0.2 mmol) and trifluoroacetic anhydride (0.035 mL, 0.21 mmol) and stirred at 0°C for 1 h. The reaction mixture was directly purified by preparative TLC (1% NH_4OH–10% MeOH–89% CH_2Cl_2) to afford **1022** (6.0 mg; 24%) as a tan powder. LCMS (ESI) *m/z* 423.1 $(M + H)^+$.

Synthesis of triazole 1023

A solution of **90** (0.19 g, 0.50 mmol) in DMF (2.0 mL) was treated with 1,2,3-triazole (0.058 mL, 1.0 mmol) and cesium carbonate (Cs_2CO_3, 0.33 g, 1.0 mmol) and stirred at 23°C for 16 h. The reaction mixture was diluted with H_2O (100 mL) and the resulting precipitate was isolated by filtration and purified by preparative TLC (10% MeOH-45% CH_2Cl_2-45% EtOAc) to afford **1023** (39 mg; 19%) as a white powder. LCMS (ESI) *m/z* 473.2 $(M + CH_3CN + Na)^+$.

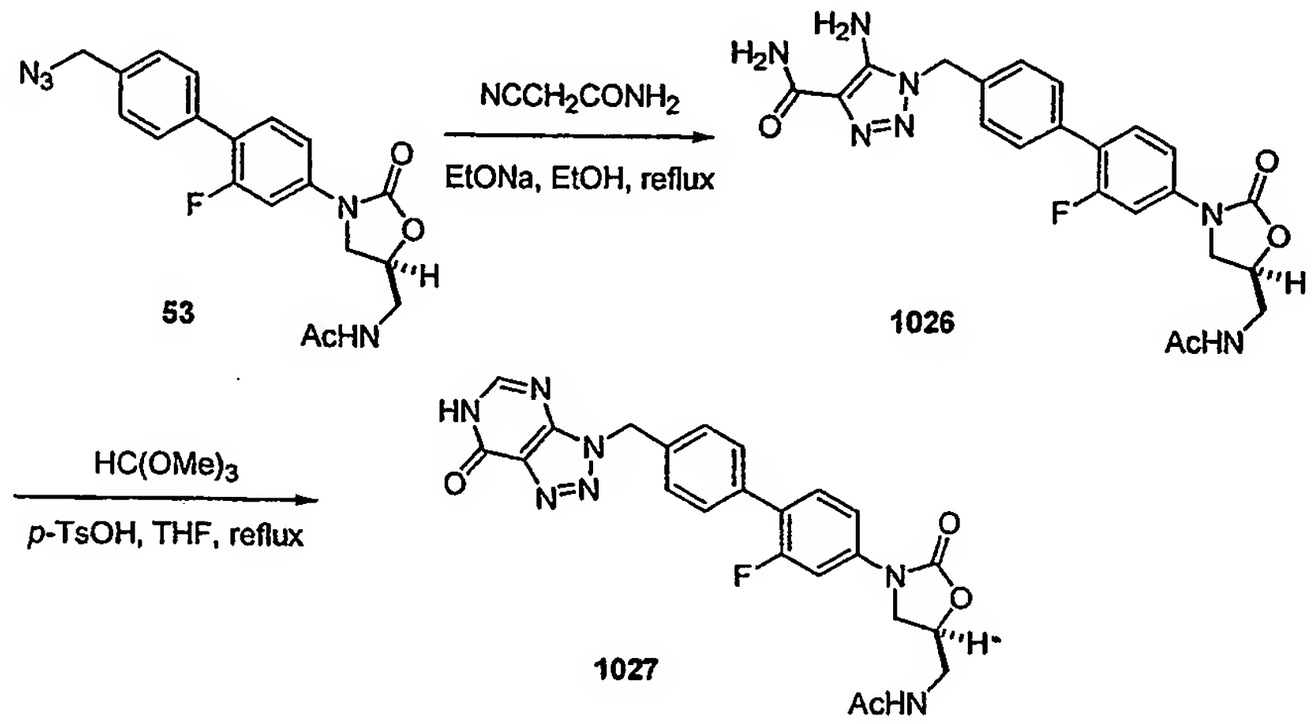
Synthesis of tetrazoles 1024 and 1025

A solution of **90** (0.19 g, 0.50 mmol) in DMF (2.0 mL) was treated with 5-aminotetrazole (87 mg, 1.0 mmol) and Cs_2CO_3 (0.33 g, 1.0 mmol) and stirred at 23°C for 12 h. The reaction mixture was diluted with H_2O (100 mL) and the resulting precipitate was isolated by filtration and suspended in 50 mL of a 1:1 mixture of CH_2Cl_2 and MeOH. The insoluble material (55 mg; 26%) was isolated by filtration and assigned the structure of **1024**. LCMS (ESI) *m/z* 426.1 $(M + H)^+$. The soluble material was isolated by evaporation and purified by preparative TLC (1%NH_4OH–10% MeOH–89% CH_2Cl_2) to afford a white powder assigned the structure of **1025** (39 mg; 19%). LCMS (ESI) *m/z* 489.2 $(M + CH_3CN + Na)^+$.

Example 10 - Synthesis of Compounds 1026 and 1027

Scheme 10 illustrates the synthesis of compounds **1026** and **1027**. Azide **53** was converted to triazole **1026**, which was then subsequently cyclized to compound **1027**.

Scheme 10



Synthesis of triazole 1026

A solution of azide **53** (383 mg, 1.0 mmol) in ethanol (4.0 mL) was treated with cyanoacetamide (101 mg, 1.2 mmol) and a solution of sodium ethoxide (21% wt solution in ethanol, 648 mg, 0.75 mL) at room temperature under N_2. The resulting reaction mixture was stirred for 10 min at room temperature before being warmed up to reflux for 2 h. When TLC showed that the reaction was complete, the reaction mixture was cooled down to room temperature before being treated with H_2O (10 mL). The white precipitate was then collected by filtration, washed with H_2O (2 x 10 mL), and dried *in vacuo* to afford the desired triazole **1026** (312 mg; 67%) as an off-white powder, which was of sufficient purity to be used directly in subsequent reactions. LCMS (ESI) *m/z* 468 $(M + H)^+$.

Synthesis of compound 1027

A suspension of **1026** (165 mg, 0.353 mmol) in anhydrous THF (5 mL) was treated with *p*-toluenesulfonic acid monohydrate (34.2 mg, 0.18 mmol) and trimethyl orthoformate (374 mg, 0.386 mL, 3.53 mmol) at 25°C under N_2, and the resulting mixture was warmed up to reflux for 2 h. The solvents were removed *in vacuo*, and the residue was directly purified by column chromatography (5–10% MeOH/CH_2Cl_2 gradient elution) to afford the desired compound **1027** (42 mg; 25%) as a white powder. LCMS (ESI) *m/z* 478 $(M + H)^+$.

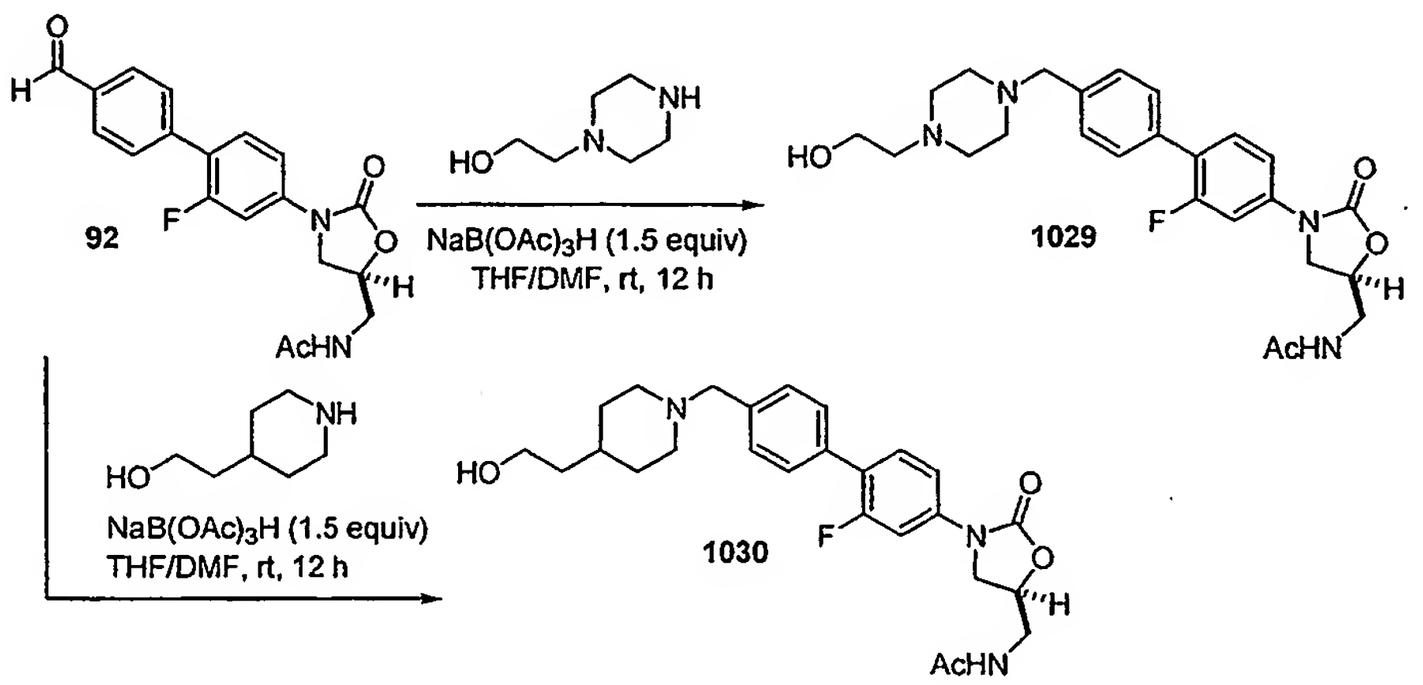
Example 11 - Synthesis of Triazole 1028

A suspension of azide **53** (124 mg, 0.324 mmol) in anhydrous 1,4-dioxane (5.0 mL) was treated with propargyl alcohol (182 mg, 0.19 mL, 3.24 mmol) at 25°C, and the resulting reaction mixture was warmed up to reflux for 12 h. When TLC and LCMS showed the reaction was complete, the reaction mixture was concentrated *in vacuo*, and the residue was directly purified by column chromatography (0–5% MeOH/CH_2Cl_2 gradient elution) to afford triazole **1028** (93.9 mg; 66%) as a pale-yellow solid. LCMS (ESI) *m*/z 440 $(M + H)^+$.

Example 12 - Synthesis of Piperazine 1029 and Piperidine 1030

Scheme 11 illustrates the reductive amination chemistry used to synthesize **1029** and **1030**.

Scheme 11



Synthesis of piperazine 1029

A solution of aldehyde **92** (made from iodide **50** and 4-formylboronic acid in the same fashion as *N*-[3-(2-fluoro-4'-hydroxymethyl-biphenyl-4-yl)-2-oxo-oxazolidin-5-ylmethyl]-acetamide in Example 1) (180 mg, 0.5 mmol) and 2-piperidin-4-yl-ethanol (65 mg, 0.065 mL, 0.5 mmol) in anhydrous THF (4.0 mL) and anhydrous DMF (1.0 mL) was treated with sodium triacetoxyborohydride (160 mg, 0.75 mmol) at 25°C, and the resulting mixture was stirred at 25°C for 12 h. When TLC and LCMS showed the reductive amination reaction was complete, the reaction mixture was concentrated *in vacuo*. The residue was directly purified by flash column chromatography (0–5% MeOH-CH_2Cl_2 gradient elution) to afford piperazine **1029** (306 mg; 65%) as a colorless oil, which solidified upon standing at room temperature *in vacuo*. LCMS (ESI) *m/z* 471 $(M + H)^+$.

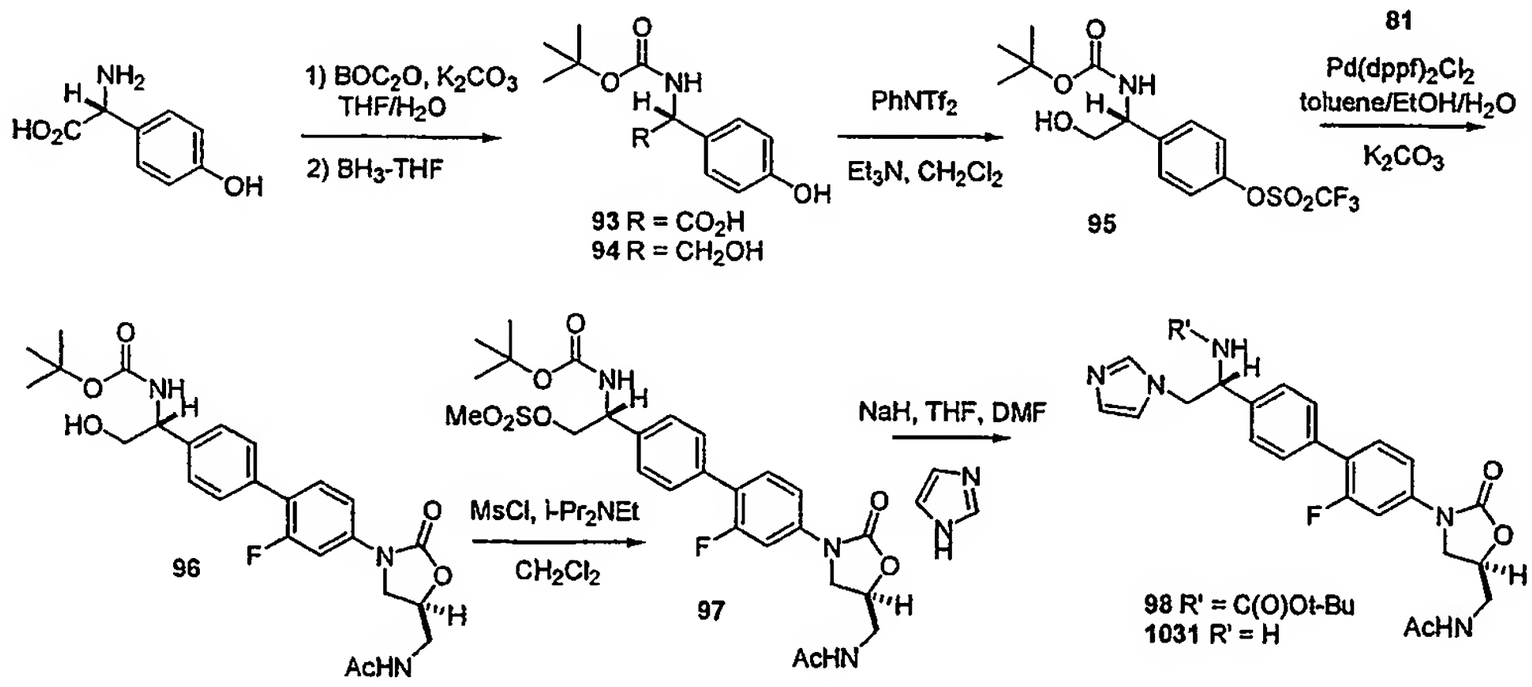
Synthesis of piperidine 1030

A solution of aldehyde **92** (356 mg, 1.0 mmol) and 2-piperazin-1-yl-ethanol (130 mg, 0.123 mL, 1.0 mmol) in anhydrous THF (8.0 mL) and anhydrous DMF (1.6 mL) was treated with sodium triacetoxyborohydride ($NaB(OAc)_3H$, 318 mg, 1.5 mmol) at 25°C, and the resulting mixture was stirred at 25°C for 12 h. When TLC and LCMS showed the reductive amination reaction was complete, the reaction mixture was concentrated *in vacuo*. The residue was directly purified by flash column chromatography (0–5% MeOH-CH_2Cl_2 gradient elution) to afford piperidine **1030** (169 mg; 72%) as a colorless oil, which solidified upon standing at room temperature *in vacuo*. LCMS (ESI) *m/z* 470 $(M + H)^+$.

Example 13 - Synthesis of Imidazole 1031

Scheme 12 depicts the synthesis of tetrazole derivative **1031**. D-*p*-Hydroxyphenyl-glycine was converted to triflate **95**, which was subsequently coupled to boronate **81** to afford alcohol **96**. Mesylation of **96**, followed by displacement with the anion of imidazole and deprotection of the BOC group yielded imidazole derivative **1031**.

Scheme 12



Synthesis of triflate 95

A solution of D-*p*-hydroxyphenylglycine (23.8 g, 142.3 mmol) and potassium carbonate (39.3 g, 284.6 mmol) in THF (200 mL) and H_2O (200 mL) was treated with di-*tert*-butyl dicarbonate (BOC_2O, 34.14 g, 156.6 mmol) at 25°C, and the resulting reaction mixture was stirred at 25°C for 2 h. When TLC and LCMS showed that the reaction was complete, the reaction mixture was treated with ethyl acetate (200 mL) and H_2O (200 mL). The two layers were separated, and the aqueous solution was extracted with ethyl acetate (200 mL), and the

combined organic extracts were discarded. The aqueous layer was then acidified with a 2 N HCl aqueous solution to pH 4 before being extracted with ethyl acetate (2 x 200 mL). The combined organic extracts were then washed with water (2 x 100 mL) and saturated aqueous NaCl solution (100 mL), dried over $MgSO_4$, and concentrated *in vacuo*. The residual white solids were further dried *in vacuo* to afford the crude desired acid **93** (36.5 g; 96%), which was of suitable purity for use in subsequent reactions.

A solution of acid **93** (4.005 g, 15 mmol) in anhydrous THF (20 mL) was treated dropwise with a 1 M solution of BH_3-THF in THF (30 mL, 30 mmol) at 0–5°C, and the resulting reaction mixture was stirred at 0– 5°C for an additional 2 h. When TLC and LCMS showed that the reduction reaction was complete, the reaction mixture was treated with water (50 mL) and ethyl acetate (50 mL). The mixture was then stirred at 25°C for 30 min before being separated, and the aqueous layer was extracted with ethyl acetate (2 x 50 mL). The combined organic extracts were then washed with water (2 x 20 mL) and saturated aqueous NaCl solution (20 mL), dried over $MgSO_4$, and concentrated *in vacuo*. The residue was then directly purified by flash column chromatography (0-5% MeOH-CH_2Cl_2 gradient elution) to afford desired alcohol **94** (2.50 g; 66%) as a white powder which was of suitable purity for use in subsequent reactions.

A suspension alcohol **94** (670 mg, 2.65 mmol) in CH_2Cl_2 (10 mL) was treated with *N*-phenyltrifluoromethane sulfonamide (947 mg, 2.65 mmol) and triethylamine (535.3 mg, 0.74 mL, 5.3 mmol) at 25°C, and the resulting reaction mixture was stirred at 25°C for an additional 2 h. When TLC and LCMS showed that the reaction was complete, the reaction mixture was quenched with water (10 mL) and CH_2Cl_2 (20 mL). The two layers were then separated, and the aqueous layer was extracted with CH_2Cl_2 (2 x 20 mL). The combined organic extracts were then washed with water (2 x 10 mL) and saturated aqueous NaCl solution (10 mL), dried over $MgSO_4$, and concentrated *in vacuo*. The residue was then directly purified by flash column chromatography (0-5% MeOH-CH_2Cl_2 gradient elution) to afford triflate **95** (945 mg; 93%) as a white powder which was of suitable purity for use in subsequent reactions.

Synthesis of alcohol 96

A solution of boronate **81** (2.162 g, 5.72 mmol) and triflate **95** (1.70 g, 4.4 mmol) in toluene (24 mL) was treated with solid potassium carbonate (1.82 g, 13.2 mmol), ethanol (8.0 mL) and H_2O (8.0 mL) at room temperature, and the resulting reaction mixture was degassed three times under a steady stream of argon before being treated with $Pd(dppf)_2Cl_2$ (184 mg,

0.22 mmol) at room temperature. The reaction mixture was then degassed three times again under a steady stream of argon before being warmed up to reflux for 2 h. When TLC and LCMS showed that the reaction was complete, the reaction mixture was cooled down to room temperature before being treated with water (20 mL) and ethyl acetate (20 mL). The two layers were separated, and the aqueous layer was extracted with ethyl acetate (2 x 20 mL). The combined organic extracts were washed with water (2 x 20 mL) and saturated aqueous NaCl solution (20 mL), dried over $MgSO_4$, and concentrated *in vacuo*. The residue was then purified by flash column chromatography (0-5% MeOH-CH_2Cl_2 gradient elution) to afford (1-{4'-[5-(acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2'-fluoro-biphenyl-4-yl}-2-hydroxyethyl)carbamic acid *tert*-butyl ester **96** (1.543 g; 72%) as yellow oil, which solidified upon standing at room temperature *in vacuo*.

Synthesis of mesylate 97

A suspension of alcohol **96** (694 mg, 1.43 mmol) in anhydrous CH_2Cl_2 (10 mL) was treated with diisopropylethylamine (388 mg, 0.522 mL, 2.85 mmol) and methanesulfonyl chloride (196 mg, 0.132 mL, 1.71 mmol) at 0–5°C, and the resulting reaction mixture was stirred at 0–5°C for an additional 2 h. When TLC and LCMS showed that the reaction was complete, the reaction mixture was quenched with water (10 mL). The two layers were separated, and the aqueous layer was extracted with CH_2Cl_2 (2 x 10 mL). The combined organic extracts were washed with water (2 x 10 mL) and saturated aqueous NaCl solution (10 mL), dried over $MgSO_4$, and concentrated *in vacuo*. The residue was then purified by flash column chromatography (0-5% MeOH-CH_2Cl_2 gradient elution) to afford mesylate **97** (647 mg; 80%) as a pale-yellow solid, which was of suitable purity for use in subsequent reactions.

Synthesis of imidazole 98

A solution of imidazole (41 mg, 0.6 mmol) in anhydrous THF (3 mL) was treated with NaH (60% oil dispersion, 29 mg, 0.72 mmol) at 0°C, and the resulting mixture was stirred at 0-5°C for 30 min before a solution of mesylate **97** (170 mg, 0.3 mmol) in anhydrous DMF (3.0 mL) was added. The resulting reaction mixture was then stirred at 0–5°C for 30 min before being gradually warmed up to room temperature for 12 h. When TLC and LCMS showed that the reaction was complete, the solvents were removed *in vacuo*, and the residue was directly purified by flash column chromatography (0-5% MeOH-CH_2Cl_2 gradient elution) to afford imidazole **98** (46 mg; 29%) as a yellow solid.

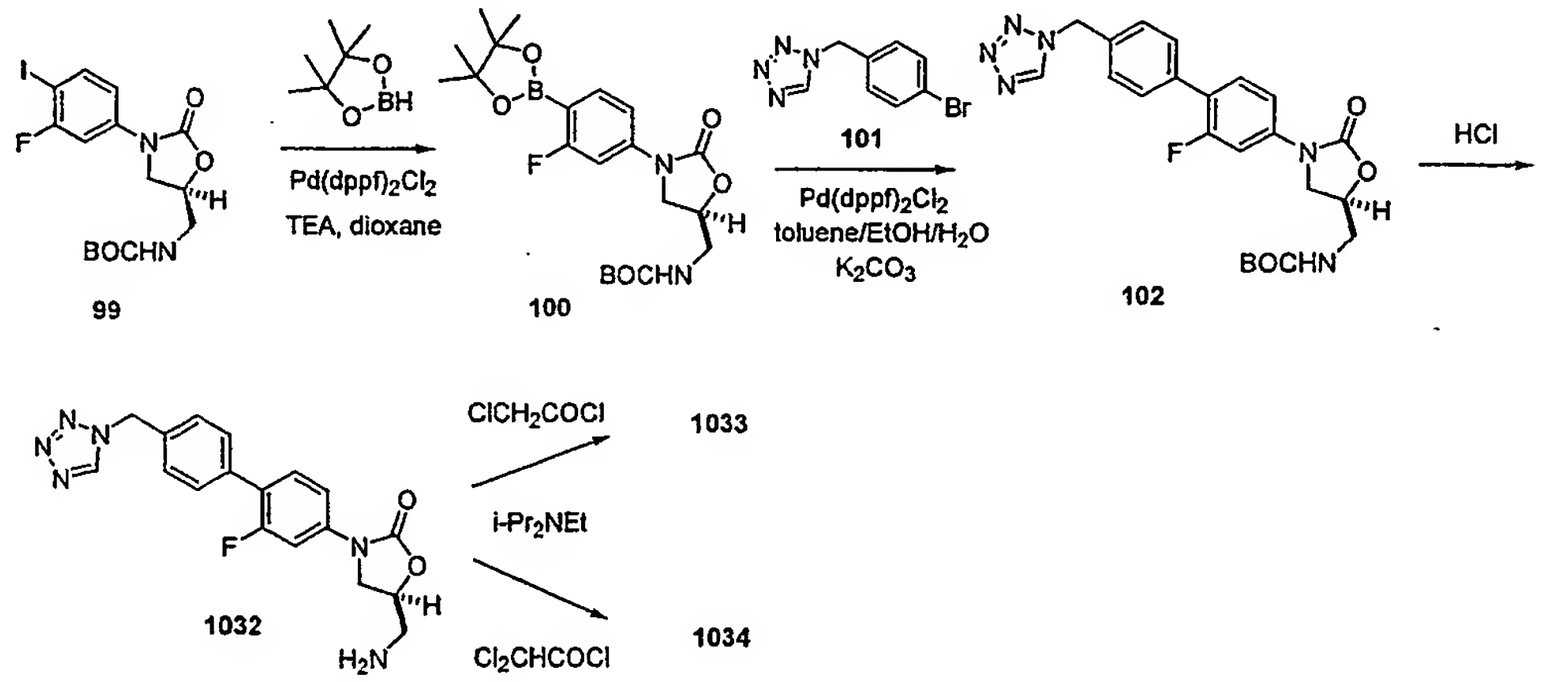
Synthesis of imidazole 1031

A solution of imidazole **98** (23 mg, 0.043 mmol) in MeOH (1.0 mL) was treated with a solution of 4 N HCl in 1,4-dioxane (3.0 mL), and the resulting reaction mixture was stirred at room temperature for 30 min. When TLC and LCMS showed that the reaction was complete, the solvents were removed *in vacuo*, and the desired *N*-{3-[4'-(1-amino-2-imidazol-1-yl-ethyl)-2-fluoro-biphenyl-4-yl]-2-oxo-oxazolidin-5-ylmethyl}acetamide hydrochloride **1031** (18.8 mg; 100%) was obtained as a yellow solid. LCMS (ESI) *m/z* 438 $(M + H)^+$.

Example 14 - Synthesis of tetrazoles 1032-1034

Scheme 13 depicts the synthesis of tetrazole derivatives **1032-1034**. Iodide **99** was converted to boronate **100** which served as the coupling partner for bromide **101** to afford tetrazole **102**. Deprotection of **102** afforded tetrazole amine **1032**, which was subsequently acylated to afford tetrazole **1033** and **1034**.

Scheme 13



Synthesis of iodide 99

A solution of known 5-aminomethyl-3-(3-fluoro-4-iodo-phenyl)-oxazolidin-2-one (2.02 g, 6.0 mmol; *see* U.S. Patent Nos. 5,523,403 and 5,565,571) and potassium carbonate (1.66 g, 12.0 mmol) in THF (20 mL) and H_2O (20 mL) was treated with BOC_2O (1.334 g, 6.12 mmol) at 25°C, and the resulting reaction mixture was stirred at 25°C for 2 h. When TLC and LCMS showed the reaction was complete, the reaction mixture was treated with ethyl acetate (20 mL) and H_2O (20 mL). The two layers were separated, and the aqueous solution was extracted with ethyl acetate (20 mL), and the combined organic extracts were then washed with water (2 x 10 mL) and saturated aqueous NaCl solution (10 mL), dried over $MgSO_4$, and concentrated *in*

vacuo. The residual white solids were further dried *in vacuo* to afford the crude, desired iodide **99** (2.40 g; 92%), which was of suitable purity for use in subsequent reactions.

Synthesis of boronate 100

A solution of iodide **99** (1.11 g, 2.55 mmol) in 1,4-dioxane (25 mL) was treated with 4,4,5,5-tetramethyl-[1,3,2]dioxaborolane (489 mg, 0.56 mL, 3.82 mmol) and triethylamine (772 mg, 1.07 mL, 7.65 mmol) at room temperature, and the resulting reaction mixture was degassed three times under a steady stream of argon before being treated with $Pd(dppf)_2Cl_2$ (107 mg, 0.13 mmol) at room temperature. The reaction mixture was then degassed three times again under a steady stream of argon before being warmed up to reflux for 6 h. When TLC and LCMS showed that the reaction was complete, the reaction mixture was cooled down to room temperature before being treated with water (20 mL) and ethyl acetate (20 mL). The two layers were separated, and the aqueous layer was extracted with ethyl acetate (2 x 20 mL). The combined organic extracts were washed with water (2 x 20 mL) and saturated aqueous NaCl solution (20 mL), dried over $MgSO_4$, and concentrated *in vacuo*. The residual brown oil was then purified by flash column chromatography (10-30% EtOAc-hexanes gradient elution) to afford boronate **100** (646 mg; 58%) as a brown oil, which solidified upon standing at room temperature *in vacuo* and was of suitable purity for use in subsequent reactions.

Synthesis of bromide 101

A solution of 4-bromobenzylamine hydrochloride (2.22 g, 10.0 mmol) in acetic acid (30 mL) was treated with triethyl orthoformate (2.964 g, 3.29 mL, 20.0 mmol) and sodium azide (2.30 g, 20.0 mmol) at room temperature, and the resulting reaction mixture was subsequently stirred at reflux for 12 h. When TLC and LCMS showed that the reaction was complete, the reaction mixture was cooled down to room temperature, and the cooled reaction mixture was poured into ice-water (100 mL). The precipitate was then collected by filtration, washed with water (2 x 20 mL), and dried *in vacuo* to afford crude bromide **101** (460 mg; 19%) as a white solid, which was of suitable purity for use in subsequent reactions.

Synthesis of tetrazole 102

A solution of boronate **100** (658 mg, 1.5 mmol) and bromide **101** (300 mg, 1.25 mmol) in toluene (9.0 mL) was treated with solid potassium carbonate (621 mg, 4.5 mmol), ethanol (3.0 mL) and H_2O (3.0 mL) at room temperature, and the resulting reaction mixture was degassed three times under a steady stream of argon before being treated with $Pd(dppf)_2Cl_2$

(52.3 mg, 0.063 mmol) at room temperature. The reaction mixture was then degassed three times again under a steady stream of argon before being warmed up to reflux for 3 h. When TLC and LCMS showed that the reaction was complete, the reaction mixture was cooled down to room temperature before being treated with water (10 mL) and ethyl acetate (20 mL). The two layers were separated, and the aqueous layer was extracted with ethyl acetate (2 x 10 mL). The combined organic extracts were washed with water (2 x 5 mL) and saturated aqueous NaCl solution (5 mL), dried over $MgSO_4$, and concentrated *in vacuo*. The residue was then purified by flash column chromatography (0-5% MeOH-CH_2Cl_2 gradient elution) to afford tetrazole **102** (357 mg; 61%) as a yellow oil, which solidified upon standing at room temperature *in vacuo*.

Synthesis of tetrazole 1032

A solution of tetrazole **102** (350 mg, 0.748 mmol) in EtOAc (5.0 mL) was treated with a solution of 4 N HCl in 1,4-dioxane (5.0 mL), and the resulting reaction mixture was stirred at room temperature for 30 min. When TLC and LCMS showed that the reaction was complete, the solvents were removed *in vacuo*, and the residue was treated with an aqueous sodium bicarbonate solution (10 mL) and EtOAc (15 mL). The mixture was stirred at room temperature for 30 min before the two layers were separated. The aqueous layer was extracted with EtOAc (10 mL), and the combined organic extracts were washed with H_2O (10 mL) and saturated aqueous NaCl solution (10 mL), dried over $MgSO_4$, and concentrated *in vacuo* to afford tetrazole amine **1032** (266 mg; 97%) as a pale-yellow solid. LCMS (ESI) *m/z* 369 $(M + H)^+$.

Synthesis of tetrazole 1033

A suspension of tetrazole amine **1032** (74 mg, 0.2 mmol) in anhydrous CH_2Cl_2 (5.0 mL) was treated with diisopropylethylamine (52 mg, 0.07 mL, 0.4 mmol) and chloroacetyl chloride (34 mg, 0.024 mL, 0.3 mmol) at 0–5°C, and the resulting reaction mixture was stirred at 0-5°C for 2 h. When TLC and LCMS showed the reaction was complete, the reaction mixture was concentrated *in vacuo*. The residue was directly purified by flash column chromatography (0-5% MeOH-CH_2Cl_2 gradient elution) to afford tetrazole **1033** (43 mg; 48% yield) as a white solid. LCMS (ESI) *m/z* 445 $(M + H)^+$.

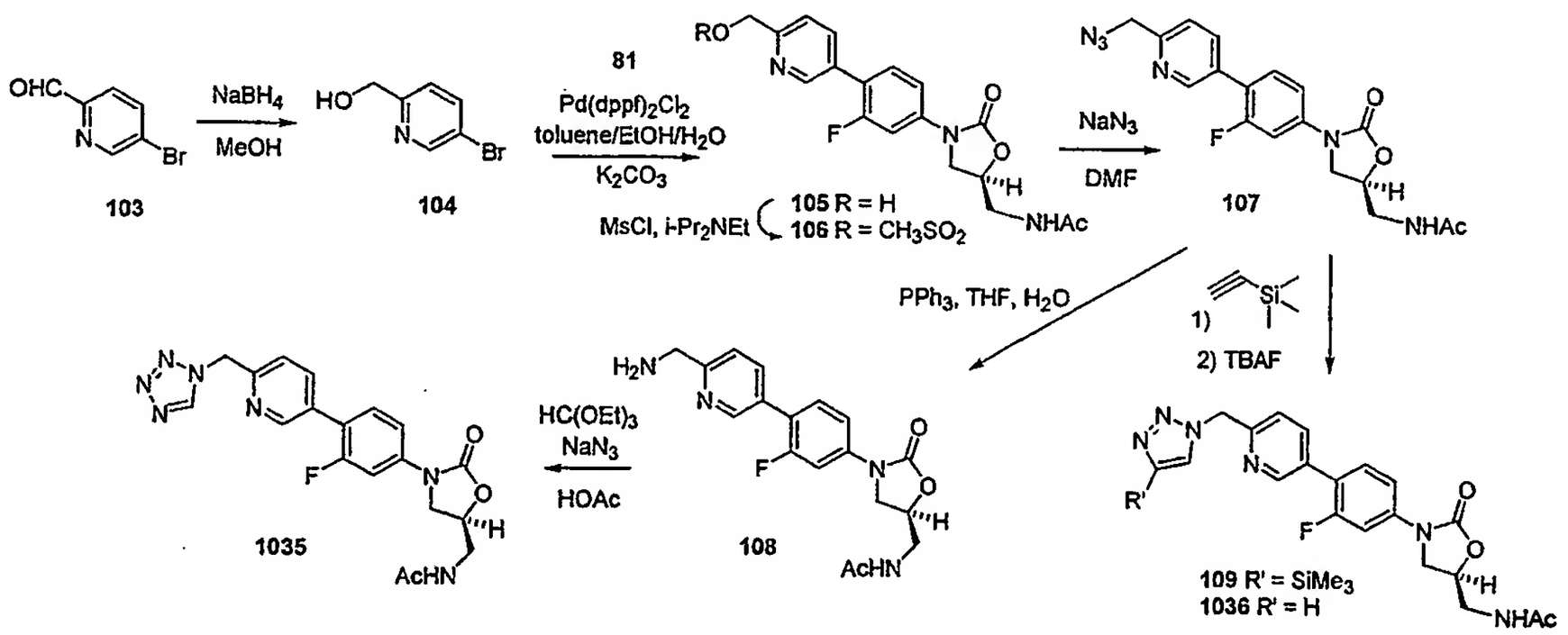
Synthesis of tetrazole 1034

A suspension of tetrazole amine **1032** (74 mg, 0.2 mmol) in anhydrous CH_2Cl_2 (5.0 mL) was treated with diisopropylethylamine (52 mg, 0.07 mL, 0.4 mmol) and dichloroacetyl chloride (44 mg, 0.029 mL, 0.3 mmol) at 0–5°C, and the resulting reaction mixture was stirred at 0-5°C for 2 h. When TLC and LCMS showed the reaction was complete, the reaction mixture was concentrated *in vacuo*. The residue was directly purified by flash column chromatography (0-5% MeOH-CH_2Cl_2 gradient elution) to afford tetrazole **1034** (41 mg; 43% yield) as a white solid. LCMS (ESI) *m/z* 479 $(M + H)^+$.

Example 15 - Synthesis of compounds 1035 and 1036

Scheme 14 depicts the synthesis of tetrazole derivatives **1035** and **1036**. Aldehyde **103** was reduced to **104** which was coupled to boronate **81** to yield alcohol **105**. Mesylation of **105**, followed by displacement with sodium azide, yielded azide **107**. Reduction of **107** to amine **108** was followed by conversion to tetrazole **1035**. Cycloaddition of azide **107** with trimethylsilylacetylene, followed by desilylation, afforded triazole **1036**.

Scheme 14



Synthesis of aldehyde 103

A solution of 2,5-dibromopyridine (25 g, 105.5 mmol) in toluene (1.24 L) was cooled down to –78°C before being treated dropwise with a 2.5 M solution of *n*-BuLi in hexane (50.6 mL, 126.6 mmol) at –78°C under N_2. The resulting reaction mixture was stirred at –78°C for 1 h before being treated with anhydrous DMF (11.6 g, 12.2 mL, 158.0 mmol) at –78°C. The reaction mixture was stirred at –78°C for an additional 1 h before being gradually warmed up to room temperature for 6 h. When TLC and LCMS showed that the reaction was complete, the